Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTABMG1617

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 4	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 17	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 22	JUL 28	CA/CAplus patent coverage enhanced
NEWS 23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 25	JUL 28	STN Viewer performance improved
NEWS 26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 27	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 28	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 29	AUG 15	CAplus currency for Korean patents enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:37:35 ON 18 AUG 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:37:51 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2
DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

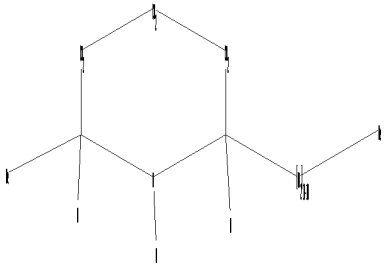
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

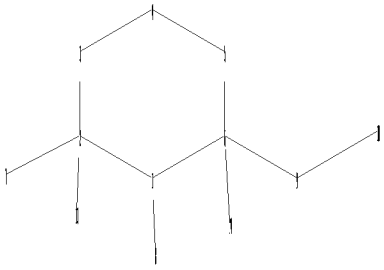
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

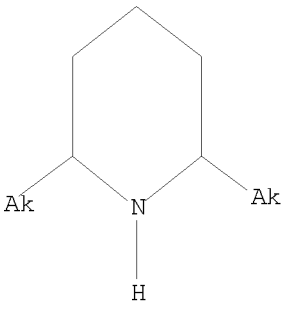
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10502080.str



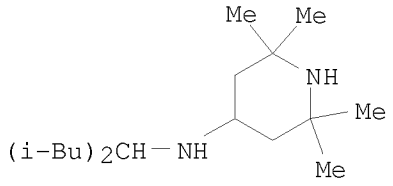


```
chain nodes :
7  8  9  10  13  14
ring nodes :
1  2  3  4  5  6
chain bonds :
1-8  2-7  2-13  6-9  6-14  9-10
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact bonds :
1-8  2-7  2-13  6-9  6-14  9-10


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 13:CLASS  14:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
```

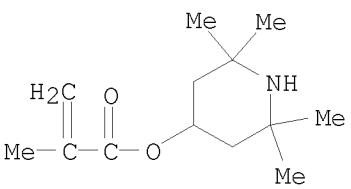



```
Structure attributes must be viewed using STN Express query preparation.


=> s L1 sss sam
SAMPLE SEARCH INITIATED 13:38:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   464993 TO ITERATE

  0.4% PROCESSED     2000 ITERATIONS                                3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      9260939 TO  9338781
PROJECTED ANSWERS:           12365 TO    15533

L2            3 SEA SSS SAM L1

=> s L1 sss full
FULL SEARCH INITIATED 13:38:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  9296204 TO ITERATE
```

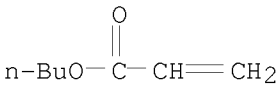
```
  5.9% PROCESSED   545089 ITERATIONS                            920 ANSWERS

 10.4% PROCESSED   968795 ITERATIONS                           1228 ANSWERS

 10.8% PROCESSED  1000000 ITERATIONS                           1268 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.36

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      9296204 TO  9296204
PROJECTED ANSWERS:           11462 TO    12112

L3         1268 SEA SSS FUL L1

=> d scan

L3   1268 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   4-Piperidinamine, 2,2,6,6-tetramethyl-N-[3-methyl-1-(2-methylpropyl)butyl]-
MF   C18 H38 N2
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):d scan
'D SCAN' IS NOT VALID HERE

To display more answers, enter the number of answers you would like to
see.  To end the display, enter "NONE", "N", "0", or "END".
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3   1268 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidinyl ester,
     polymer with Adeka Reasoap SR 10 and butyl 2-propenoate
MF   (C13 H23 N O2 . C7 H12 O2 . Unspecified)x
CI   PMS

     CM   1

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

     CM   2
```

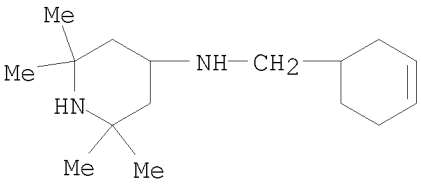


CM 3



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

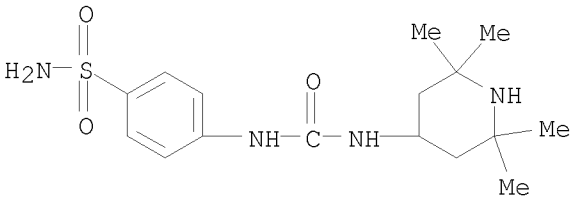
L3 1268 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 4-Piperidinamine, N-(3-cyclohexen-1-ylmethyl)-2,2,6,6-tetramethyl-
MF C16 H30 N2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 1268 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenesulfonamide, 4-[[[(2,2,6,6-tetramethyl-4-
piperidinyl)amino]carbonyl]amino]-
MF C16 H26 N4 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>
Uploading C:\Program Files\STNEXP\Queries\10502080 Narrow.str

L4 STRUCTURE UPLOADED

=> s L4 sss full
FULL SEARCH INITIATED 13:40:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9296204 TO ITERATE

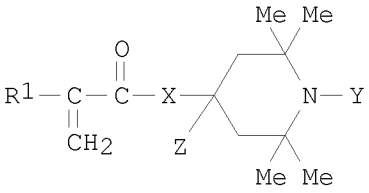
6.1% PROCESSED 570201 ITERATIONS 37 ANSWERS

```
 10.8% PROCESSED  1000000 ITERATIONS                              49 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.34

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      9296204 TO  9296204
PROJECTED ANSWERS:             391 TO      519

L5           49 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   358.56     358.77

FILE 'CAPLUS' ENTERED AT 13:41:40 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 18 Aug 2008  VOL 149 ISS 8
FILE LAST UPDATED: 17 Aug 2008  (20080817/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l3/Uses
            77 L3
       7150149 USES/RL
L6          39 L3/USES
                 (L3 (L) USES/RL)

=> s L5/Uses
            10 L5
       7150149 USES/RL
L7           2 L5/USES
                 (L5 (L) USES/RL)

=> s L6 OR L7
L8          39 L6 OR L7

=> d L8 10-30 IBIB ABS HITSTR

L8   ANSWER 10 OF 39  CAPLUS  COPYRIGHT 2008 ACS on STN
```

ACCESSION NUMBER: 2008:669445 CAPLUS
DOCUMENT NUMBER: 149:33556
TITLE: Propylene polymer fibers containing hindered piperidine-based weatherability improvers
INVENTOR(S): Kiura, Masaaki; Mukuta, Takahiro
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008127728	A	20080605	JP 2006-317036	20061124

PRIORITY APPLN. INFO.: JP 2006-317036 20061124
GI



I

AB The invention relates to the fibers manufactured from a compns. comprising (A) propylene polymers and (B) the improvers prepared from (b1) 10-50 parts piperidyl-containing ethylenically unsatd. monomers I (R1 = H, C1-2 alkyl; X = O, imino; Y = H, C1-20 alkyl, alkoxy; Z = H, cyano), (b2) 50-90 parts ≥1 monomers selected from C4-13 alkyl (meth)acrylates and aromatic vinyl monomers, and (b3) 0-20 parts monomers other than b1 and b2 (b1 + b2 + b3 = 100 parts). Thus, a composition comprising isotactic polypropylene (Y 2000GV) and a reactive anionic emulsifier (Adeka Reasoap SR 10)-Bu methacrylate-4-methacryloyloxy-1,2,2,6,6-pentamethylpiperidine-4-methacryloyloxy-2,2,6,6-tetramethylpiperidine-styrene copolymer (improver) was made into a fiber showing good elongation and strength retention after a weathering test.

IT 1028903-21-0P 1028903-24-3P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(weatherability improver; propylene polymer fibers containing hindered piperidine-based weatherability improvers)

RN 1028903-21-0 CAPLUS

CN 2-Propenoic acid, 2-methyl-, butyl ester, polymer with Adeka Reasoap SR 10, ethenylbenzene, 1,2,2,6,6-pentamethyl-4-piperidinyl 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

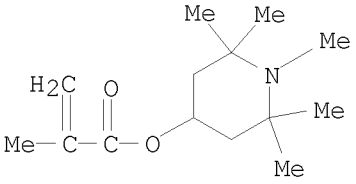
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

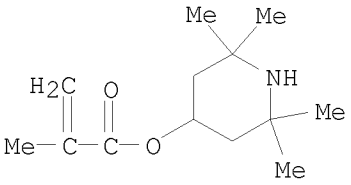
CM 2

CRN 68548-08-3
CMF C14 H25 N O2



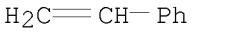
CM 3

CRN 31582-45-3
CMF C13 H23 N O2



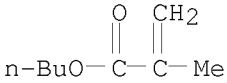
CM 4

CRN 100-42-5
CMF C8 H8



CM 5

CRN 97-88-1
CMF C8 H14 O2



RN 1028903-24-3 CAPLUS
CN 2-Propenoic acid, 2-methyl-, 1,2,2,6,6-pentamethyl-4-piperidinyl ester, polymer with Adeka Reasoap SR 10, 4-(1,1-dimethylethyl)cyclohexyl 2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

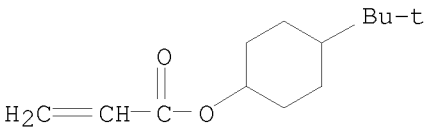
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

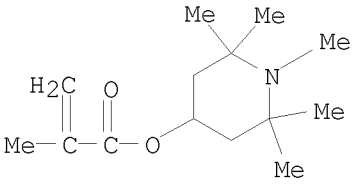
CM 2

CRN 84100-23-2
CMF C13 H22 O2



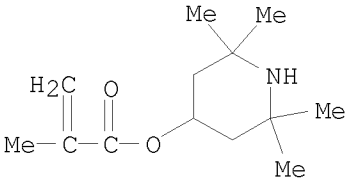
CM 3

CRN 68548-08-3
CMF C14 H25 N O2



CM 4

CRN 31582-45-3
CMF C13 H23 N O2

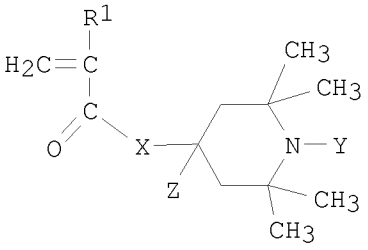


L8 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:669209 CAPLUS
DOCUMENT NUMBER: 149:11743
TITLE: Polysiloxane graft copolymers having hindered piperidine groups, weatherability improvers containing the copolymers, and aqueous coatings containing the improvers
INVENTOR(S): Mukuta, Takahiro; Kiura, Masaaki
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008127526	A	20080605	JP 2006-317065	20061124

PRIORITY APPLN. INFO.: JP 2006-317065 20061124

GI



I

AB The invention relates to the copolymers manufactured from (A) 1-94% polyorganosiloxanes having functional groups capable of forming graft polymers, (B) 6-50 % piperidyl-containing ethylenically unsatd. monomers I (R1 = H, C1-2 alkyl; X = O, imino; Y = H, C1-20 alkyl, alkoxy; Z = H, cyano), and (C) 0-93 % ethylenically unsatd. monomers (A + B + C = 100 %). Thus, γ-methacryloxypropyltrimethoxysilane-octamethylcyclotetrasiloxane copolymer, a reactive anionic emulsifier (Adeka Reasoap SR 10), Bu acrylate, Bu methacrylate, 4-methacryloyloxy-1,2,2,6,6-pentamethylpiperidine, and styrene were emulsion-polymerized to give an improver with good storage stability, which was then used for an aqueous acrylic coating comprising acrylic acid-Adeka Reasoap SR 10-Bu acrylate-Me methacrylate-styrene copolymer ammonium salt.

IT 1029394-26-0P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(weatherability improver, core-shell; polysiloxane graft copolymers having hindered piperidine groups for weatherability improvers of aqueous coatings)

RN 1029394-26-0 CAPLUS

CN 2-Propenoic acid, 2-methyl-, butyl ester, polymer with Adeka Reasoap SR 10, 2,2,4,4,6,6,8,8-octamethylcyclotetrasiloxane, 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate and 3-(trimethoxysilyl)propyl 2-methyl-2-propenoate, graft (CA INDEX NAME)

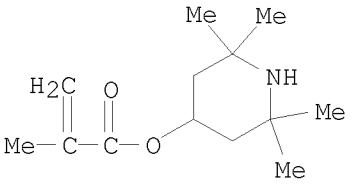
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

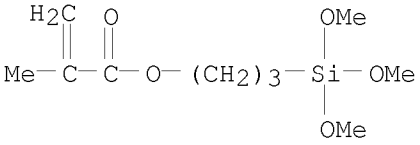
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



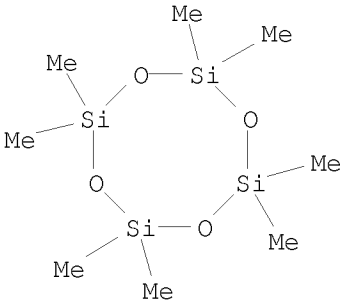
CM 3

CRN 2530-85-0
CMF C10 H20 O5 Si



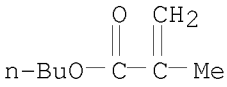
CM 4

CRN 556-67-2
CMF C8 H24 O4 Si4



CM 5

CRN 97-88-1
CMF C8 H14 O2

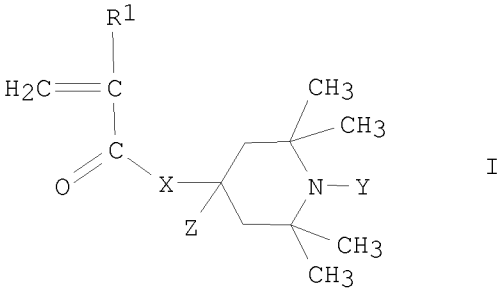


L8 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:669208 CAPLUS
DOCUMENT NUMBER: 149:11742
TITLE: Modifiers containing hindered amine light stabilizers, and aqueous coatings with good weather resistance and low minimum film forming temperature containing them

INVENTOR(S): Mukuta, Takahiro; Kiura, Masaaki
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008127525	A	20080605	JP 2006-317064	20061124

PRIORITY APPLN. INFO.: JP 2006-317064 20061124
GI



I

AB The invention relates to the modifiers containing emulsions with min. film forming temperature (MFT) ≤5° manufactured by emulsion-polymerizing (A) 6-50 parts hindered piperidyl-containing ethylenically unsatd. monomers I (R1 = H, C1-2 alkyl; X = O, imino; Y = H, C1-20 alkyl, alkoxy; Z = H, cyano) and (B) 50-94 parts ethylenically unsatd. monomers other than A (A + B = 100 parts). Aqueous coatings with reduced film-forming aid (organic solvent) contents are provided with this invention. Thus, a reactive nonionic emulsifier (Adeka Reasoap ER 30), a reactive anionic emulsifier (Adeka Reasoap SR 10), Bu acrylate, 4-methacryloyloxy-1,2,2,6,6-pentamethylpiperidine, and styrene were emulsion-polymerized to give a modifier with MFT 3°, solid content 50%, and good storage stability. An aqueous acrylic coating comprising acrylic acid-Adeka Reasoap SR 10-Bu acrylate-Me methacrylate-styrene copolymer ammonium salt and the modifier showed good water resistance.

IT 1029396-11-9P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(modifier, comprised of actual and assumed monomers; modifiers containing hindered amine light stabilizers for aqueous coatings with good weather resistant and low min. film forming temperature)

RN 1029396-11-9 CAPLUS
CN 2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidinyl ester, polymer with Adeka Reasoap SR 10, butyl 2-propenoate and oxirane, graft (CA INDEX NAME)

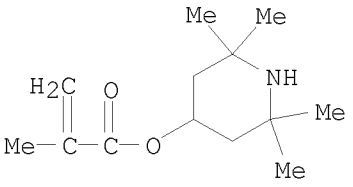
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

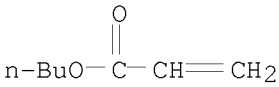
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



CM 3

CRN 141-32-2
CMF C7 H12 O2



CM 4

CRN 75-21-8
CMF C2 H4 O

IT 1029396-07-3P 1029396-09-5P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(modifier; modifiers containing hindered amine light stabilizers for aqueous coatings with good weather resistant and low min. film forming temperature)
RN 1029396-07-3 CAPLUS
CN 2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidinyl ester, polymer with Adeka Reasoap SR 10 and butyl 2-propenoate (CA INDEX NAME)

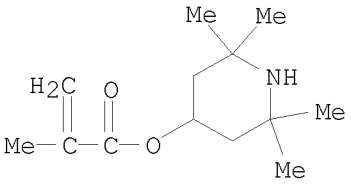
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

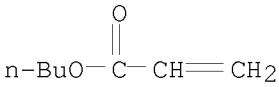
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



CM 3

CRN 141-32-2
CMF C7 H12 O2



RN 1029396-09-5 CAPLUS
CN 2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidinyl ester, polymer with Adeka Reasoap SR 10, butyl 2-propenoate and α-[1-[(nonyloxy)methyl]-2-(2-propen-1-yloxy)ethyl]-ω-hydroxypoly(oxy-1,2-ethanediyl), graft (CA INDEX NAME)

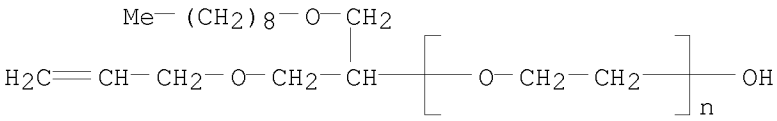
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

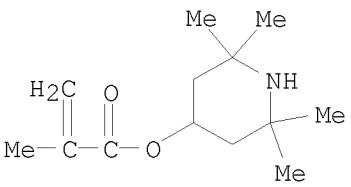
CM 2

CRN 612822-56-7
CMF (C2 H4 O)n C15 H30 O3
CCI PMS



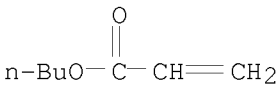
CM 3

CRN 31582-45-3
CMF C13 H23 N O2



CM 4

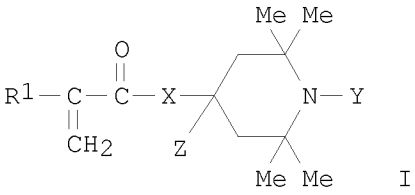
CRN 141-32-2
CMF C7 H12 O2



L8 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:668983 CAPLUS
DOCUMENT NUMBER: 149:10890
TITLE: Hindered piperidine-modified thermoplastic resins, stabilizers containing them, and recyclable weather-resistant polyvinyl chloride compositions containing them
INVENTOR(S): Kiura, Masaaki; Mukuta, Takahiro
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008127523	A	20080605	JP 2006-317035	20061124

PRIORITY APPLN. INFO.: JP 2006-317035 20061124
GI



I

AB The invention relates to the stabilizers manufactured by copolymg. monomer mixts. comprising (A) 5-40 parts hindered piperidine-containing ethylenically unsatd. monomers I (R1 = H, C1-2 alkyl; X = O, imino; Y = H, C1-20 alkyl, alkoxy; Z = H, cyano), (B) 60-95 parts glycidyl-containing ethylenically unsatd. monomers, and (C) 0-30 parts ethylenically unsatd. monomers other than A and B (A + B + C = 100 parts). The invention also relates to the compns. comprising 0.1-10% (based on total compns.) of the stabilizers and polyvinyl chloride. Thus, a composition comprising polyvinyl chloride (TK 1300) and reactive anionic emulsifier (Adeka Reasoap SR 10)-glycidyl methacrylate-4-methacryloyloxy-2,2,6,6-tetramethylpiperidine copolymer (stabilizer) was kneaded and pressed to give a test sheet with good thermal discoloration prevention after recycling.
IT 1028903-17-4P 1028903-19-6P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)

(stabilizer; hindered piperidine-modified thermoplastic resins for stabilizers of recyclable weather-resistant polyvinyl chloride compns.)

RN 1028903-17-4 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-oxiranylmethyl ester, polymer with Adeka Reasoap SR 10 and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

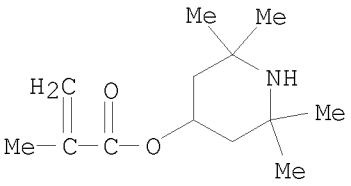
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

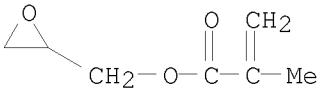
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



CM 3

CRN 106-91-2
CMF C7 H10 O3



RN 1028903-19-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-oxiranylmethyl ester, polymer with Adeka Reasoap SR 10, 2-oxiranylmethyl 2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

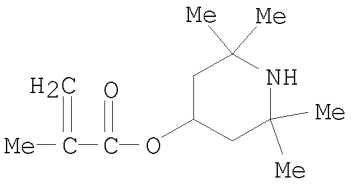
CM 1

CRN 676999-51-2
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

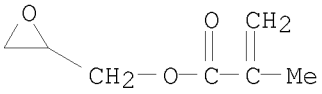
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



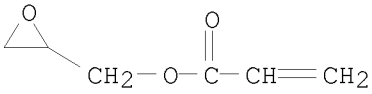
CM 3

CRN 106-91-2
CMF C7 H10 O3



CM 4

CRN 106-90-1
CMF C6 H8 O3



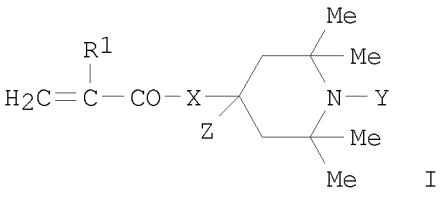
L8 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:638880 CAPLUS
DOCUMENT NUMBER: 149:10884
TITLE: Stabilizers for polyolefin resins with good thermal stability
INVENTOR(S): Kiura, Masaaki; Mukuda, Takahiro
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan
SOURCE: PCT Int. Appl., 27pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008062860	A1	20080529	WO 2007-JP72618	20071122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: JP 2006-317067 A 20061124
GI



I

AB The title stabilizers containing a copolymer are obtained by polymerizing an unsatd. monomer mixture composed of an ethylenically unsatd. monomer having a piperidyl group (I) 1-50, ≥1 monomer selected from iso-Bu methacrylates, C6-13 alkyl (meth)acrylates, and aromatic vinyl monomers 50-99, and an ethylenically unsatd. monomer except A and B 0-20%, wherein R1 = H or C1-2 alkyl; X = O or imino; Y = H or C1-20 alkyl or alkoxyl; and Z = H or cyano group. Thus, 5 parts 4-methacryloyloxy-2,2,6,6-tetramethylpiperidine and 95 parts iso-Bu methacrylate were polymerized to give a copolymer, 5 parts of which was mixed with 95% polypropylene, kneaded, and molded to give a test piece, showing good heat and light resistance.

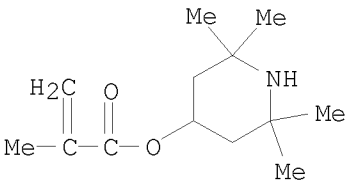
IT 1028740-26-2P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(stabilizer; stabilizers for polyolefin resins with good thermal stability)

RN 1028740-26-2 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-methylpropyl ester, polymer with 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

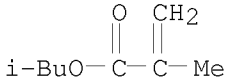
CM 1

CRN 31582-45-3
CMF C13 H23 N O2



CM 2

CRN 97-86-9
CMF C8 H14 O2



IT 1028750-07-3P

RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(stabilizers for polyolefin resins with good thermal stability)

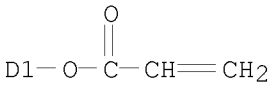
RN 1028750-07-3 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 1,2,2,6,6-pentamethyl-4-piperidinyl ester, polymer with (1,1-dimethylethyl)cyclohexyl 2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

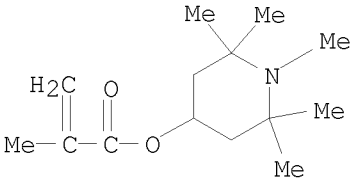
CRN 117635-64-0
CMF C13 H22 O2
CCI IDS

D1—Bu-t



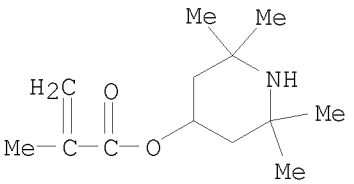
CM 2

CRN 68548-08-3
CMF C14 H25 N O2



CM 3

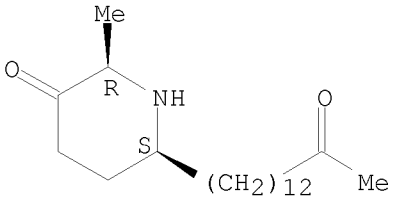
CRN 31582-45-3
CMF C13 H23 N O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

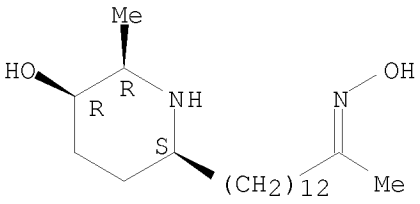
L8 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:632629 CAPLUS
DOCUMENT NUMBER: 149:167124
TITLE: Antinociceptive profile of 2,3,6-trisubstituted piperidine alkaloids: 3-O-acetyl-spectaline and semi-synthetic derivatives of (-)-spectaline
AUTHOR(S): Viegas, Claudio, Jr.; Alexandre-Moreira, Magna Suzana; Fraga, Carlos Alberto Manssour; Barreiro, Eliezer Jesus; Bolzani, Vanderlan da Silva; Palhares de Miranda, Ana Luisa
CORPORATE SOURCE: Laboratorio de Fitoquimica e Quimica Medicinal, Departamento de Ciencias Exatas, Universidade Federal de Alfenas, Alfenas, 37130-000, Brazil
SOURCE: Chemical & Pharmaceutical Bulletin (2008), 56(4), 407-412
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In early studies, we have reported the antinociceptive profile of (-)-spectaline, a piperidine alkaloid from Cassia spectabilis. The present study describes the synthesis, the antinociceptive and anti-inflammatory activities of a series of 2,3,6-trialkyl-piperidine alkaloids: the natural (-)-3-O-acetyl-spectaline (LASSBio-755) and ten semi-synthetic spectaline derivs. Structure-activity relationship (SARs) studies were performed. The structures of all synthesized derivs. were confirmed by means of NMR. Compds. were evaluated for their analgesic (acetic acid-induced mouse abdominal constrictions, hot-plate test, formalin-in-duced pain test) and some of them for the anti-inflammatory activities (carrageenan-induced rat paw edema test). The pharmacol. results showed that several of the new compds. given orally at a dose of 100 μmol/kg significantly inhibited the acetic acid-induced abdominal constrictions, but they were less active than (-)-spectaline. LASSBio-755 and LASSBio-776 were the most actives with 37% and 31.7% of inhibition. In the formalin-induced pain only LASSBio-776 was able to inhibit by 34.4% the paw licking response of the inflammatory phase, (-)-spectaline and LASSBio-755 did show any activity. In the carrageenan-induced rat paw edema, only (-)-spectaline exhibited an anti-inflammatory profile, showing an ED50 value of 56.6 μmol/kg. Our results suggest different mechanisms of action for the analgesic activity observed for LASSBio-776 (3-O-Bocspectaline), LASSBio-755 (3-O-acetyl-spectaline) and (-)-spectaline (LASSBio-754). The antinociceptive profile of some of the semi-synthetic spectaline derivs. extends our research concerning the chemical and pharmacol. optimization of isolated natural products in the search of new drug candidates from brazilian biodiversity.
IT 1039629-71-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(2,3,6-trisubstituted piperidine alkaloids preparation, SAR, analgesic and anti-inflammatory activities)
RN 1039629-71-4 CAPLUS
CN 3-Piperidinone, 2-methyl-6-(13-oxotetradecyl)-, (2R,6S)- (CA INDEX NAME)

Absolute stereochemistry.



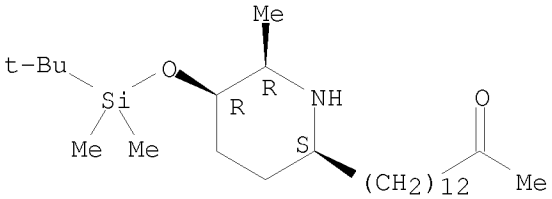
IT 1039629-68-9P 1039629-70-3P 1040150-51-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2,3,6-trisubstituted piperidine alkaloids preparation, SAR, analgesic and anti-inflammatory activities)
RN 1039629-68-9 CAPLUS
CN 2-Tetradecanone, 14-[(2S,5R,6R)-5-hydroxy-6-methyl-2-piperidinyl]-, oxime (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



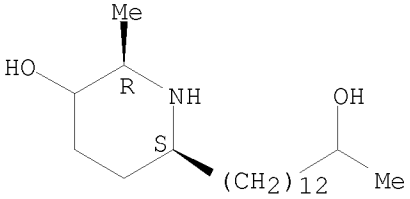
RN 1039629-70-3 CAPLUS
CN 2-Tetradecanone, 14-[(2S,5R,6R)-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-6-methyl-2-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1040150-51-3 CAPLUS
CN 2-Piperidinetridecanol, 5-hydroxy-α,6-dimethyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

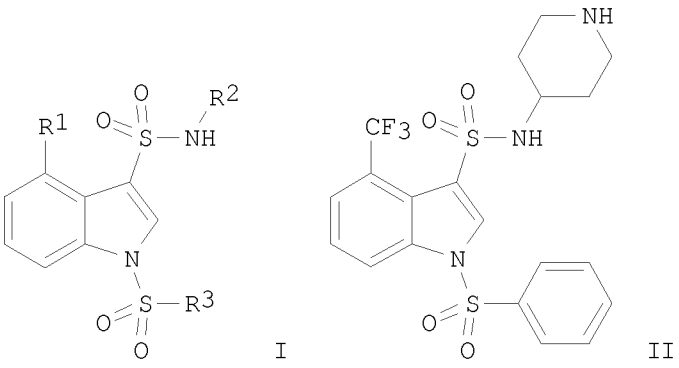
L8 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:619491 CAPLUS
DOCUMENT NUMBER: 148:585720
TITLE: Indolesulfonamides as SFRP-1 modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Welmaker, Gregory Scott; Wilson, Matthew Alan; Moore, William Jay; Kern, Jeffrey Curtis; Trybulski, Eugene John
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 158pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008060998	A1	20080522	WO 2007-US84245	20071109

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-865258P P 20061110
US 2006-865261P P 20061110
OTHER SOURCE(S): MARPAT 148:585720
GI

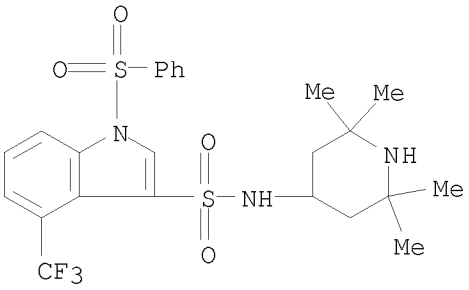


AB Indolesulfonamide compds. of formula I or pharmaceutically acceptable salts thereof, are provided, which are modulators of secreted frizzled related protein-1. The compds., and compns. containing the compds., can be

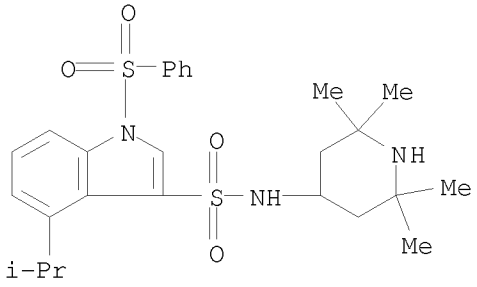
used to treat a variety of disorders, including osteoporosis. Compds. of formula I wherein R1 is (perfluoro)alkyl, halo, CN and CO2-alkyl; R2 is (un)substituted alkyl, cycloalkyl and (spiro)heterocycloalkyl; R3 is (un)substituted aryl; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II•HCl was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their SFRP-1 modulatory activity. From the assay, it was determined that compound II exhibited IC50 value of 0.27 μM and an EC50 value of 0.66 μM.

IT 1027067-96-4P 1027069-16-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indolesulfonamides as secreted frizzled related protein-1 modulators useful in the treatment of diseases)

RN 1027067-96-4 CAPLUS
CN 1H-Indole-3-sulfonamide, 1-(phenylsulfonyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)-4-(trifluoromethyl)- (CA INDEX NAME)



RN 1027069-16-4 CAPLUS
CN 1H-Indole-3-sulfonamide, 4-(1-methylethyl)-1-(phenylsulfonyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:551346 CAPLUS
DOCUMENT NUMBER: 148:526342
TITLE: White polyester film for light reflective plate
INVENTOR(S): Fujii, Hideki; Tanaka, Kazunori; Okuda, Masahiro
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008053739	A1	20080508	WO 2007-JP70582	20071023

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-292294 A 20061027

AB The invention relates to a white polyester film for a liquid crystal display reflective plate, which, when used in side light-type liquid crystal displays and downright-type liquid crystal displays, can realize a high level of brightness. A white polyester film has the thickness ≥200 μm, wherein, the wavelength coefficient of the spectral reflectance in 450-600 nm and the estimated reflectance at 560 nm are ≤ -0.0110 (%/nm) and ≥ 100 (%), resp., for one side of the white polyester film.

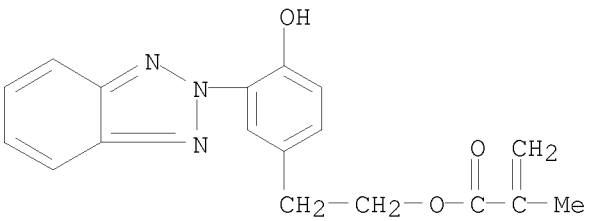
IT 1021170-45-5
RL: TEM (Technical or engineered material use); USES (Uses)
(white polyester film for light reflective plate)

RN 1021170-45-5 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1'-[2-ethyl-2-[[(1-oxo-2-propen-1-yl)oxy]methyl]-1,3-propanediyl] di-2-propenoate, methyl 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

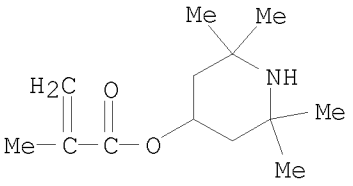
CM 1

CRN 96478-09-0
CMF C18 H17 N3 O3



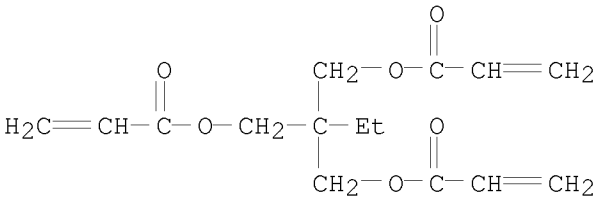
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



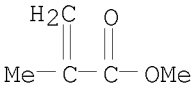
CM 3

CRN 15625-89-5
CMF C15 H20 O6



CM 4

CRN 80-62-6
CMF C5 H8 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:530160 CAPLUS
DOCUMENT NUMBER: 148:517749
TITLE: Preparation of 6-aminocarbonyl-2-phenylpyrimidine derivatives as P2Y12 receptor antagonists
INVENTOR(S): Caroff, Eva; Hilpert, Kurt; Meyer, Emmanuel
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 65pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

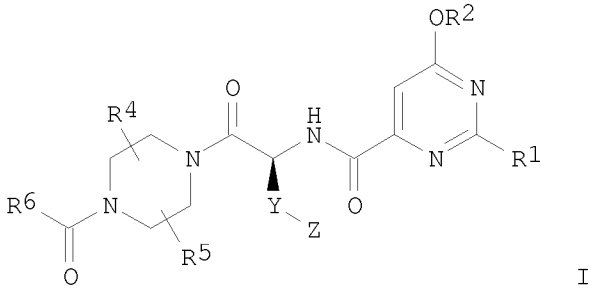
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008050301	A2	20080502	WO 2007-IB54325	20071024

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,

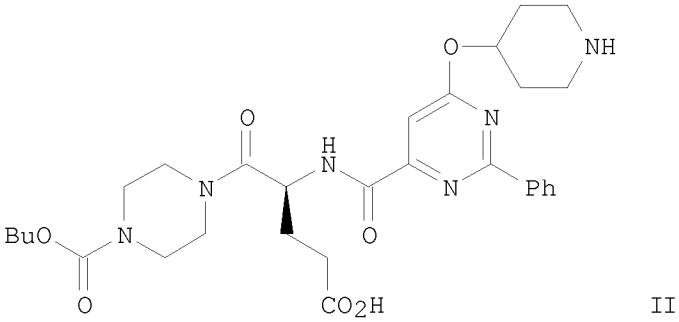
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2006-IB53929 A 20061025
OTHER SOURCE(S): MARPAT 148:517749

GI



I



II

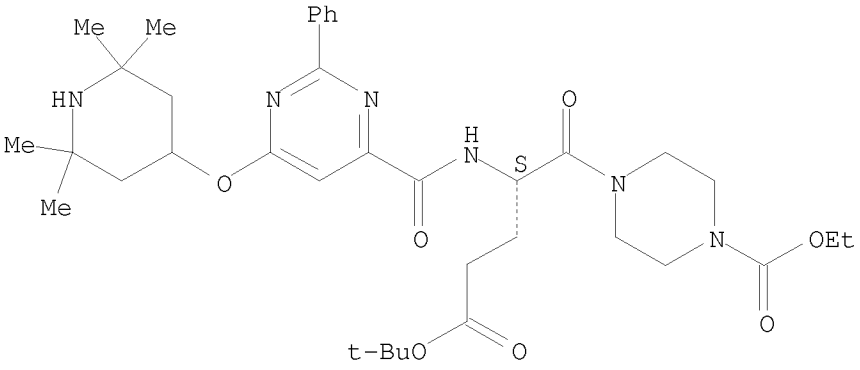
AB The title compds. I [R1 = Ph optionally substituted by halo, Me, OMe, CF3, OCF3; R2 = alkoxyalkoxyalkyl, dihydroxyalkyl, dimethoxyalkyl, 2,2-dimethyl-[1,3]dioxolan-4-yl, 2,2,6,6-tetramethylpiperidin-4-yl, cycloalkyl substituted with O, S, NH, NR3, SO, SO2; R3 = alkyl, arylalkyl; R4, R5 = H, Me; R6 = alkoxy; Y = alkylene, phenylalkylene; Z = OH, COOH, CN, tetrazolyl, COOR7; R7 = alkyl] and their salt derivs. were prepared as P2Y12 receptor antagonists. For example, glutamic acid-derived title compound II was prepared in a stepwise fashion from starting materials 1-ethoxycarbonylpiperazine, Cbz-Glu(OBu-t)-OH, 6-chloro-2-phenylpyrimidine-4-carboxylic acid and N-benzyl-4-hydroxypiperidine. In an P2Y12 receptor binding assay, II demonstrated 71 nM at IC50.

IT 1021703-03-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenyl(aminocarbonyl)pyrimidine derivs. as P2Y12 receptor antagonists)

RN 1021703-03-6 CAPLUS

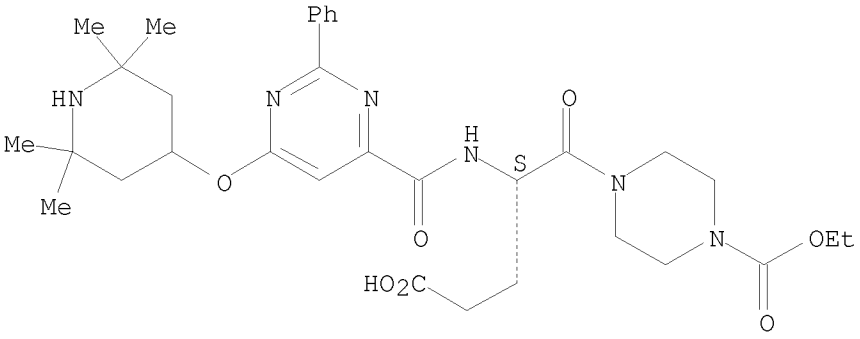
CN 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-δ-oxo-γ-[[[2-phenyl-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-4-pyrimidinyl]carbonyl]amino]-, 1,1-dimethylethyl ester, (γS)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1021702-92-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyl(aminocarbonyl)pyrimidine derivs. as P2Y12 receptor antagonists)
RN 1021702-92-0 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-δ-oxo-γ-[[[2-phenyl-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-4-pyrimidinyl]carbonyl]amino]-, (γS)- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:504716 CAPLUS
DOCUMENT NUMBER: 148:473818
TITLE: Primer compositions for use with polysiloxane coatings
INVENTOR(S): Higuchi, Koichi; Yamaya, Masaaki
PATENT ASSIGNEE(S): Shin-Etsu Chemical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 24pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1914259	A1	20080423	EP 2007-254149	20071019
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

JP 2008120986 A 20080529 JP 2007-36412 20070216
US 20080096029 A1 20080424 US 2007-870685 20071011
PRIORITY APPLN. INFO.: JP 2006-285173 A 20061019
JP 2007-36412 A 20070216

AB A primer composition for a polysiloxane hard coating comprises (a) a vinyl polymer comprising a hydrolyzable silyl group and/or SiOH group and an organic UV absorbing group bonded to its side chain, and (b) fine silica particles dispersed in an organic solvent, wherein the primer layer formed after coating and curing has a coefficient of linear expansion up to 150 + 10-6/°. Thus, a monomer solution was prepared by dissolving 2-[2'-hydroxy-5'-(2-methacryloxyethyl)phenyl]-2H-benzotriazole RUVA 93 (67.5), γ-methacryloxypropyltrimethoxysilane (90), Me methacrylate (270), and glycidyl methacrylate (22.5) in diacetone alc. (350 g), and an initiator solution was prepared by dissolving 2,2'-azobis(2-methylbutyronitrile) (2.3) in acetone alc. (177.7 g). The monomer solution (240) and the initiator solution (54) were sequentially added under nitrogen into diacetone alc. (152 g) preheated to 80°, the reaction mixture was stirred at 80° for 30 min, the remaining monomer solution and the remaining initiator solution were simultaneously added dropwise at 80-90° over 1.5 h, and the mixture was stirred at 80-90° for 5 h to obtain a polymer having an UV-absorbing monomer content of 15%, a trimethoxysilyl-containing monomer content of 20%, and a weight-average mol. weight of 60,800. To prepare a primer composition, the polymer solution (100 parts, solids) was mixed with a 30%-dispersion of silica particles (10-15 nm) in propylene glycol monomethyl ether acetate (18 parts, solids), followed by dilution with a 20/80-mixture of diacetone alc. and propylene glycol monomethyl ether to a solids content of 10%.

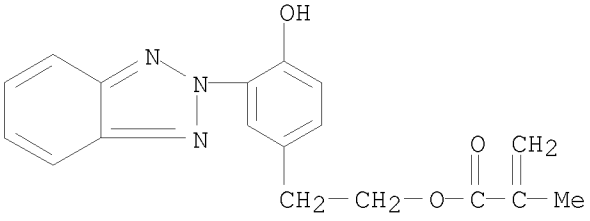
IT 1020264-03-2DP, reaction products with acetic anhydride and hexamethyldisilazane
RL: IMF (Industrial manufacture); POF (Polymer in formulation); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(primer compns. for use with polysiloxane coatings)

RN 1020264-03-2 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 2-[[3-(diethoxymethylsilyl)propoxy]methyl]oxirane, ethenyl acetate, methyl 2-methyl-2-propenoate, 2-oxiranylmethyl 2-methyl-2-propenoate, 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate, N1-[3-(trimethoxysilyl)propyl]-1,2-ethanediamine and 3-(trimethoxysilyl)propyl 2-methyl-2-propenoate (CA INDEX NAME)

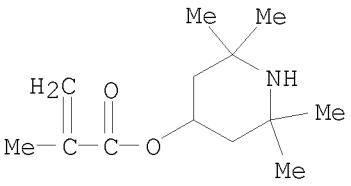
CM 1

CRN 96478-09-0
CMF C18 H17 N3 O3



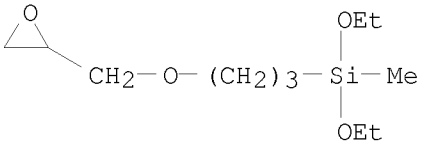
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



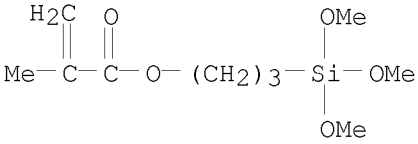
CM 3

CRN 2897-60-1
CMF C11 H24 O4 Si



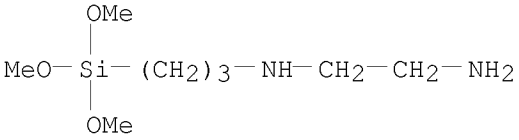
CM 4

CRN 2530-85-0
CMF C10 H20 O5 Si



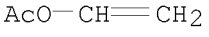
CM 5

CRN 1760-24-3
CMF C8 H22 N2 O3 Si



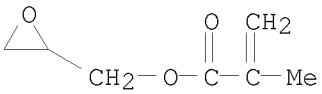
CM 6

CRN 108-05-4
CMF C4 H6 O2



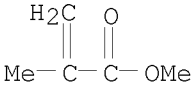
CM 7

CRN 106-91-2
CMF C7 H10 O3



CM 8

CRN 80-62-6
CMF C5 H8 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

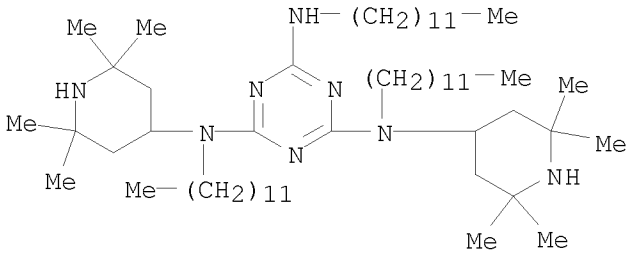
L8 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:502589 CAPLUS
DOCUMENT NUMBER: 148:497012
TITLE: Resin composition and molded article produced from the composition
INVENTOR(S): Shibuya, Atsushi; Kumamoto, Yukihiro; Wada, Masaru; Abe, Shota; Terado, Yuji; Mita, Naruyoshi; Matoishi, Kaori
PATENT ASSIGNEE(S): Mitsui Chemicals, Inc., Japan
SOURCE: PCT Int. Appl., 138pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008047468	A1	20080424	WO 2007-JP1102	20071011

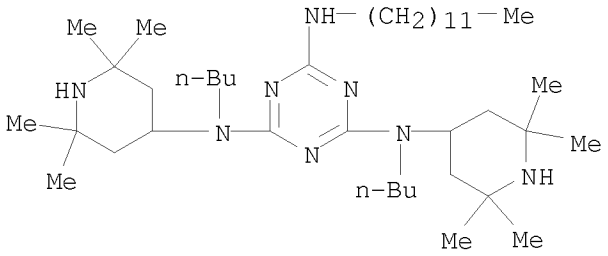
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: JP 2006-283105 A 20061017
AB The invention relates to a resin composition comprising 100 parts by mass of a polymer having an alicyclic structure in at least a part of a repeating unit and 0.05 to 5 parts by mass of a hindered amine compound having a carbon atom at a ratio of 67 to 80 wt% inclusive in the mol. structure and having a mol. weight of 500 to 3500 inclusive; a novel piperidine derivative having a piperidylaminotriazine skeleton; a molded article such as an optical component, which is produced by molding the resin composition; and an optical pickup device which utilizes the optical component.
IT 1021178-30-2P, N,N',N''-Trilauryl-N,N'-bis(2,2,6,6-tetramethylpiperidinyl)-1,3,5-triazine-2,4,6-triamine
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(LTADA; production of hindered amine compound for resin composition and molded article)
RN 1021178-30-2 CAPLUS
CN 1,3,5-Triazine-2,4,6-triamine, N2,N4,N6-tridodecyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

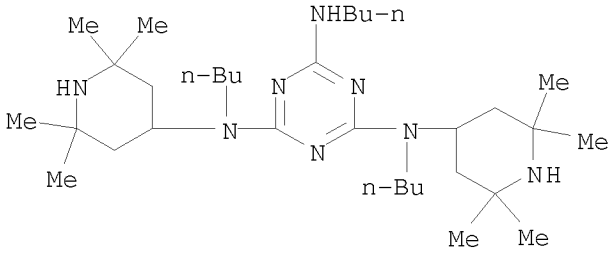


IT 1021178-33-5P, N,N'-Dibutyl-N''-dodecyl-N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine 1021178-34-6P, N,N',N''-Tributyl-N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine 1021178-35-7P, N,N'-Dibutyl-N'',N''-dioctyl-N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine 1021178-38-0P, N-Butyl-N',N''-didodecyl-N',N''-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(production of hindered amine compound for resin composition and molded article)
RN 1021178-33-5 CAPLUS
CN 1,3,5-Triazine-2,4,6-triamine, N2,N4-dibutyl-N6-dodecyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

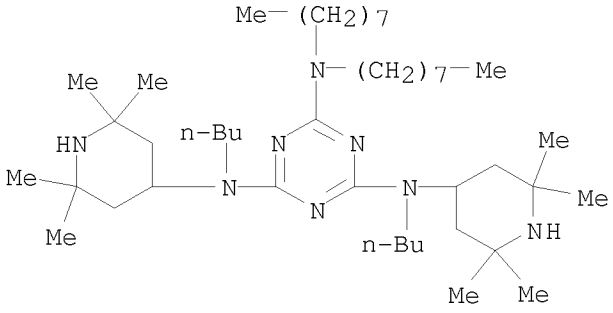


RN 1021178-34-6 CAPLUS

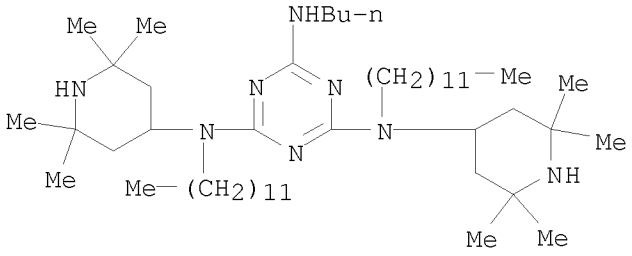
CN 1,3,5-Triazine-2,4,6-triamine, N2,N4,N6-tributyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1021178-35-7 CAPLUS
CN 1,3,5-Triazine-2,4,6-triamine, N2,N4-dibutyl-N6,N6-dioctyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1021178-38-0 CAPLUS
CN 1,3,5-Triazine-2,4,6-triamine, N6-butyl-N2,N4-didodecyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:474687 CAPLUS
DOCUMENT NUMBER: 148:472070
TITLE: Preparation of cyclopropylcarbonyl diarylmethyl piperazines as calcium channel blockers
INVENTOR(S): Pajouhesh, Hassan; Pajouhesh, Hossein; Kaul, Ramesh
PATENT ASSIGNEE(S): Neuromed Pharmaceuticals Ltd., Can.
SOURCE: PCT Int. Appl., 60pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

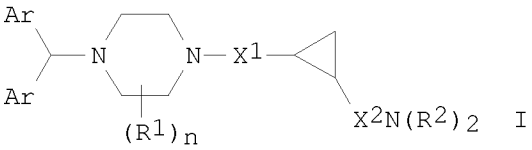
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008043183	A1	20080417	WO 2007-CA1827	20071012

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-851515P P 20061013
OTHER SOURCE(S): MARPAT 148:472070
GI



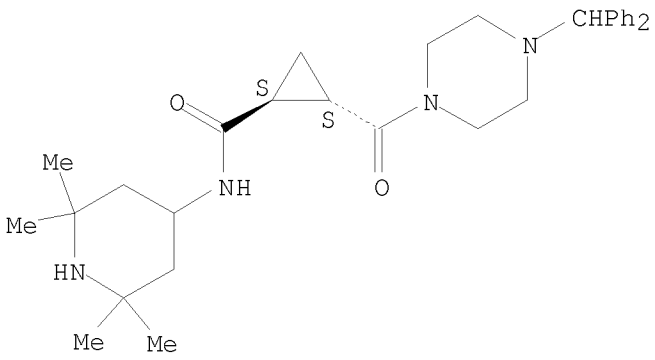
I

AB Title compds. [I; X1, X2 = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene, heteroalkenylene, heteroalkynylene; Ar = (substituted) aryl, heteroaryl; R1 = :O, :NOR', halo, cyano, OR', SR', SOR', SO2R', N(R')2, NR'SO2R', NR'COR', (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, aryl, heteroaryl, aralkyl, heteroaralkyl, aryloxy, heteroaryloxy; R' = H, (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, heteroaryl, aryl, aralkyl, heteroarylalkyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, aryl, heteroaryl, heteroarylalkyl, aralkyl; n = 0-4], were prepared Thus, (1R,2R)-2-(4-benzhydrylpiperazine-1-carbonyl)-N-tert-butylcyclopropanecarboxamide [4 step preparation from di-Et (1R,2R)-1,2-cyclopropanecarboxylate, 1-diphenylmethylpiperazine, and tert-butylamine given] showed N-type calcium channel blocking activity with IC50 = 0.16 μM at 0.067 Hz.

IT 1019771-37-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of cyclopropylcarbonyl diarylmethyl piperazines as calcium channel blockers)

RN 1019771-37-9 CAPLUS
CN Cyclopropanecarboxamide, 2-[[4-(diphenylmethyl)-1-piperazinyl]carbonyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:410396 CAPLUS
DOCUMENT NUMBER: 148:426904
TITLE: Preparation of phenyl(piperazinylphenyl)pyrazolo[1,5-a]pyrimidinylamine derivatives for use as Lck inhibitors
INVENTOR(S): Buehlmayer, Peter; Breitenstein, Werner; Furet, Pascal; Pirard, Bernard; Von Matt, Anette; Zoller, Thomas
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: PCT Int. Appl., 87pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008037459	A1	20080403	WO 2007-EP8390	20070926

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2006-121416 A 20060928
OTHER SOURCE(S): MARPAT 148:426904
GI

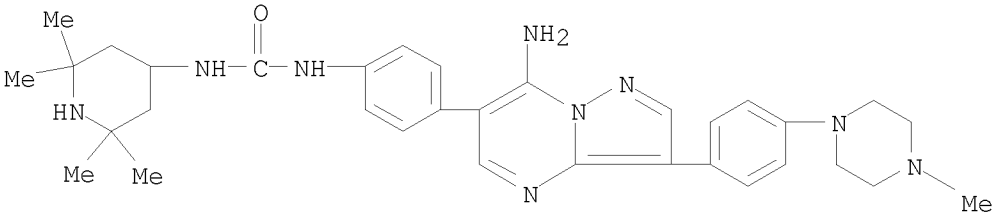
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 and R2 independently = H, OH, NH2, alkoxy, etc.; provided that at least one is not H; R3 = H, halo, alkyl, or alkoxy; R4 = H, (un)substituted alkyl, or alkoxy; R5, R6, and R7 independently = H, OH,

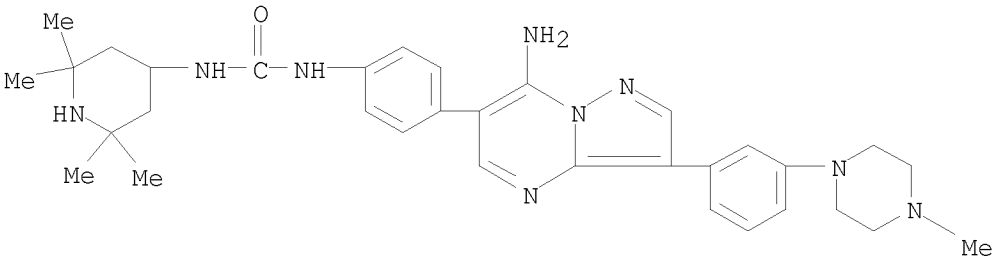
OR8; provided that at least one is not H; R8 = alkyl, piperidine, methylmorpholine, etc.; with several provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as Lck (lymphocyte specific protein tyrosine kinase) inhibitors. Thus, e.g., II was prepared by heterocyclization of 4-[4-(4-methylpiperazin-1-yl)phenyl]-2H-pyrazol-3-ylamine (preparation given) and 3-dimethylamino-2-(4-nitrophenyl)acrylonitrile (preparation given) followed by reduction and amidation with iso-Bu chloroformate. I were evaluated in biochem. Lck kinase assays, e.g., II demonstrated an IC50 value of 10 nM.

IT 1017271-55-4P 1017271-57-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyl(piperazinylphenyl)pyrazolo[1,5-a]pyrimidinylamine derivs. for use as Lck inhibitors)

RN 1017271-55-4 CAPLUS
CN Urea, N-[4-[7-amino-3-[4-(4-methyl-1-piperazinyl)phenyl]pyrazolo[1,5-a]pyrimidin-6-yl]phenyl]-N'-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1017271-57-6 CAPLUS
CN Urea, N-[4-[7-amino-3-[3-(4-methyl-1-piperazinyl)phenyl]pyrazolo[1,5-a]pyrimidin-6-yl]phenyl]-N'-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



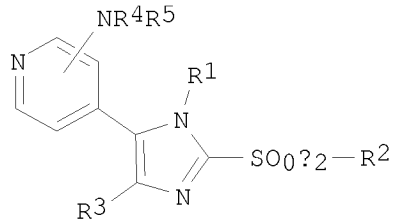
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:253345 CAPLUS
DOCUMENT NUMBER: 148:308343
TITLE: Imidazole derivatives as antiinflammatory agents and their preparation, pharmaceutical compositions and use in the treatment of inflammation associated with immune system impairment
INVENTOR(S): Albrecht, Wolfgang; Hauser, Dominik; Laufer, Stefan; Striegel, Hans-Guenter; Tollmann, Karola
PATENT ASSIGNEE(S): Merckle GmbH, Germany

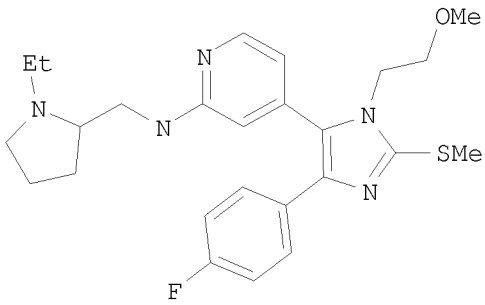
SOURCE: PCT Int. Appl., 99pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008023066	A1	20080228	WO 2007-EP58847	20070824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1894925	A1	20080305	EP 2006-17677	20060824
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.: EP 2006-17677 A 20060824
OTHER SOURCE(S): MARPAT 148:308343
GI



I



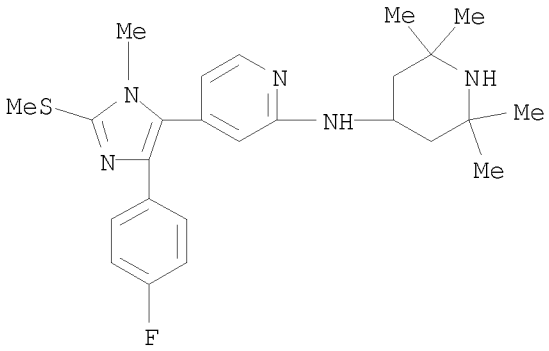
II

AB The invention relates to imidazole derivs. of formula I, which have immunomodulatory and/or cytokine release-inhibitory effects and are therefore suitable for the treatment of disorders associated with an impairment of the immune system. Compds. of formula I wherein R1 is

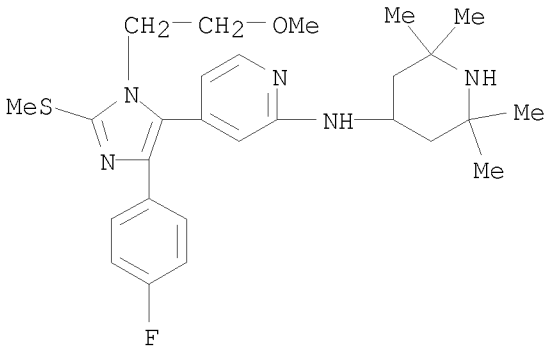
(un)substituted C1-6 alkyl, C1-6 oxoalkyl, C2-6 alkenyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, etc.; R2 is (un)substituted C1-6 alkyl, (un)substituted phenyl-C1-4 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C2-6 alkynyl and (un)substituted phenyl; R1R2 together is (CH2)2-3; R3 is (un)substituted phenyl; R4 is H, C1-4 alkyl, Ph, benzyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkoxy-C3-7 cycloalkyl, hydroxy-C1-6 alkyl and hydroxy-C3-7 cycloalkyl; R5 is C1-6 alkoxy-C1-6 alkyl, C1-6 alkoxy-C3-7 cycloalkyl, hydroxy-C2-6 alkyl, hydroxy-C3-7 cycloalkyl, C3-7 oxocycloalkyl, etc.; and their optical isomers and physiol. tolerated salts thereof, are claimed. Example compound II was prepared by N-arylation of (1-ethylpyrrolidin-2-yl)methylamine with 2-fluoro-4-[5-(4-fluorophenyl)-3-(2-methoxyethyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridine. All the invention compds. were evaluated for their antiinflammatory activity. From the assay, it was determined that compound II and some of the other tested compds. exhibited the IC50 values of less than 1 μM.

IT 1009307-91-8P, N-[4-[5-(4-Fluorophenyl)-3-methyl-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine
1009307-92-9P, N-[4-[5-(4-Fluorophenyl)-3-(2-methoxyethyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of imidazole derivs. as antiinflammatory agents useful in treatment of inflammation associated with immune system impairment)

RN 1009307-91-8 CAPLUS
CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-methyl-2-(methylthio)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

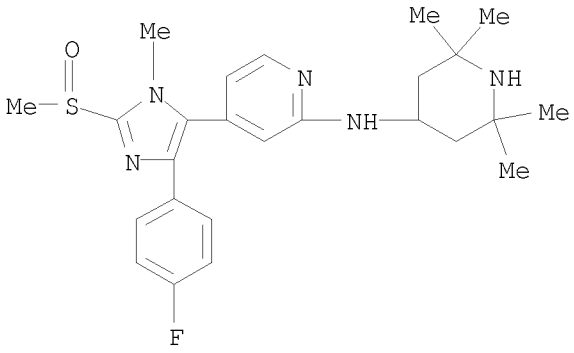


RN 1009307-92-9 CAPLUS
CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-(2-methoxyethyl)-2-(methylthio)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

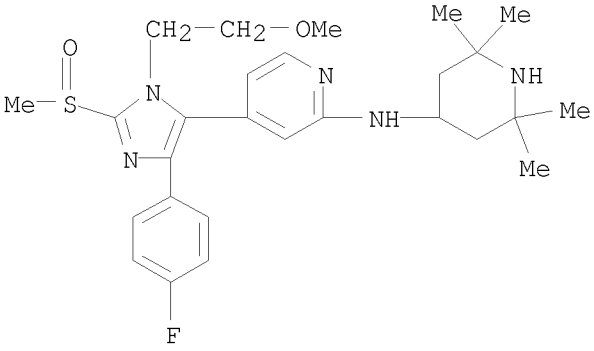


IT 1009307-97-4P, N-[4-[5-(4-Fluorophenyl)-2-methylsulfinyl-3-methyl-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine
1009307-98-5P, N-[4-[5-(4-Fluorophenyl)-2-methylsulfinyl-3-(2-methoxyethyl)-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazole derivs. as antiinflammatory agents useful in treatment of inflammation associated with immune system impairment)

RN 1009307-97-4 CAPLUS
CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-methyl-2-(methylsulfinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1009307-98-5 CAPLUS
CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-(2-methoxyethyl)-2-(methylsulfinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

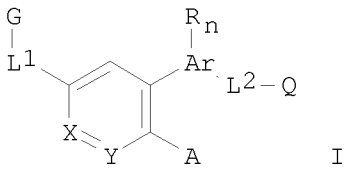
L8 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:223700 CAPLUS
DOCUMENT NUMBER: 148:285056
TITLE: Preparation of N-pyridinyl benzamides derivatives as cytokine inhibitors
INVENTOR(S): Boman, Erik; Ernst, Justin; Montalban, Antonio Garrido; Larson, Christopher; Lum, Christopher; Pei, Yazhong; Sebo, Lubomir; Urban, Jan; Wang, Zhijun; Zhu, Jay
PATENT ASSIGNEE(S): Kemia, Inc., USA
SOURCE: PCT Int. Appl., 309pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021388	A1	20080221	WO 2007-US18049	20070816

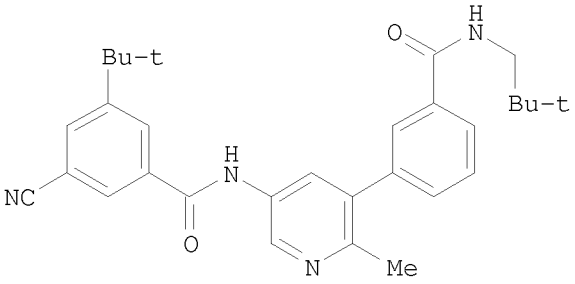
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-838795P P 20060817
US 2007-891470P P 20070223
OTHER SOURCE(S): MARPAT 148:285056
GI



I



II

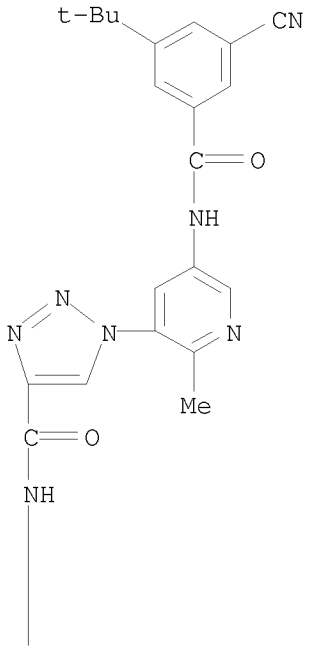
AB The title compds. I [X = CH, N or NO; Y = CH, N, NO, provided that X and Y are not both CH or NO; A = halo, alkyl, alkoxy, etc.; G = (un)substituted (hetero)aryl; Ar = 6-membered aryl or heteroaryl; L1 = CONH; L2 = a bond, CONH, CONHCH2, etc.; Q = (un)substituted alkyl, cycloalkyl, aryl, etc.; R = H or alkyl; n = 0-2; with the provision] were prepared and disclosed as cytokine inhibitors. E.g., a multi-step synthesis of II, starting from 2-methyl-3-bromo-5-nitropyridine, was given. Each of 345 exemplified compds. I listed in a table was tested in the TNFα ELISA assay and was found to have activity therein, with most compds. having IC50s below 10 μM in this assay. In particular, I are useful as anti-inflammatory agents. Further disclosed are methods for their use in preventing or treating conditions mediated by cytokines, such as for example arthritis, pain, and cancer.

IT 1008135-95-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridinyl benzamides as cytokine inhibitors useful in treating and preventing cytokine-mediated diseases)

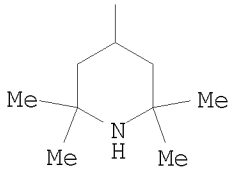
RN 1008135-95-2 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 1-[5-[[3-cyano-5-(1,1-dimethylethyl)benzoyl]amino]-2-methyl-3-pyridinyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:183363 CAPLUS
DOCUMENT NUMBER: 148:240034
TITLE: Light stabilizer group-containing siloxane oligomers and their manufacture
INVENTOR(S): Honma, Takayuki; Kubota, Toru; Kiyomori, Ayumi
PATENT ASSIGNEE(S): Shin-Etsu Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008031077	A	20080214	JP 2006-205857	20060728

PRIORITY APPLN. INFO.: JP 2006-205857 20060728

AB Title oligomers having ≥1 hindered amino group-containing organic groups and ≥1 alkoxy or OH groups per mol. are manufactured by hydrolysis and

condensation of (A) R1Si(OR2)3 or (B) R1R3mSi(OR2)3-m with R4nSi(OR2)4-n [R1 = hindered amino-containing monovalent organic group; OR2 = C1-10 alkoxyl; R3 = C1-30 (un)substituted monovalent hydrocarbyl; R4 = C1-30 (un)substituted monovalent hydrocarbyl, 1-5 Si-containing group; m = 0-2; when m = 0, then n = 0-3; when m = 1, 2, then n = 0, 1]. Thus, 3-(2,2,6,6-tetramethylpiperidinyl-4-oxy)propyltrimethoxysilane was refluxed with AcONa in MeOH and H2O for 3 h to give transparent oil with viscosity 5200 mm2/s at 25°.

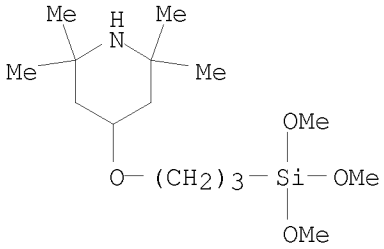
IT 1006028-96-1P, Propyltrimethoxysilane-[3-(2,2,6,6-tetramethylpiperidinyl-4-oxy)propyltrimethoxysilane copolymer
1006028-98-3P, 3-Methacryloxypropyltrimethoxysilane-[3-(2,2,6,6-tetramethylpiperidinyl-4-oxy)propyltrimethoxysilane copolymer
1006029-00-0P, [3-(2,2,6,6-Tetramethylpiperidinyl-4-oxy)propyltriethoxysilane homopolymer
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(oligomeric; manufacture of hindered amine-modified siloxane oligomers as light stabilizers)

RN 1006028-96-1 CAPLUS

CN Piperidine, 2,2,6,6-tetramethyl-4-[3-(trimethoxysilyl)propoxy]-, polymer with trimethoxypropylsilane (CA INDEX NAME)

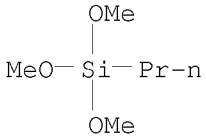
CM 1

CRN 104086-94-4
CMF C15 H33 N O4 Si



CM 2

CRN 1067-25-0
CMF C6 H16 O3 Si



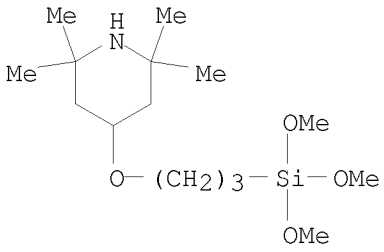
RN 1006028-98-3 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 3-(trimethoxysilyl)propyl ester, polymer with 2,2,6,6-tetramethyl-4-[3-(trimethoxysilyl)propoxy]piperidine (CA INDEX NAME)

CM 1

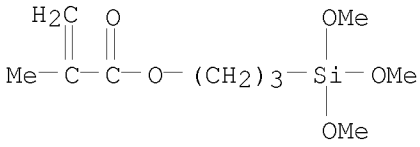
CRN 104086-94-4

CMF C15 H33 N O4 Si



CM 2

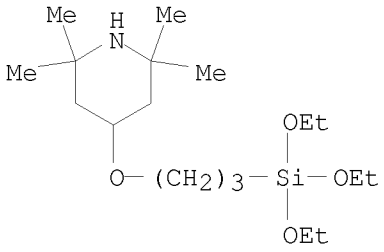
CRN 2530-85-0
CMF C10 H20 O5 Si



RN 1006029-00-0 CAPLUS
CN Piperidine, 2,2,6,6-tetramethyl-4-[3-(triethoxysilyl)propoxy]-, homopolymer (CA INDEX NAME)

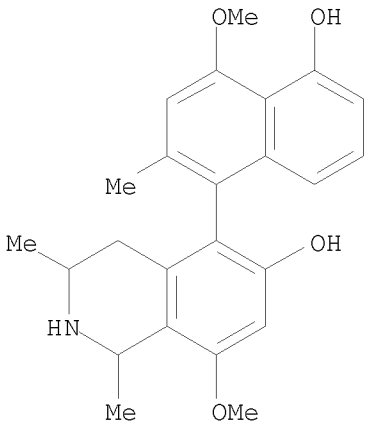
CM 1

CRN 102089-34-9
CMF C18 H39 N O4 Si



L8 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:175807 CAPLUS
DOCUMENT NUMBER: 148:280306
TITLE: Six naphthylisoquinoline alkaloids and a related benzopyranone from a Congolese Ancistrocladus species related to Ancistrocladus congolensis
AUTHOR(S): Bringmann, Gerhard; Spuziak, Joanna; Faber, Johan H.; Gulder, Tanja; Kajahn, Inga; Dreyer, Michael; Heubl, Guenther; Brun, Reto; Mudogo, Virima
CORPORATE SOURCE: Institute of Organic Chemistry, University of Wuerzburg, Wuerzburg, D-97074, Germany
SOURCE: Phytochemistry (Elsevier) (2008), 69(4), 1065-1075

CODEN: PYTCAS; ISSN: 0031-9422
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB From the roots of a recently discovered Ancistrocladus taxon, with close affinities to Ancistrocladus congolensis regarding mol. ITS sequence data, six naphthylisoquinoline alkaloids, 5'-O-demethylhamatine (2), 5'-O-demethylhamatinine (3), 6-O-demethylancistroealaine A (4), 6,5'-O,O-didemethylancistroealaine A (5), 5-epi-6-O-methylancistrobertsonine A (6), and 5-epi-4'-O-demethylancistrobertsonine C (7), have been isolated, along with a likewise benzopyranone carboxylic acid, 8. The structural elucidation succeeded by chemical, spectroscopic, and chiroptical methods. Their bioactivities were tested against protozoan parasites causing severe tropical diseases. Furthermore, eight known related alkaloids were identified.
IT 1008775-69-6P
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(alkaloids and related benzopyranone from Congolese Ancistrocladus species related to Ancistrocladus congolensis)
RN 1008775-69-6 CAPLUS
CN 6-Isoquinolinol, 1,2,3,4-tetrahydro-5-(5-hydroxy-4-methoxy-2-methyl-1-naphthalenyl)-8-methoxy-1,3-dimethyl-, (1S,3S,5R)- (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:159325 CAPLUS
DOCUMENT NUMBER: 148:242409
TITLE: Sterically hindered amines containing the tetramethylpiperidinyl group as lubricating oil stabilizers
INVENTOR(S): Chasan, David Eliezer; Wilson, Patricia Roberta; Ribeaud, Marc
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 13pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008015116	A2	20080207	WO 2007-EP57552	20070723
WO 2008015116	A3	20080320		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

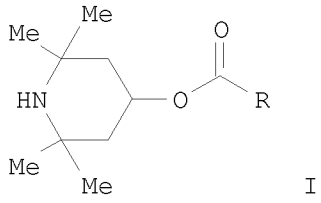
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080051306	A1	20080228	US 2007-881718	20070727

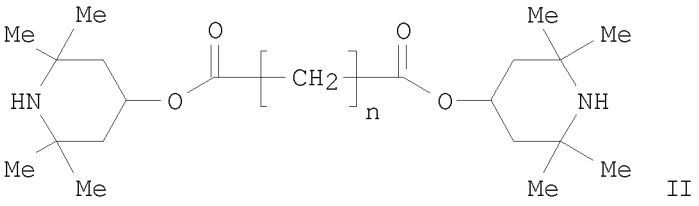
PRIORITY APPLN. INFO.: US 2006-834383P P 20060731

OTHER SOURCE(S): MARPAT 148:242409

GI



I



II

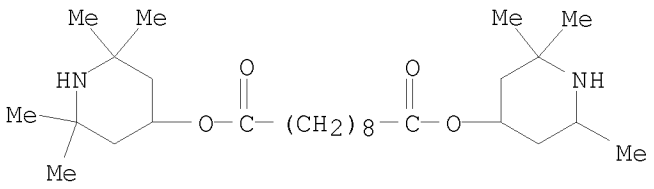
AB Sterically hindered amines, with an N-H bond, for stabilizers and antioxidants in lubricating oils, are 2,2,6,6-tetramethylpiperidinyl group-containing esters of formulas I and II, in which R is linear or branched C7-17-alkyl and n = 6-18. The sterically hindered amines are non-aggressive towards fluoroelastomer O-rings or seals.

IT 1005494-52-9

RL: MOA (Modifier or additive use); USES (Uses)
(antioxidant-stabilizers; sterically hindered amines containing the tetramethylpiperidinyl group as lubricating oil stabilizers)

RN 1005494-52-9 CAPLUS

CN Decanedioic acid, 1-(2,2,6,6-tetramethyl-4-piperidinyl) 10-(2,2,6-trimethyl-4-piperidinyl) ester (CA INDEX NAME)



L8 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:124384 CAPLUS
DOCUMENT NUMBER: 148:192752
TITLE: Improved processing conditions of polyethylene articles in course of their manufacture by melt processing
INVENTOR(S): Dongiovanni, Ernesto; Supat, Korada; Saisuwan, Warangkana; Kroehnke, Christoph
PATENT ASSIGNEE(S): Clariant International Ltd, Switz.
SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008012319	A1	20080131	WO 2007-EP57647	20070725

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2006-291216 A 20060725

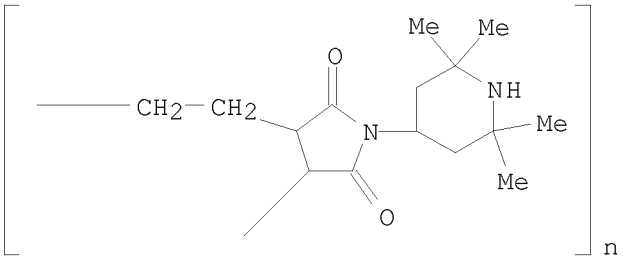
AB The manufacture of polyethylene based wall articles with improved color and processing conditions, can be surprisingly reached by the use of a specific combination of stabilizers. The combination COMB of compds. comprises a component A, a component B and a component D; wherein the component A comprises a compound obtainable by reacting PCl3 with 4,4'-thiobis-(6-t-butyl-m-cresol); the component B is selected from the group consisting of the compds. of tris(2,4-di-tert-butylphenyl)phosphite, bis(2,4-di-t-butylphenyl)pentaerythritol diphosphite and tetrakis(2,4-di-tert-butylphenyl)[1,1 -biphenyl]-4,4'-diylbisphonite; the component D is selected from the group consisting of the compds. of N,N'-bis(2,2,6,6-tetramethyl-4-piperidyl)hexamethylenediamine and 2,4-dichloro-N-(1,1,3,3-tetramethylbutyl)- 1,3,5-triazin-2-amine copolymer, polymer of 2,2,4,4-tetramethyl-7-oxa-3,20-diaza-dispiro [5.1.11.2]-heneicosan-21-on and epichlorohydrine, 1,6-Hexanediamine, N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-, polymer with 2,4,6-trichloro-1,3,5-triazine, reaction products with, N-butyl-1-butanamine and N-butyl-2,2,6,6-tetramethyl-4-piperidinamine, poly-[1 -(2'-Hydroxyethyl)-2,2,6,6-tetramethyl-4-hydroxy piperidylsuccinate. Component D is also selected from poly-[(6-morpholino-s-triazine-2,4-diyl)[2,2,6,6-tetramethyl-4-piperidyl)

imino]-hexamethylene-[(2,2,6,6-tetramethyl-4-piperidyl) imino]], 1,3-Bis-[2'-cyano-3',3-diphenylacryloyl)oxy]-2,2-bis- { [2-cyano-3',3'-diphenylacryloyl)oxy]methyl } propane and propanedioic acid [(4-methoxyphenyl)-methylene]-bis(1,2,2,6,6-pentamethyl-4-piperidinyl) ester,) and the combination of the compds. of [N,N'-bis(2,2,6,6-tetramethyl-4-piperidyl)hexamethylenediamine 2,4-dichloro-N-(1,1,3,3-tetramethylbutyl)- 1,3,5-triazin-2-amine] copolymer and poly[1 -(2'-hydroxyethyl)-2,2,6,6-tetramethyl-4-hydroxy piperidylsuccinate]; and optionally comprises at least one component selected from the group consisting of component C, component E and component F; the component C being a primary sterically hindered phenol based antioxidant, the component E being a UV absorber, and the component F being an anti-acid.

IT 1004523-26-5D, alkyl derivative
RL: TEM (Technical or engineered material use); USES (Uses)
(improved processing conditions of polyethylene articles)

RN 1004523-26-5 CAPLUS

CN Poly[[2,5-dioxo-1-(2,2,6,6-tetramethyl-4-piperidinyl)-3,4-pyrrolidinediyl]-1,2-ethanediyl] (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:97048 CAPLUS
DOCUMENT NUMBER: 148:191958
TITLE: Benzothiophene derivatives, processes for preparing them, pharmaceutical compositions containing them, and their use as inhibitors of Rho kinase
INVENTOR(S): Kahraman, Mehmet; Borchardt, Allen J.; Cook, Travis G.; Davis, Robert L.; Gardiner, Elisabeth M. M.; Malecha, James W.; Noble, Stewart A.; Prins, Thomas J.
PATENT ASSIGNEE(S): Borchardt, Allen, J., USA; Cook, Travis, G.; Davis, Robert, L.; Gardiner, Elisabeth, M.M.; Malecha, James, W.; Noble, Stewart, A.; Prins, Thomas, J.
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

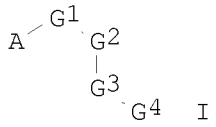
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008011560	A2	20080124	WO 2007-US73971	20070720
WO 2008011560	A3	20080327		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,

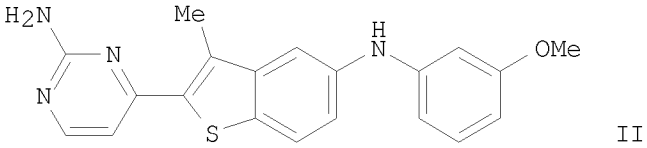
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080021217	A1	20080124	US 2007-780735	20070720
US 20080021026	A1	20080124	US 2007-780834	20070720
PRIORITY APPLN. INFO.:			US 2006-832634P	P 20060720
			US 2007-915772P	P 20070503

OTHER SOURCE(S): MARPAT 148:191958
GI



I



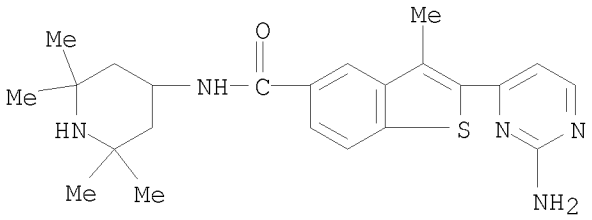
II

AB The invention relates to heteroaryl compds. I, processes for preparing them, pharmaceutical prepns. comprising them, and their pharmaceutical use. I are inhibitors of Rho kinase, useful in the treatment of, e.g., hypertension, etc. In compds. I, A is (un)substituted heteroaryl; G1 is (un)substituted fused bicyclic heteroaryl; G2 is (un)substituted (CH2)mZ(CH2)p and null, wherein m and p are 0 to 4, Z is (un)substituted NH, NHC(O), O, C(O), or null, etc.; G3 is (un)substituted alkyl, aryl, alkoxy, etc.; G4 is H, halo, (un)substituted NH2, alkyl, alkoxy, etc.; including pharmaceutically acceptable salts, esters, or prodrugs thereof. For instance, the invention compound II was prepared and gave 9.5% (or 18.6%) lowering of IOP (intraocular pressure) vs. control at 0.3% (or 1.0%) in monkeys.

IT 1003906-21-5P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzothiophene derivs. as inhibitors of Rho kinase)

RN 1003906-21-5 CAPLUS

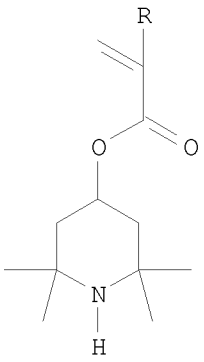
CN Benzo[b]thiophene-5-carboxamide, 2-(2-amino-4-pyrimidinyl)-3-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



L8 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:94297 CAPLUS
DOCUMENT NUMBER: 148:169500
TITLE: Crosslinked (meth)acrylic ester copolymer and secondary-battery electrode using the same
INVENTOR(S): Fujimoto, Nobutaka; Ueda, Koji
PATENT ASSIGNEE(S): Sumitomo Seika Chemicals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 32pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008010356	A1	20080124	WO 2007-JP61311	20070604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008045096	A	20080228	JP 2006-237785	20060901
PRIORITY APPLN. INFO.:			JP 2006-197290 A	20060719
			JP 2006-237785 A	20060901

GI



II

AB A crosslinked (meth)acrylic ester copolymer having good stability to solvents and crack resistance, is obtained by polymerizing a (meth)acrylic acid imino compound I (R = H, methyl) with a (meth)acrylic acid ester in the presence of a crosslinking agent and nitrooxidn, reacting the polymer.

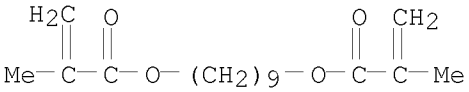
IT 1002322-80-6DP, oxidized 1002322-81-7DP, oxidized 1002322-82-8DP, oxidized
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(crosslinked (meth)acrylic ester copolymer for secondary-battery electrode)

RN 1002322-80-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 1,1'-(1,9-nonanediyl) ester, polymer with octadecyl 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

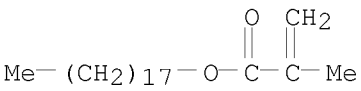
CM 1

CRN 65833-30-9
CMF C17 H28 O4



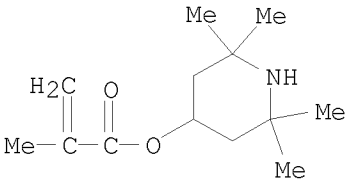
CM 2

CRN 32360-05-7
CMF C22 H42 O2



CM 3

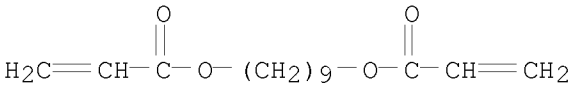
CRN 31582-45-3
CMF C13 H23 N O2



RN 1002322-81-7 CAPLUS
CN 2-Propenoic acid, 2-methyl-, octadecyl ester, polymer with 1,1'-(1,9-nonanediyl) di-2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

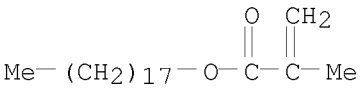
CM 1

CRN 107481-28-7
CMF C15 H24 O4



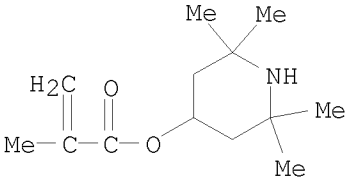
CM 2

CRN 32360-05-7
CMF C22 H42 O2



CM 3

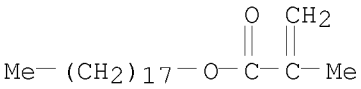
CRN 31582-45-3
CMF C13 H23 N O2



RN 1002322-82-8 CAPLUS
CN 2-Propenoic acid, 2-methyl-, 1,1'-(1,2-ethanediyl) ester, polymer with octadecyl 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

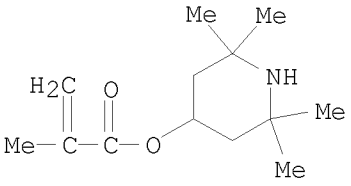
CM 1

CRN 32360-05-7
CMF C22 H42 O2



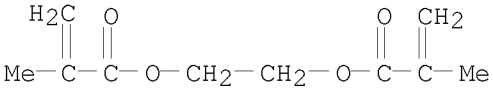
CM 2

CRN 31582-45-3
CMF C13 H23 N O2



CM 3

CRN 97-90-5
CMF C10 H14 O4



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> s L3
L9          77 L3

=> s L5
L10         10 L5

=>

=> s cancer
        370638 CANCER
         54465 CANCERS
L11     384282 CANCER
                (CANCER OR CANCERS)

=> s L9 AND L11
L12          2 L9 AND L11

=> s L10 AND L11
L13          0 L10 AND L11

=> d L12 1-2 ibib abs hitstr

L12  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2008:223700  CAPLUS
DOCUMENT NUMBER:         148:285056
```

TITLE: Preparation of N-pyridinyl benzamides derivatives as cytokine inhibitors

INVENTOR(S): Boman, Erik; Ernst, Justin; Montalban, Antonio Garrido; Larson, Christopher; Lum, Christopher; Pei, Yazhong; Sebo, Lubomir; Urban, Jan; Wang, Zhijun; Zhu, Jay

PATENT ASSIGNEE(S): Kemia, Inc., USA

SOURCE: PCT Int. Appl., 309pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021388	A1	20080221	WO 2007-US18049	20070816

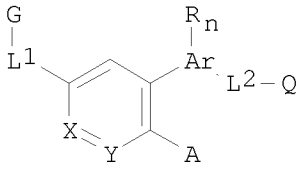
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

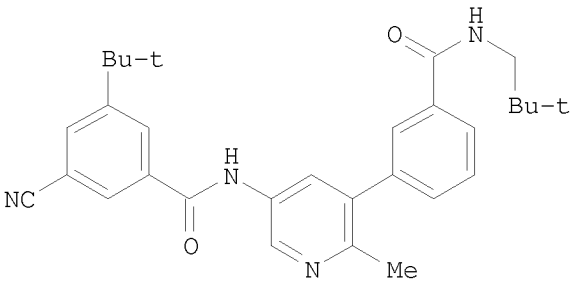
PRIORITY APPLN. INFO.: US 2006-838795P P 20060817
US 2007-891470P P 20070223

OTHER SOURCE(S): MARPAT 148:285056

GI



I



II

AB The title compds. I [X = CH, N or NO; Y = CH, N, NO, provided that X and Y are not both CH or NO; A = halo, alkyl, alkoxy, etc.; G = (un)substituted (hetero)aryl; Ar = 6-membered aryl or heteroaryl; L1 = CONH; L2 = a bond, CONH, CONHCH2, etc.; Q = (un)substituted alkyl, cycloalkyl, aryl, etc.; R

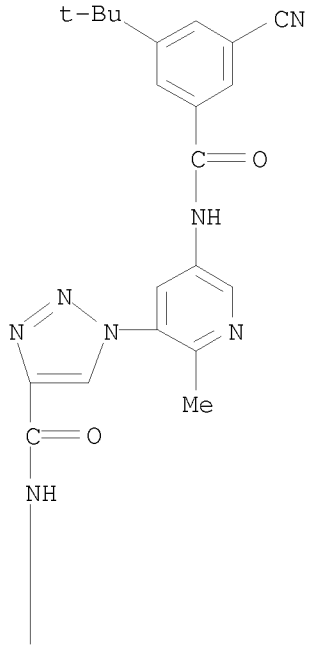
= H or alkyl; n = 0-2; with the provision] were prepared and disclosed as cytokine inhibitors. E.g., a multi-step synthesis of II, starting from 2-methyl-3-bromo-5-nitropyridine, was given. Each of 345 exemplified compds. I listed in a table was tested in the TNFα ELISA assay and was found to have activity therein, with most compds. having IC50s below 10 μM in this assay. In particular, I are useful as anti-inflammatory agents. Further disclosed are methods for their use in preventing or treating conditions mediated by cytokines, such as for example arthritis, pain, and cancer.

IT 1008135-95-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridinyl benzamides as cytokine inhibitors useful in treating and preventing cytokine-mediated diseases)

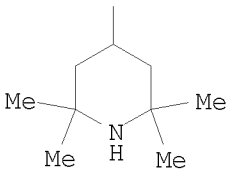
RN 1008135-95-2 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 1-[5-[[3-cyano-5-(1,1-dimethylethyl)benzoyl]amino]-2-methyl-3-pyridinyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1332992 CAPLUS
DOCUMENT NUMBER: 148:11252
TITLE: Preparation of substituted purinamines as antitumor agents
INVENTOR(S): Bajji, Ashok C.; Kim, Se-Ho; Markovitz, Benjamin; Trovato, Richard; Tangallapally, Rajendra; Anderson, Mark B.; Wettstein, Daniel; Shenderovich, Mark; Vanecko, John A.
PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA
SOURCE: PCT Int. Appl., 477pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007134298	A2	20071122	WO 2007-US68899	20070514
WO 2007134298	A3	20080731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20070299258	A1	20071227	US 2007-748362	20070514
PRIORITY APPLN. INFO.:			US 2006-799874P P	20060512
			US 2006-822159P P	20060811
			US 2006-865140P P	20061109
			US 2007-883707P P	20070105

OTHER SOURCE(S): MARPAT 148:11252
GI

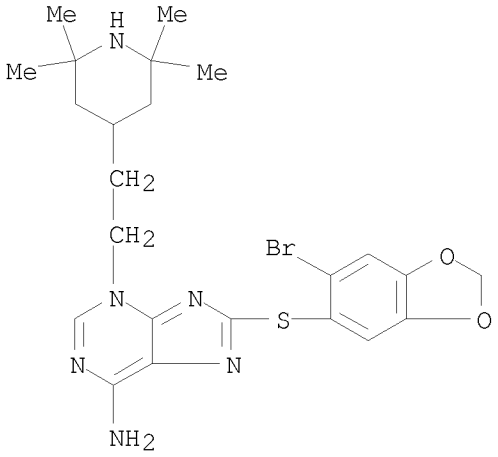
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I-III [A, B = (un)substituted aryl, heteroaryl, heterocyclyl, cycloalkyl; R1 = H, alkyl, aryl, heteroaryl, etc.; L1, L2 = (CH2)n(CH2)n, (CH2)nC(O)(CH2)n, (CH2)nC(O)N(CH2)n, etc.; n = 0-8], useful for treating Hsp90 dependent disorders such as cancer, were prepared and claimed. Thus, reacting 8-(2,5-dimethoxyphenylsulfanyl)-9H-purin-6-ylamine with (2-bromoethyl)benzene in the presence of Barton's base in DMF afforded 9% IV and 8% V. Compds. I were evaluated for binding to purified Hsp90 (data given).
IT 958016-75-6P 958016-81-4P 958016-93-8P
958016-95-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted purinamines as antitumor agents)
RN 958016-75-6 CAPLUS
CN 3H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-3-[2-(2,2,6,6-

tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

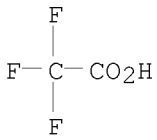
CM 1

CRN 958016-74-5
CMF C23 H29 Br N6 O2 S



CM 2

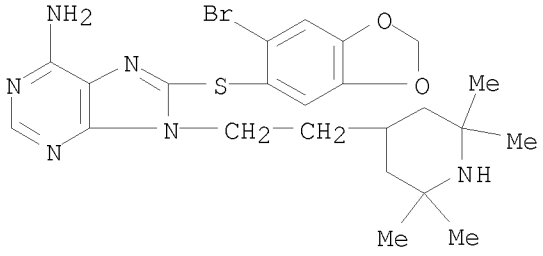
CRN 76-05-1
CMF C2 H F3 O2



RN 958016-81-4 CAPLUS
CN 9H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

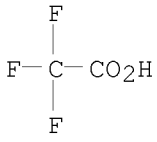
CM 1

CRN 958016-80-3
CMF C23 H29 Br N6 O2 S



CM 2

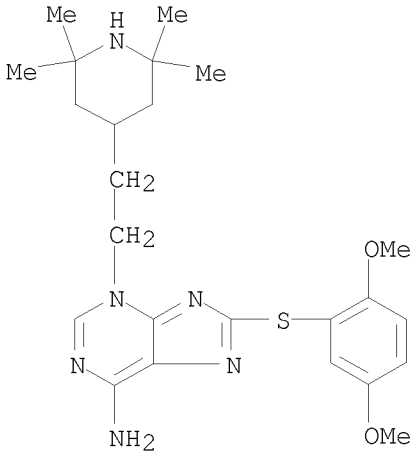
CRN 76-05-1
CMF C2 H F3 O2



RN 958016-93-8 CAPLUS
CN 3H-Purin-6-amine, 8-[(2,5-dimethoxyphenyl)thio]-3-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

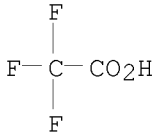
CM 1

CRN 958016-92-7
CMF C24 H34 N6 O2 S



CM 2

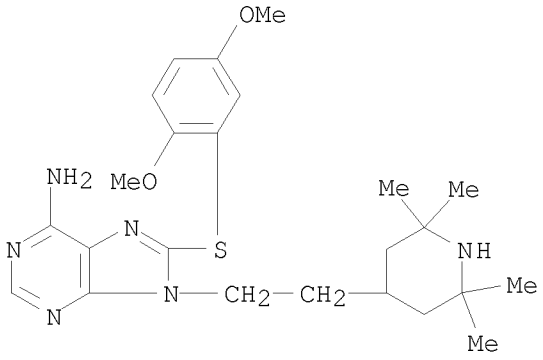
CRN 76-05-1
CMF C2 H F3 O2



RN 958016-95-0 CAPLUS
CN 9H-Purin-6-amine, 8-[(2,5-dimethoxyphenyl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

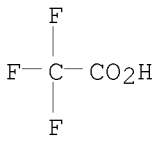
CM 1

CRN 958016-94-9
CMF C24 H34 N6 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.35	499.12

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.40	-18.40

FILE 'REGISTRY' ENTERED AT 13:52:22 ON 18 AUG 2008

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2
DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

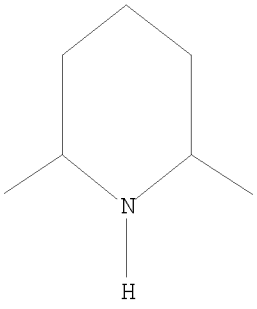
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10502080 Broad.str

L14   STRUCTURE UPLOADED

=> d L14
L14 HAS NO ANSWERS
L14   STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 sss sam
SAMPLE SEARCH INITIATED 13:52:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   464993 TO ITERATE

  0.4% PROCESSED   2000 ITERATIONS   3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS: 9260939 TO 9338781
PROJECTED ANSWERS: 12365 TO   15533

L15   3 SEA SSS SAM L1

=> s L15 sss full
FULL SEARCH INITIATED 13:53:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9296204 TO ITERATE

  6.1% PROCESSED   562888 ITERATIONS   936 ANSWERS

 10.8% PROCESSED 1000000 ITERATIONS   1268 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.37

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS: 9296204 TO 9296204
PROJECTED ANSWERS: 11462 TO   12112

```
L16        1268 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     179.28     678.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -18.40

FILE 'CAPLUS' ENTERED AT 13:54:03 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 18 Aug 2008  VOL 149 ISS 8
FILE LAST UPDATED: 17 Aug 2008  (20080817/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s angiogenesis OR cancer
         46826 ANGIOGENESIS
        370638 CANCER
         54465 CANCERS
        384282 CANCER
                  (CANCER OR CANCERS)
L17     416294 ANGIOGENESIS OR CANCER

=> s L16
L18         77 L16

=> s L17 AND L18
L19          3 L17 AND L18

=> d L19 1-3 ibib abs hitstr

L19  ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2008:223700  CAPLUS
DOCUMENT NUMBER:         148:285056
TITLE:                   Preparation of N-pyridinyl benzamides derivatives as
                         cytokine inhibitors
INVENTOR(S):             Boman, Erik; Ernst, Justin; Montalban, Antonio
                         Garrido; Larson, Christopher; Lum, Christopher; Pei,
```

Yazhong; Sebo, Lubomir; Urban, Jan; Wang, Zhijun; Zhu, Jay
PATENT ASSIGNEE(S): Kemia, Inc., USA
SOURCE: PCT Int. Appl., 309pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

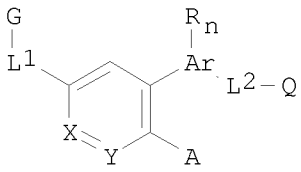
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021388	A1	20080221	WO 2007-US18049	20070816

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

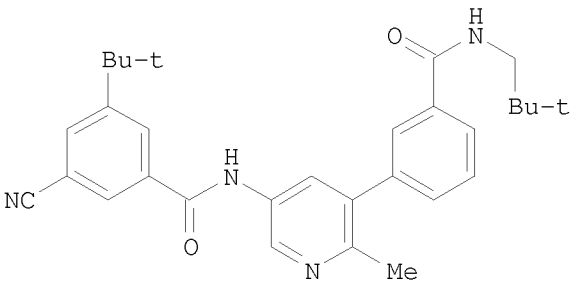
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-838795P P 20060817
US 2007-891470P P 20070223

OTHER SOURCE(S): MARPAT 148:285056
GI



I



II

AB The title compds. I [X = CH, N or NO; Y = CH, N, NO, provided that X and Y are not both CH or NO; A = halo, alkyl, alkoxy, etc.; G = (un)substituted (hetero)aryl; Ar = 6-membered aryl or heteroaryl; L1 = CONH; L2 = a bond, CONH, CONHCH2, etc.; Q = (un)substituted alkyl, cycloalkyl, aryl, etc.; R = H or alkyl; n = 0-2; with the provision] were prepared and disclosed as cytokine inhibitors. E.g., a multi-step synthesis of II, starting from 2-methyl-3-bromo-5-nitropyridine, was given. Each of 345 exemplified compds. I listed in a table was tested in the TNFα ELISA assay and was found to have activity therein, with most compds. having IC50s below 10 μM in this assay. In particular, I are useful as anti-inflammatory

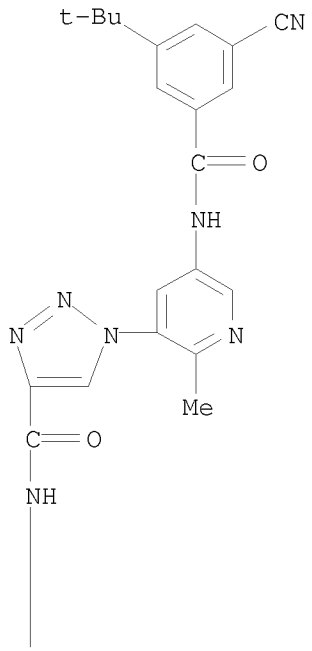
agents. Further disclosed are methods for their use in preventing or treating conditions mediated by cytokines, such as for example arthritis, pain, and cancer.

IT 1008135-95-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridinyl benzamides as cytokine inhibitors useful in treating and preventing cytokine-mediated diseases)

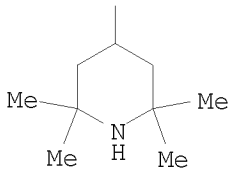
RN 1008135-95-2 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 1-[5-[[3-cyano-5-(1,1-dimethylethyl)benzoyl]amino]-2-methyl-3-pyridinyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1454462 CAPLUS
DOCUMENT NUMBER: 148:79048
TITLE: 2-Amino-7,8-dihydropyrido[2,3-d]pyrimidin-7-one derivatives as CSBP/RK/p38 kinase inhibitors and their preparation, pharmaceutical compositions and use in

the treatment of diseases

INVENTOR(S): Corsi, Mauro; Faiferman, Isidore; Merlo-Pich, Emilio; Ratti, Emiliangelo; Wren, Paul Bryan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 301pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

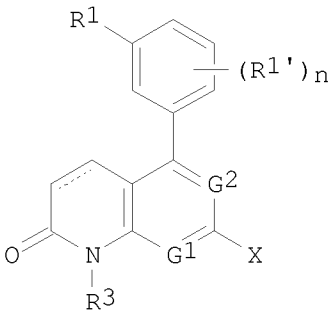
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147103	A2	20071221	WO 2007-US71314	20070615

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

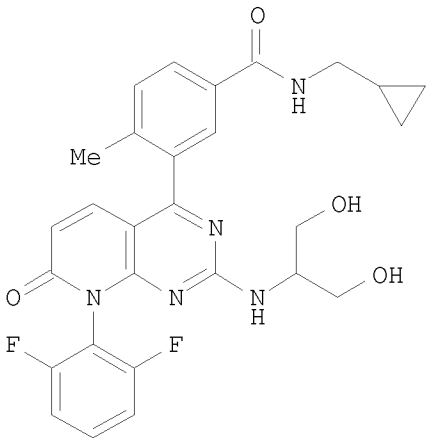
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-804993P P 20060616
OTHER SOURCE(S): MARPAT 148:79048

GI



I



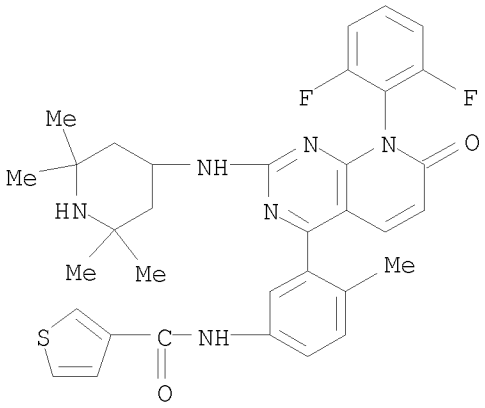
II

AB Substituted 8H-pyrido[2,3-7]pyrimidin-7-one containing compds. of formula I and compns. containing compds. of formula I and their use in therapy as CSBP/RK/p38 kinase inhibitors is disclosed. Compds. of formula I wherein dashed line is an optional double bond; G1 and G2 are independently N; R1 is (un)substituted alkylamino(thio)carbonyl, (un)substituted alkoxy(thio)carbonyl, (un)substituted alkylamino, etc.; each R1' is independently halo, C1-4 (halo)alkyl, CN, NO2, SH and derivs.,e tc.; R3 is (un)substituted C1-10 alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted (hetero)aryl, etc; X is H, (un)substituted C1-10 alkyl, OH and derivs., SH and derivs., SOH and derivs., SO2 and derivs., etc.; n is

0, 1, 2, 3 and 4; and their pharmaceutically acceptable salts, solvates, and physiol. functional derivs. thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their CSBP/RK/p38 kinase inhibitory activity (some data given).

IT 960358-53-6P 960358-55-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminodihydropyridopyrimidinone derivs. as CSBP/RK/p38 kinase inhibitors useful in the treatment of diseases)

RN 960358-53-6 CAPLUS
CN 3-Thiophenecarboxamide, N-[3-[8-(2,6-difluorophenyl)-7,8-dihydro-7-oxo-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]pyrido[2,3-d]pyrimidin-4-yl]-4-methylphenyl]-, hydrobromide (1:1) (CA INDEX NAME)

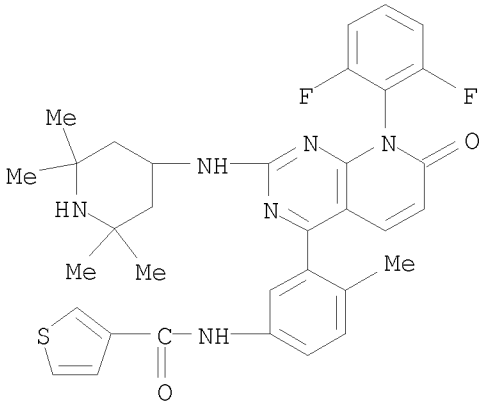


● HBr

RN 960358-55-8 CAPLUS
CN 3-Thiophenecarboxamide, N-[3-[8-(2,6-difluorophenyl)-7,8-dihydro-7-oxo-2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]pyrido[2,3-d]pyrimidin-4-yl]-4-methylphenyl]-, sulfate (1:1) (CA INDEX NAME)

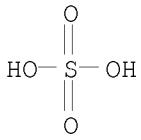
CM 1

CRN 911487-90-6
CMF C34 H34 F2 N6 O2 S



CM 2

CRN 7664-93-9
CMF H2 O4 S



L19 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1332992 CAPLUS
DOCUMENT NUMBER: 148:11252
TITLE: Preparation of substituted purinamines as antitumor agents
INVENTOR(S): Bajji, Ashok C.; Kim, Se-Ho; Markovitz, Benjamin; Trovato, Richard; Tangallapally, Rajendra; Anderson, Mark B.; Wettstein, Daniel; Shenderovich, Mark; Vanecko, John A.
PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA
SOURCE: PCT Int. Appl., 477pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007134298	A2	20071122	WO 2007-US68899	20070514
WO 2007134298	A3	20080731		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20070299258 A1 20071227 US 2007-748362 20070514

PRIORITY APPLN. INFO.: US 2006-799874P P 20060512
US 2006-822159P P 20060811
US 2006-865140P P 20061109
US 2007-883707P P 20070105

OTHER SOURCE(S): MARPAT 148:11252

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I-III [A, B = (un)substituted aryl, heteroaryl, heterocyclyl, cycloalkyl; R1 = H, alkyl, aryl, heteroaryl, etc.; L1, L2 = (CH2)n(CH2)n, (CH2)nC(O)(CH2)n, (CH2)nC(O)N(CH2)n, etc.; n = 0-8], useful for treating Hsp90 dependent disorders such as cancer, were prepared and claimed. Thus, reacting 8-(2,5-dimethoxyphenylsulfanyl)-9H-purin-6-ylamine with (2-bromoethyl)benzene in the presence of Barton's base in DMF afforded 9% IV and 8% V. Compds. I were evaluated for binding to purified Hsp90 (data given).

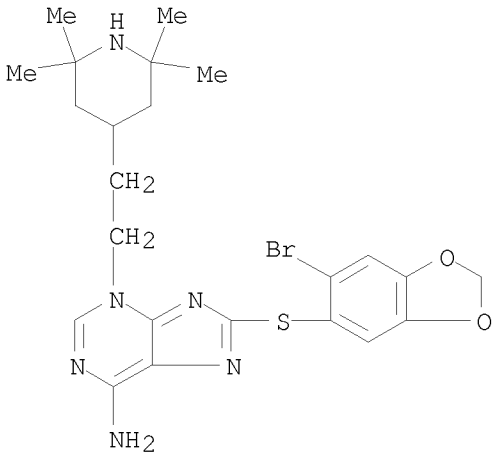
IT 958016-75-6P 958016-81-4P 958016-93-8P
958016-95-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted purinamines as antitumor agents)

RN 958016-75-6 CAPLUS

CN 3H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-3-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

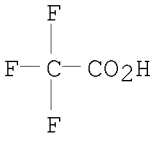
CM 1

CRN 958016-74-5
CMF C23 H29 Br N6 O2 S



CM 2

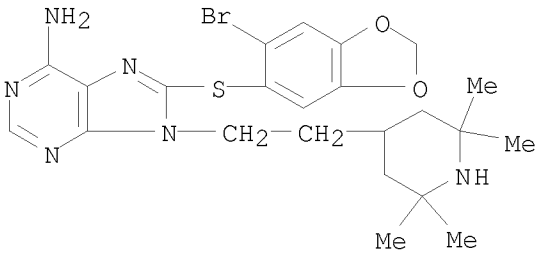
CRN 76-05-1
CMF C2 H F3 O2



RN 958016-81-4 CAPLUS
CN 9H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

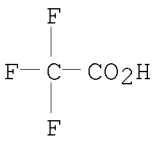
CM 1

CRN 958016-80-3
CMF C23 H29 Br N6 O2 S



CM 2

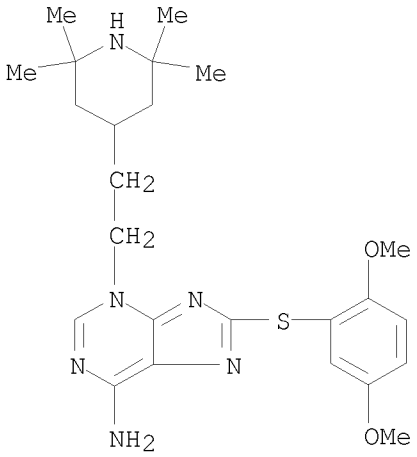
CRN 76-05-1
CMF C2 H F3 O2



RN 958016-93-8 CAPLUS
CN 3H-Purin-6-amine, 8-[(2,5-dimethoxyphenyl)thio]-3-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

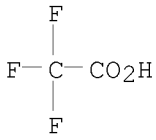
CM 1

CRN 958016-92-7
CMF C24 H34 N6 O2 S



CM 2

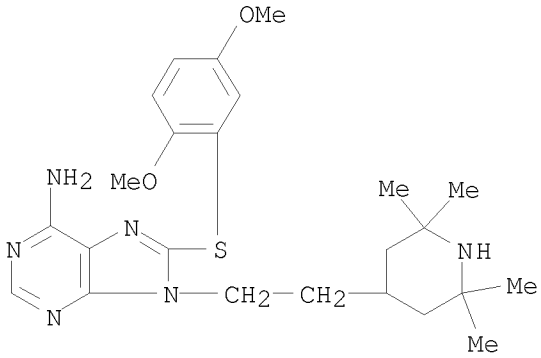
CRN 76-05-1
CMF C2 H F3 O2



RN 958016-95-0 CAPLUS
CN 9H-Purin-6-amine, 8-[(2,5-dimethoxyphenyl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

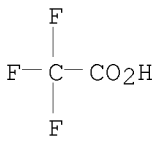
CRN 958016-94-9
CMF C24 H34 N6 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



=> d L18 1-10

L18 ANSWER 1 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:912732 CAPLUS
DN 149:180260
TI Crosslinked diazaadamantylmethyl (meth)acrylate polymers and secondary battery electrodes using them
IN Fujimoto, Nobutaka; Ueda, Koji; Kanehara, Yuji
PA Sumitomo Seika Chemicals Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 20pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2008174725	A	20080731	JP 2007-301107	20071121
PRAI JP 2006-342759	A	20061220		

L18 ANSWER 2 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:912360 CAPLUS
TI Diazaadamantyl (meth)acrylate compounds and their manufacture
IN Fujimoto, Nobutaka; Ueda, Koji; Kanehara, Yuji
PA Sumitomo Seika Chemicals Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2008174543	A	20080731	JP 2007-301106	20071121
PRAI JP 2006-342758	A	20061220		

L18 ANSWER 3 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:890838 CAPLUS
TI Preparation of male contraceptive compounds
IN Amobi, Nnaemeka Ikechukwu; Smith, Christopher
PA King's College London, UK
SO PCT Int. Appl., 65pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008087421	A2	20080724	WO 2008-GB163	20080117
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,				

KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI GB 2007-893 A 20070117

L18 ANSWER 4 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:860193 CAPLUS
DN 149:176336
TI Preparation of imidazopyridine analogs as CB2 receptor modulators for treating pain, respiratory and non-respiratory diseases
IN Bilodeau, Mark T.; Burgey, Christopher S.; Deng, Zhengwu James; Hartnett, John C.; Kett, Nathan R.; Melamed, Jeffrey; Munson, Peter M.; Nanda, Kausik K.; Thompson, Wayne; Trotter, B. Wesley; Wu, Zhicai
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 191pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008085302	A1	20080717	WO 2007-US25641	20071214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2006-876105P P 20061220
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:859444 CAPLUS
DN 149:183463
TI Preparation and Characterization of Polymerizable Hindered Amine-Based Antimicrobial Fibrous Materials
AU Yao, Jinrong; Sun, Yuyu
CS Biomedical Engineering Program, The University of South Dakota, Sioux Falls, SD, 57107, USA
SO Industrial & Engineering Chemistry Research (2008), 47(16), 5819-5824
CODEN: IECRED; ISSN: 0888-5885
PB American Chemical Society
DT Journal
LA English
RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:857219 CAPLUS

DN 149:176360
TI Chemical compounds 635 : pyridopyrimidinediones as PDE4 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of PDE4-mediated diseases
IN Bonnert, Roger Victor; Humphries, Theresa; Hunt, Simon Fraser; Sanganee, Hitesh Jayantilal
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 93pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008084236	A1	20080717	WO 2008-GB81	20080110

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-884453P P 20070111
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:829624 CAPLUS
DN 149:153108
TI Preparation of pyrazolopyrimidine derivatives as Syk and Abl inhibitors for treatment of allergic diseases, autoimmune diseases, etc.
IN Yagi, Makoto; Umemiya, Hiroki; Asanuma, Hajime; Oka, Yusuke; Nishikawa, Rie; Hayashi, Keishi; Okada, Takumi; Shimizu, Takanori; Sasako, Shigetada
PA Taisho Pharmaceutical Co., Ltd., Japan; Nissan Chemical Industries, Ltd.
SO PCT Int. Appl., 103pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008081928	A1	20080710	WO 2007-JP75278	20071228

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2006-353781 A 20061228
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:769987 CAPLUS
DN 149:105955
TI (Meth)acrylic resin composition and films thereof
IN Takamatsu, Yorinobu; Abe, Hidetoshi; Toriumi, Naoyuki; Kashihara, Yoshihiro
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 33pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008076101	A1	20080626	WO 2006-US48019	20061218

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI WO 2006-US48019 20061218
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:769501 CAPLUS
DN 149:80444
TI Hindered amino group-containing silanol compounds and aqueous solutions containing their condensates
IN Honma, Takayuki; Kubota, Toru; Kiyomori, Ayumi
PA Shin-Etsu Chemical Industry Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2008143852	A	20080626	JP 2006-334000	20061212
PRAI JP 2006-334000		20061212		

L18 ANSWER 10 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:685908 CAPLUS
DN 149:152918
TI One-Pot Synthesis of Functionalized Piperid-4-ones: A Four-Component Condensation
AU Clarke, Paul A.; Zaytsev, Andrey V.; Morgan, Tyson W.; Whitwood, Adrian C.; Wilson, Claire
CS Department of Chemistry, University of York, Heslington, York, North Yorks, YO10 5DD, UK
SO Organic Letters (2008), 10(13), 2877-2880
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal

LA English
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d L18 61-65

L18 ANSWER 61 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1293152 CAPLUS
DN 148:144610
TI β-Amino Acids to Piperidinones by Petasis Methylenation and Acid-Induced Cyclization
AU Adriaenssens, Louis V.; Hartley, Richard C.
CS WestCHEM Department of Chemistry, University of Glasgow, Glasgow, G12 8QQ, UK
SO Journal of Organic Chemistry (2007), 72(26), 10287-10290
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 148:144610
RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 62 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1293046 CAPLUS
DN 148:144917
TI Synthesis and Pharmacological Evaluation of Fluorescent and Photoactivatable Analogues of Antiplasmodial Naphthylisoquinolines
AU Bringmann, Gerhard; Gampe, Christian M.; Reichert, Yanina; Bruhn, Torsten; Faber, Johan H.; Mikyna, Martin; Reichert, Matthias; Leippe, Matthias; Brun, Reto; Gelhaus, Christoph
CS Institute of Organic Chemistry, University of Wuerzburg, Wuerzburg, D-97074, Germany
SO Journal of Medicinal Chemistry (2007), 50(24), 6104-6115
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 148:144917
RE.CNT 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 63 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1252413 CAPLUS
DN 148:78940
TI A simple and efficient synthesis of new cyclic ureas
AU Baumann, Delphine; Bennis, Khalil; Ripoche, Isabelle; Troin, Yves
CS Laboratoire de Chimie des Heterocycles et des Glucides, EA 987, Ecole Nationale Superieure de Chimie de Clermont-Ferrand, Universite Blaise Pascal, Aubiere, 63174, Fr.
SO Tetrahedron Letters (2007), 48(47), 8363-8365
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 148:78940
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 64 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1221539 CAPLUS

DN 148:79253
TI First total synthesis of (+)-adenophorine, a naturally occurring inhibitor of glycosidases
AU Pearson, Morwenna S. M.; Evain, Al Michel; Mathe-Allainmat, Monique; Lebreton, Jacques
CS Universite de Nantes, CNRS, Nantes, 44322, Fr.
SO European Journal of Organic Chemistry (2007), (29), 4888-4894
CODEN: EJOCFK; ISSN: 1434-193X
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 148:79253
RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 65 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1195238 CAPLUS
DN 148:27653
TI Cryptadines A and B, novel C27N3-type pentacyclic alkaloids from Lycopodium cryptomerinum
AU Koyama, Koichiro; Hirasawa, Yusuke; Kobayashi, Jun'ichi; Morita, Hiroshi
CS Faculty of Pharmaceutical Sciences, Hoshi University, Tokyo, 142-8501, Japan
SO Bioorganic & Medicinal Chemistry (2007), 15(24), 7803-7808
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	43.54	721.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.40	-20.80

FILE 'REGISTRY' ENTERED AT 13:59:51 ON 18 AUG 2008

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2
DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

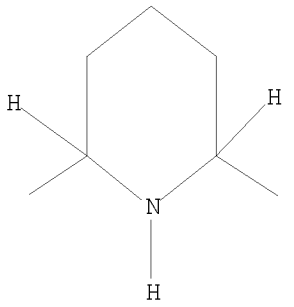
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10502080 Broad2.str

L20   STRUCTURE UPLOADED

=> d l20
L20 HAS NO ANSWERS
L20   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l20 sss sam
SAMPLE SEARCH INITIATED 14:00:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   18600 TO ITERATE

 10.8% PROCESSED   2000 ITERATIONS   17 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 363833 TO   380167
PROJECTED ANSWERS: 2408 TO   3916

L21   17 SEA SSS SAM L20

=> s l20 sss full
FULL SEARCH INITIATED 14:00:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   372862 TO ITERATE

100.0% PROCESSED   372862 ITERATIONS   3346 ANSWERS
SEARCH TIME: 00.00.04

L22   3346 SEA SSS FUL L20

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                       ENTRY   SESSION
FULL ESTIMATED COST   178.82   900.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)   SINCE FILE   TOTAL
                                                       ENTRY   SESSION
CA SUBSCRIBER PRICE   0.00   -20.80

FILE 'CAPLUS' ENTERED AT 14:01:01 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8
FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

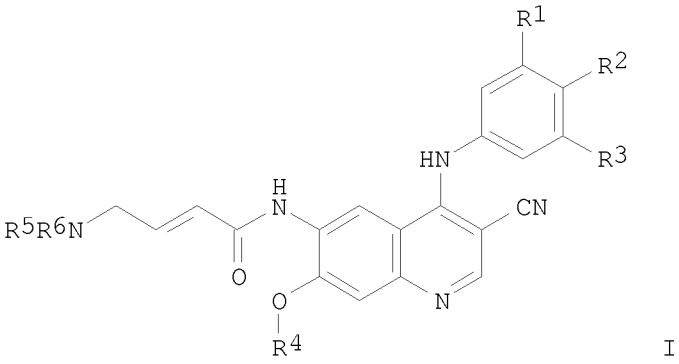
http://www.cas.org/legal/infopolicy.html

```
=> s L22
L23       2516 L22

=> s (cancer OR "Cancer (genus)") OR (angiogenesis OR "Angiogenesis")
        370638 CANCER
         54465 CANCERS
        384282 CANCER
                 (CANCER OR CANCERS)
        370638 "CANCER"
         54465 "CANCERS"
        384282 "CANCER"
                 ("CANCER" OR "CANCERS")
         53989 "GENUS"
           103 "GENUSES"
         18740 "GENERA"
             8 "GENERAS"
         68072 "GENUS"
                 ("GENUS" OR "GENUSES" OR "GENERA" OR "GENERAS")
            48 "CANCER (GENUS)"
                 ("CANCER"(W)"GENUS")
         46826 ANGIOGENESIS
         46826 "ANGIOGENESIS"
L24     416294 (CANCER OR "CANCER (GENUS)") OR (ANGIOGENESIS OR "ANGIOGENESIS")

=> s L23 AND L24
L25         55 L23 AND L24

=> d L25 50 ibib

L25  ANSWER 50 OF 55  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        1994:483015  CAPLUS
DOCUMENT NUMBER:         121:83015
ORIGINAL REFERENCE NO.:  121:14913a,14916a
TITLE:                   Dibenzo[a,f]quinolizines: syntheses and cytostatic
```

activity in estrogen-sensitive tumor cells
AUTHOR(S): von Angerer, Silvia; Seidl, Engelbert; Mannschreck, Albrecht; von Angerer, Erwin; Wiegrebe, Wolfgang
CORPORATE SOURCE: Inst. Pharm., Univ. Regensburg, Regensburg, D-93040, Germany
SOURCE: Anti-Cancer Drug Design (1994), 9(1), 25-40
CODEN: ACDDEA; ISSN: 0266-9536
DOCUMENT TYPE: Journal
LANGUAGE: English

=> d L25 30-50 ibib abs hitstr

L25 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:71602 CAPLUS
DOCUMENT NUMBER: 142:316675
TITLE: Optimization of 6,7-Disubstituted-4-(arylamino)quinoline-3-carbonitriles as Orally Active, Irreversible Inhibitors of Human Epidermal Growth Factor Receptor-2 Kinase Activity
AUTHOR(S): Tsou, Hwei-Ru; Overbeek-Klumpers, Elsebe G.; Hallett, William A.; Reich, Marvin F.; Floyd, M. Brawner; Johnson, Bernard D.; Michalak, Ronald S.; Nilakantan, Ramaswamy; Discafani, Carolyn; Golas, Jonathan; Rabindran, Sridhar K.; Shen, Ru; Shi, Xiaoqing; Wang, Yu-Fen; Upeslacis, Janis; Wissner, Allan
CORPORATE SOURCE: Chemical and Screening Sciences, Chemical Development, and Oncology, Wyeth Research, Pearl River, NY, 10965, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(4), 1107-1131
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:316675
GI



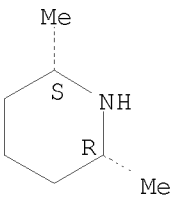
I

AB A series of new 6,7-disubstituted-4-(arylamino)quinoline-3-carbonitriles, e.g. I (R1 = H, Cl; R2 = PhCH2O, 1-imidazolyl, 2-furylmethoxy, etc.; R3 = Cl, CN, PhCH2O; R4 = Me, Et; R5 = Me, R6 = Me, HOCH2CH2; R5R6N = azetidinyl, piperidinyl, thiomorpholinyl, etc.) that function as irreversible inhibitors of human epidermal growth factor receptor-2

(HER-2) and epidermal growth factor receptor (EGFR) kinases have been prepared These compds. demonstrated enhanced activities for inhibiting HER-2 kinase and the growth of HER-2 pos. cells compared to the EGFR kinase inhibitor I [R1 = H; R2 = F; R3 = Cl; R4 = Et; R5 = R6 = Me; (EKB-569)]. Three synthetic routes were used to prepare these compds. They were prepared mostly by acylation of 6-amino-4-(arylamino)quinoline-3-carbonitriles with unsatd. acid chlorides or by amination of 4-chloro-6-(crotonamido)quinoline-3-carbonitriles with monocyclic or bicyclic anilines. The third route was developed to prepare a key intermediate, 6-acetamido-4-chloroquinoline-3-carbonitrile, that involved a safer cyclization step. It was shown that attaching a large lipophilic group at the para position of the 4-(arylamino) ring results in improved potency for inhibiting HER-2 kinase. The importance of a basic dialkylamino group at the end of the Michael acceptor for activity, due to intramol. catalysis of the Michael addition has also been demonstrated. This, along with improved water solubility, resulted in compds. with enhanced biol. properties. The mol. modeling results consistent with the proposed mechanism of inhibition are presented. Binding studies of one compound, I [R1 = H; R2 = 2-pyridylmethoxy; R3 = Cl; R4 = Et; R5 = R6 = Me; (HKI-272)] (C-14 radiolabeled), showed that it binds irreversibly to HER-2 protein in BT474 cells. Furthermore, it demonstrated excellent oral activity, especially in HER-2 overexpressing xenografts. Compound HKI-272 was selected for further studies and is currently in phase I clin. trials for the treatment of cancer.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation; preparation of disubstituted (arylamino)quinolinecarbonitriles as orally active, irreversible inhibitors of human epidermal growth factor receptor-2 kinase activity and antitumor agents)
RN 766-17-6 CAPLUS
CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:740319 CAPLUS
DOCUMENT NUMBER: 141:260543
TITLE: Preparation of hydroxycoumaranone derivatives as uPA receptor antagonists for treatment of cancers
INVENTOR(S): Bauer, Sabine; Endele, Richard; Fertig, Georg; Friebe, Walter-Gunar; Koerner, Matthias; Krell, Hans-Willi
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004076444	A2	20040910	WO 2004-EP1798	20040224
WO 2004076444	A3	20041028		

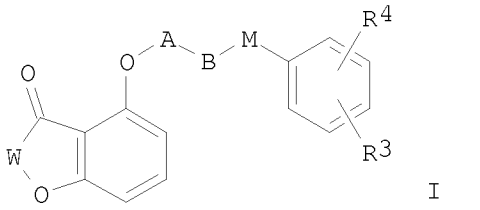
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

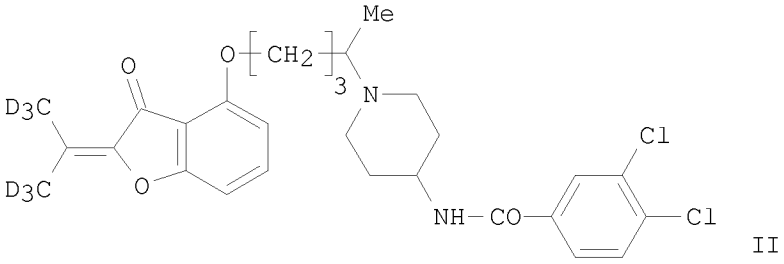
PRIORITY APPLN. INFO.: EP 2003-3299 A 20030225

OTHER SOURCE(S): MARPAT 141:260543

GI



I



II

AB Title compds. represented by the formula I [wherein W = C:CR1(R2) or CHR1(R2); R1, R2 = independently H, (cyclo)alkyl, aminoalkyl, thioalkyl, (CH2)nOalkyl, or R1R2 = cycloalkyl; A = alkylene, (CH2)nCO, (CH2)nCONH; B = heterocyclic group; M = NHCO, NHCH2; R3, R4 = independently H, halo, CN, NH2, etc.; n = 1-4; and pharmaceutically acceptable salts thereof] were prepared as uPA receptor antagonists. For example, reaction of 4-(4-bromopentoxy)-2-(1',1',1',3',3',3'-hexadeuteroisopropylidene)benzofuran-3-one with 3,4-dichloro-N-piperidin-4-ylbenzamide gave II in 31% yield. II showed inhibition of uPA receptor with IC50 value of 0.08 μM. Thus, I and their pharmaceutical compns. are useful as uPA receptor antagonists for the control or prevention of corresponding illnesses and disorders; or in the manufacture of corresponding medicaments for the inhibition of tumor growth (no data).

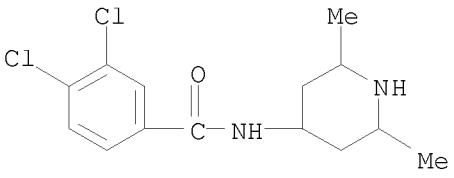
IT 753013-86-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxycoumaranone derivs. as uPA receptor antagonists for treatment of cancers)

RN 753013-86-4 CAPLUS

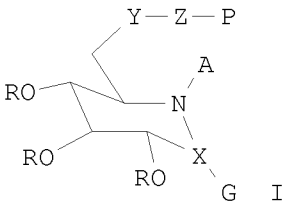
CN Benzamide, 3,4-dichloro-N-(2,6-dimethyl-4-piperidinyl)- (CA INDEX NAME)



L25 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:718513 CAPLUS
DOCUMENT NUMBER: 141:225770
TITLE: Preparation of of aza-sugar derivatives as anticancer agents
INVENTOR(S): Arora, Jasbir Singh; Gupta, Nidhi; Salman, Mohammad; Gupta, Jang Bahadur; Pandit, Upendra Kumar
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074251	A1	20040902	WO 2003-IB619	20030220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003206021	A1	20040909	AU 2003-206021	20030220
EP 1597231	A1	20051123	EP 2003-702904	20030220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060241114	A1	20061026	US 2005-546462	20050819
IN 2005DN04194	A	20071207	IN 2005-DN4194	20050916

PRIORITY APPLN. INFO.: WO 2003-IB619 A 20030220
OTHER SOURCE(S): CASREACT 141:225770; MARPAT 141:225770
GI



I

AB Certain derivs. of aza-sugars I, wherein A is H, alkyl, alkenyl, alkynyl;

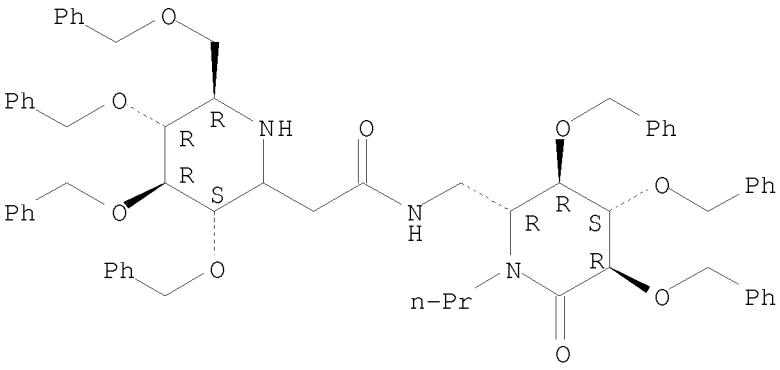
X-G is CO, CH2; R is H, alkyl, acyl, aryl, aralkyl, trimethylsilyl; Y is O, NH, heterocycle; P is alkyl, CF3, aryl, aralkyl, alkylamino, heterocycle, useful in the treatment of cancer, are presented. This invention also relates to pharmacol. compns. containing the compds. of present invention and treatment of cancer, including tumor or other neoplasm, with an aza-sugar. Thus, 2,3,4-tri-O-benzyl-6-O-(4,6-dichloro-1,3,5-triazin-1-yl)-N-propyl-D-gluco-6-lactam was prepared and tested in vitro as antitumor agent.

IT 748814-76-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of of azasugar derivs. as anticancer agents)

RN 748814-76-8 CAPLUS

CN 2-Piperidineacetamide, N-[[(2R,3R,4S,5R)-6-oxo-3,4,5-tris(phenylmethoxy)-1-propyl-2-piperidinyl]methyl]-3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-, (3S,4R,5R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

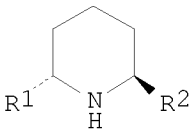
L25 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:590960 CAPLUS
DOCUMENT NUMBER: 139:149804
TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors
INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.
PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		

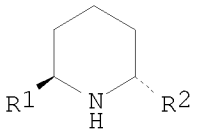
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20050038071 A1 20050217 US 2004-502080 20041008
PRIORITY APPLN. INFO.: US 2002-351880P P 20020125
WO 2003-US2105 W 20030124
OTHER SOURCE(S): MARPAT 139:149804
GI



I



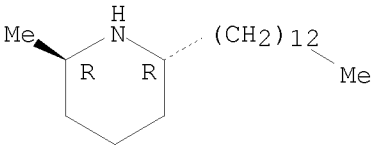
II

AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylatio with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 μg/mL).

IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P,
(±)-Solenopsin A hydrochloride 175478-17-8P
409060-79-3P 409060-81-7P 409060-82-8P
409060-83-9P 409060-85-1P 409060-86-2P
409060-87-3P 409060-88-4P 409060-89-5P
409060-90-8P 409060-91-9P 409060-92-0P
409061-00-3P 409061-29-6P 409061-33-2P
409061-34-3P 571186-34-0P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

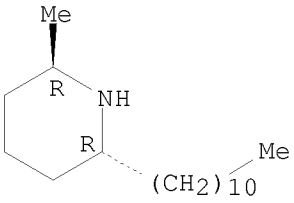
RN 32778-77-1 CAPLUS
CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 63950-17-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

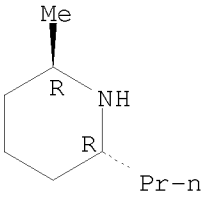
Relative stereochemistry.



● HCl

RN 175478-17-8 CAPLUS
CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

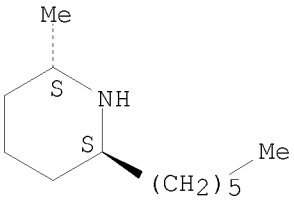
Relative stereochemistry.



● HCl

RN 409060-79-3 CAPLUS
CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

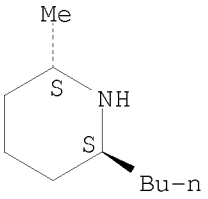
Relative stereochemistry.



● HCl

RN 409060-81-7 CAPLUS
CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

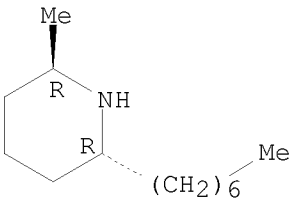
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS
CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

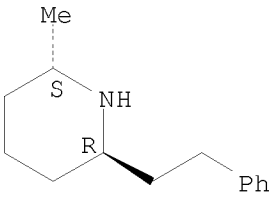
Relative stereochemistry.



● HCl

RN 409060-83-9 CAPLUS
CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

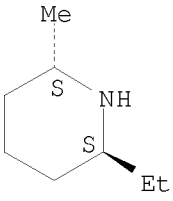
Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS
CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

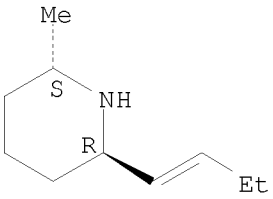
Relative stereochemistry.



● HCl

RN 409060-86-2 CAPLUS
CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

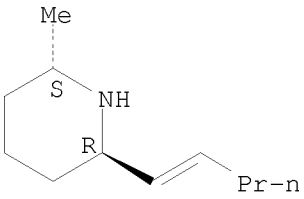
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-87-3 CAPLUS
CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

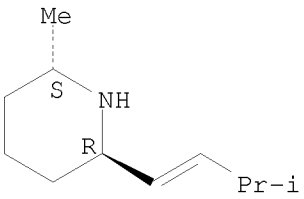
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS
CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

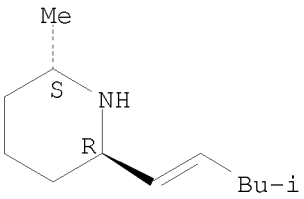
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS
CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

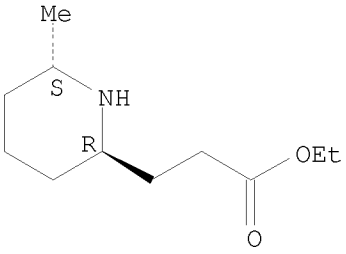
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS
CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

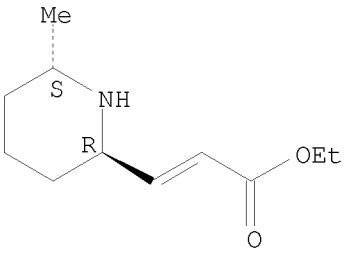
Relative stereochemistry.



● HCl

RN 409060-91-9 CAPLUS
CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

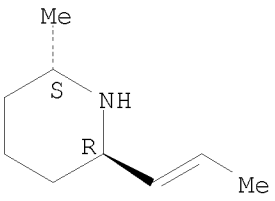
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-92-0 CAPLUS
CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

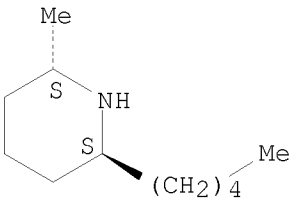
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409061-00-3 CAPLUS
CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

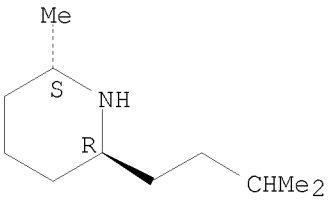
Relative stereochemistry.



● HCl

RN 409061-29-6 CAPLUS
CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-
(CA INDEX NAME)

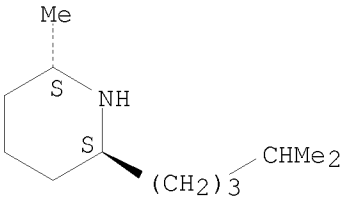
Relative stereochemistry.



● HCl

RN 409061-33-2 CAPLUS
CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),
(2R,6R)-rel- (CA INDEX NAME)

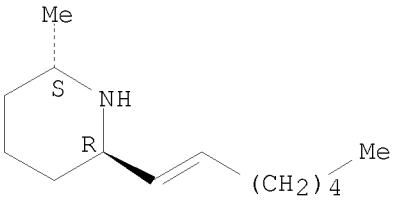
Relative stereochemistry.



● HCl

RN 409061-34-3 CAPLUS
CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-
(CA INDEX NAME)

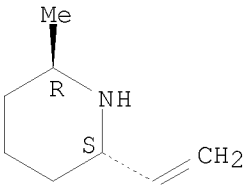
Relative stereochemistry.
Double bond geometry unknown.



● HCl

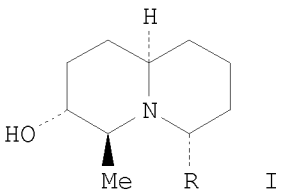
RN 571186-34-0 CAPLUS
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

L25 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:500243 CAPLUS
DOCUMENT NUMBER: 139:246133
TITLE: Enantioselective synthesis of clavepictine analogues and evaluation of their cytotoxic activity
AUTHOR(S): Agami, Claude; Couty, Francois; Evano, Gwilherm; Darro, Francis; Kiss, Robert
CORPORATE SOURCE: Laboratoire de Synthese Asymetrique, UMR 7611, Universite Pierre et Marie Curie, Paris, 75005, Fr.
SOURCE: European Journal of Organic Chemistry (2003), (11), 2062-2070
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:246133
GI



I

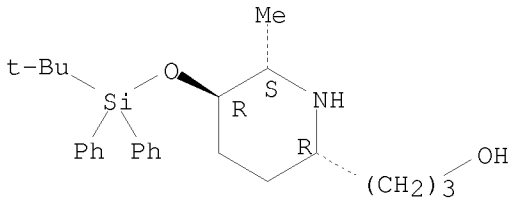
AB Six analogs I of a cytotoxic alkaloid isolated from the tunicate Clavelina picta were synthesized from an acyl oxazolidine. The absolute stereochem. of the targeted analogs derived from (R)-Ph glycinol and the relative stereochemistries of three of the four stereocenters present in the mol. were set up by stereocontrolled addns. to transient iminium ions. The main features of this synthesis include (i) a high level of stereocontrol for all the steps involving the arrangement of relative stereochemistries, (ii) a divergent introduction of the side chain at the end of the synthesis, allowing the easy preparation of the different analogs, and (iii) an original step involving an intramol. alkylation of an aminonitrile moiety that enabled the efficient construction of the quinolizidine core to take place. Together with the cytotoxic activities of the six analogs, those of three reference compds. (etoposide, adriamycin and irinothecan) were determined by means of the colorimetric MTT assay on four human-cancer cell lines. Compound I (R = decyl) had a cytotoxic effect on the four human-cancer cell lines in dose ranges similar to etoposide and irinothecan. Compound I (R = dec-1-enyl) also had a significant cytotoxic effect on all four of the human-cancer cell lines under study, but these activities were weaker than those induced by I (R = decyl). Compound I (R = dec-3-en-1-ynyl) had a significant cytotoxic effect on three of the four human-cancer cell lines, and compds. I (R = ethynyl, phenylethynyl, cyanomethyl) had no cytotoxic effect (except compound I (R = ethynyl) with respect to the A549 model at the highest dose) on the four human models under study.

IT 600143-39-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis and cytotoxic activity of clavepictine analogs)

RN 600143-39-3 CAPLUS

CN 2-Piperidinepropanol, 5-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-6-methyl-, (2R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:42245 CAPLUS

DOCUMENT NUMBER: 138:106689

TITLE: Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases

INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted Michael; Chong, Wesley K. M.; Duvadie, Rohit K.; Li, Lin; Reich, Siegfried H.; Romines, William H.; Wallace, Michael B.; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2

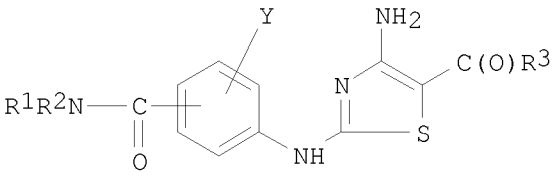
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004467	A2	20030116	WO 2002-US21280	20020705
WO 2003004467	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2452609	A1	20030116	CA 2002-2452609	20020705
AU 2002354801	A1	20030121	AU 2002-354801	20020705
US 20030225147	A1	20031204	US 2002-190219	20020705
US 6720346	B2	20040413		
EP 1438046	A2	20040721	EP 2002-782499	20020705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005521631	T	20050721	JP 2003-510635	20020705
BR 2002010874	A	20061024	BR 2002-10874	20020705
MX 2004PA00069	A	20040521	MX 2004-PA69	20040107

PRIORITY APPLN. INFO.:		US 2001-303679P	P	20010706
		US 2001-305274P	P	20010713
		WO 2002-US21280	W	20020705

OTHER SOURCE(S): MARPAT 138:106689
GI



I

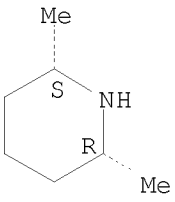
AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide), and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. Inhibitory activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with ≥1 substituents

listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with ≥1 substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with ≥1 substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO2, -NH2, -N-OH, N-ORc, -CN, -(CH2)z-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb, -CO-R, -O-CO-Rc, -CO-ORc, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRc-CO-Re, -NR-CO-OR, -CO-NRc-CO-Rd, -O-SO2-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO3, -NRc-SRd, -NRc-SO-Rd, NRc-SO2-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO2-Rc, -CS-Rc, -CSO-R, -CSO2-R,, -NRc-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO2-Re, -OS2-NRdRe, -SO-NRdRe, -S-NRdRe, -NRd-CSO2-Rd, - NRc-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO2-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example prepns. of I are included and directions are given for combinatorial preparation of 396 I.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

RN 766-17-6 CAPLUS
CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L25 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:965133 CAPLUS
DOCUMENT NUMBER: 138:39277
TITLE: Preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents
INVENTOR(S): Askew, Benny C.; De Morin, Frenel F.; Hague, Andrew; Laber, Ellen; Li, Aiwen; Liu, Gang; Lopez, Patricia; Nomak, Rana; Santora, Vincent; Tegley, Christopher; Yang, Kevin
PATENT ASSIGNEE(S): Amgen, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 129 pp., Cont.-in-part of U. S. Ser. No. 930,753.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020193405	A1	20021219	US 2002-77124	20020215
US 6645990	B2	20031111		
US 20020173507	A1	20021121	US 2001-930753	20010814
EP 1619184	A2	20060125	EP 2005-15480	20010815
EP 1619184	A3	20060201		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

AT 320426	T	20060415	AT 2001-964009		20010815
ES 2260277	T3	20061101	ES 2001-964009		20010815
CA 2476411	A1	20030828	CA 2003-2476411		20030213
WO 2003070727	A1	20030828	WO 2003-US4537		20030213

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

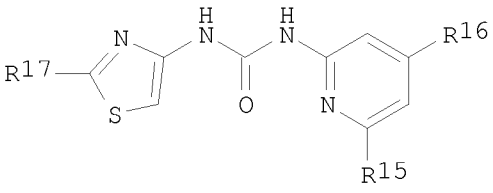
AU 2003215231	A1	20030909	AU 2003-215231		20030213
EP 1483263	A1	20041208	EP 2003-711046		20030213

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006509715	T	20060323	JP 2003-569634		20030213
US 20040039029	A1	20040226	US 2003-631423		20030730
US 7196104	B2	20070327			
US 20040044044	A1	20040304	US 2003-632044		20030730
MX 2004PA07970	A	20041126	MX 2004-PA7970		20040816
PRIORITY APPLN. INFO.:			US 2000-225793P	P	20000815
			US 2001-930753	A2	20010814
			EP 2001-964009	A3	20010815
			US 2002-77124	A	20020215
			WO 2003-US4537	W	20030213

OTHER SOURCE(S): MARPAT 138:39277

GI



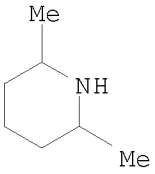
I

AB The title compds. [I; R15 = H, heterocyclyl, Ph, etc.; R16 = H, heterocyclylcarbonyl, alkylaminocarbonyl, etc.; R17 = halo, alkyl, cycloalkyl, etc.; provided only one of R15 and R16 = H] which are effective for prophylaxis and treatment of diseases, such as cell proliferation or apoptosis mediated diseases involving stroke, cancer and the like, were prepared Thus, heating 2-phenyl-4-thiazolylcarbonylazide with 6-(3-methylpiperidin-1-ylmethyl)pyridin-2-ylamine in PhMe afforded the urea I [R15 = 3-methylpiperidin-1-ylmethyl; R16 = H; R17 = Ph] which showed cdk2/cyclin and cdk5/p25 kinase activity with IC50 of < 0.5 μM.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



L25 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:428894 CAPLUS
DOCUMENT NUMBER: 137:20303
TITLE: Preparation of substituted quinolines as antitumor agents
INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson; Foote, Kevin Michael
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044166	A1	20020606	WO 2001-GB4737	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010714	A	20020611	AU 2002-10714	20011026
EP 1337524	A1	20030827	EP 2001-978616	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004514718	T	20040520	JP 2002-546536	20011026
US 20040029898	A1	20040212	US 2003-415812	20030502
US 7067532	B2	20060627		
US 20070021407	A1	20070125	US 2006-374423	20060314
US 7402583	B2	20080722		

PRIORITY APPLN. INFO.:
GB 2000-26744 A 20001102
GB 2000-26746 A 20001102
GB 2000-26747 A 20001102
WO 2001-GB4737 W 20011026
US 2003-415812 A3 20030502

OTHER SOURCE(S): MARPAT 137:20303
GI

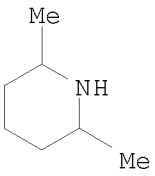
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 0 or 1; Y = NH, O, S, or alkylamine; R5 = CN, F, Cl, or Br; R6 = (un)substituted -cycloalkyl, -pyridinyl, -pyrimidinyl, -Ph, etc.; R1, R2 and R4 independently = H, OH, halo, CN, NO2, F3C, alkyl,

amine, alkylamine, dialkylamine, R7X1(CH2)x- wherein x = 0-3, R7 = H, (un)substituted hydrocarbyl or heterocyclyl and X1 = O, CH2, OCO, CO, S, SO, SO2, NR8CO, NR8CO2, CONR9, CO2NR9, SO2NR10, NR11 or NR11NR11 wherein R8, R9, R10 and R11 independently = H, alkyl or alkoxyalkyl; R3 = group of formula X1R12(OH)p where p = 1-2 and R12 = alkylene, alkenylene or alkynylene chain, optionally interposed with a heteroatom or heterocyclic ring with the provision that when R12 = alkylene, R12 must be interposed with a heteroatom or heterocyclic ring and at least one (OH)p is on the alkylene chain between X1 and the interposed heteroatom or heterocyclic ring; group of formula R7(CH2)yX1(CH2)x where y = 0-5 and (CH2)y is optionally interposed by an X1 group; group of formula X1alkyl where alkyl is substituted by one or more Cl and/or CN; heterocyclic ring, etc.], or a pharmaceutically acceptable salt, pro-drug or solvate thereof are prepared and disclosed as antiproliferative agents. Thus, II was prepared in eight steps from benzylchloroformate and 2-methoxy-5-nitroaniline. I were evaluated as inhibitors of MAPK pathway and exhibited IC50 values typically lest than 0.5 μM, e.g., II possessed an IC50 = 0.0013μM. In cell proliferation assays, I had IC50 results typically less than 30μM with II giving an IC50 of 1.3 μM in HT29 human colon tumor cells. Methods for prevention of cancer comprising administering an effective amount of compound I are further claimed.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, inhibition of MAP kinase, and cellular antiproliferation activity of substituted quinolines as antitumor agents)

RN 504-03-0 CAPLUS
CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:391711 CAPLUS
DOCUMENT NUMBER: 136:401914
TITLE: Preparation of saframycin analogs for pharmaceutical use in the treatment of cancer
INVENTOR(S): Myers, Andrew; Plowright, Alleyn T.; Kung, Daniel W.; Lanman, Brian; Barbay, Joseph; Xing, Chengguo
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040477	A2	20020523	WO 2001-US47399	20011105
WO 2002040477	A3	20030227		

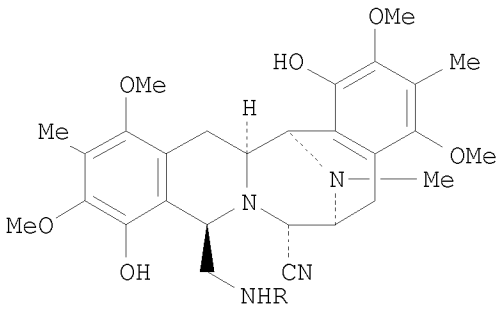
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2447553	A1	20020523	CA 2001-2447553		20011105
AU 2002039565	A	20020527	AU 2002-39565		20011105
US 20030008873	A1	20030109	US 2001-11466		20011105
US 6809099	B2	20041026			
EP 1339713	A2	20030903	EP 2001-987338		20011105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004529074	T	20040924	JP 2002-543487		20011105
AU 2002239565	B2	20071115	AU 2002-239565		20011105
US 20040204419	A1	20041014	US 2004-826859		20040416
US 7122549	B2	20061017			
US 20070112008	A1	20070517	US 2006-582526		20061017
PRIORITY APPLN. INFO.:			US 2000-245888P	P	20001103
			US 2001-11466	A3	20011105
			WO 2001-US47399	W	20011105
			US 2004-826859	A3	20040416

OTHER SOURCE(S): MARPAT 136:401914

GI



I

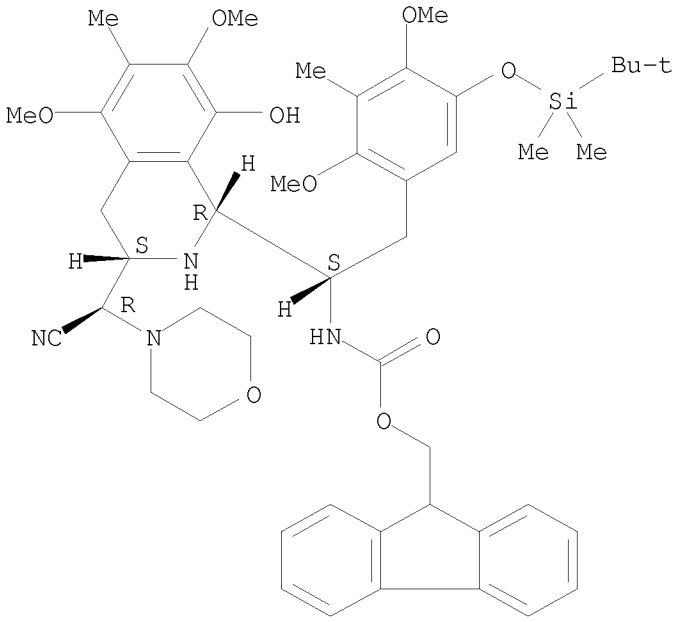
AB Saframycin analogs, such as I [R = H, alkyl, acyl, arylacyl, heteroarylacyl, carboxy, arylsulfonyl, etc.], were prepared for therapeutic use as antitumor agents. Thus, I (R = 2-furanylmethyl) was prepared in 95% yield via condensation of 2-furancarboxaldehyde with the corresponding amine I (R = NH2) using sodium triacetoxyborohydride in MeCN. The amine I (R = H) was prepared via a stereoselective sequence of solid phase synthetic steps. The prepared saframycin analogs were assayed for cancer cell growth inhibition of A375 malignant melanoma and A-459 lung carcinoma cell lines.

IT 253329-77-0P 429687-59-2DP, polymer bound
429687-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of saframycin analogs for pharmaceutical use in the treatment of cancer)

RN 253329-77-0 CAPLUS

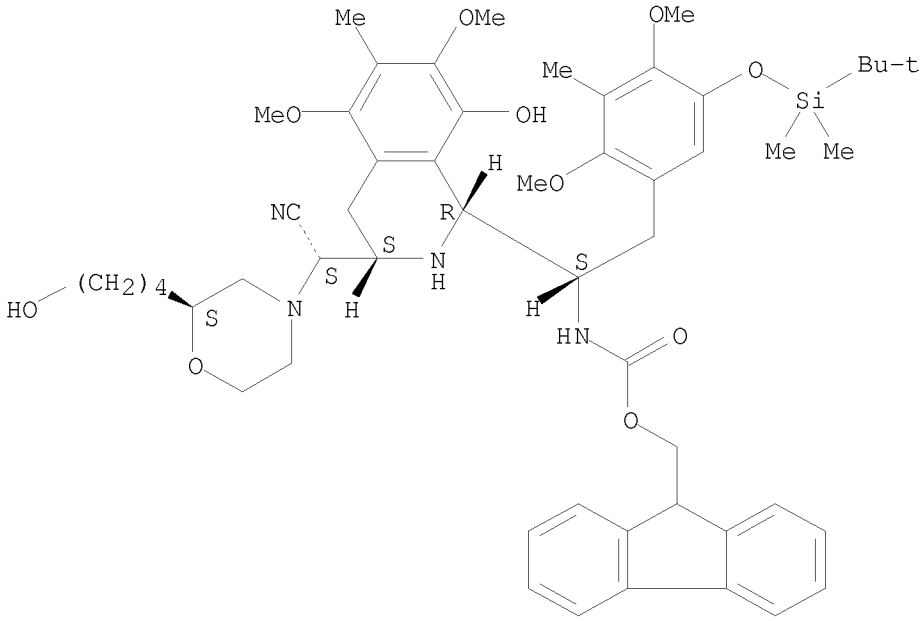
CN Carbamic acid, [(1S)-1-[(1R,3S)-3-[(R)-cyano-4-morpholinylmethyl]-1,2,3,4-tetrahydro-8-hydroxy-5,7-dimethoxy-6-methyl-1-isoquinolinyl]-2-[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2,4-dimethoxy-3-methylphenyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 429687-59-2 CAPLUS
CN Carbamic acid, [(1S)-1-[(1R,3S)-3-[(S)-cyano[(2S)-2-(4-hydroxybutyl)-4-morpholinyl]methyl]-1,2,3,4-tetrahydro-8-hydroxy-5,7-dimethoxy-6-methyl-1-isoquinolinyl]-2-[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2,4-dimethoxy-3-methylphenyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

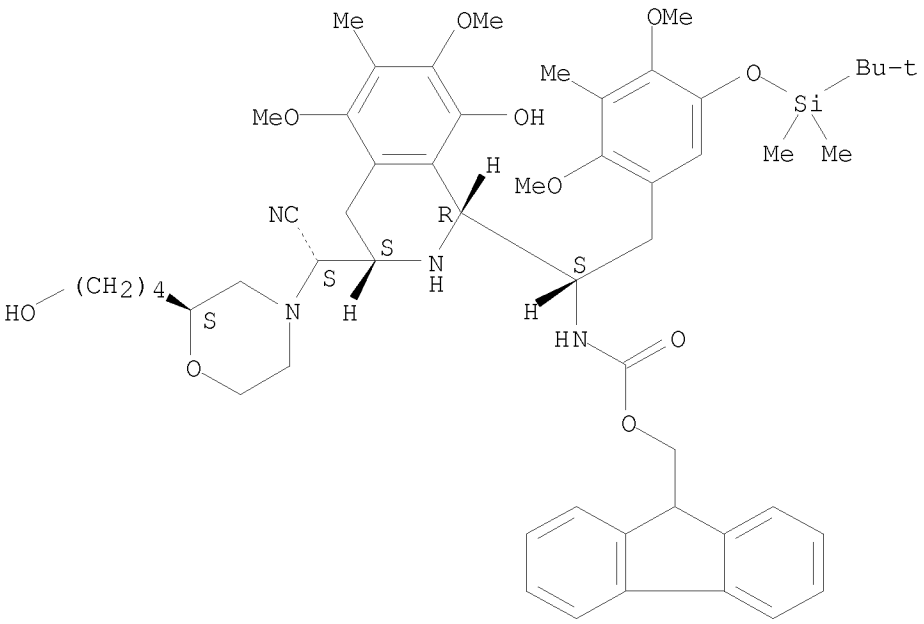
Absolute stereochemistry.



RN 429687-59-2 CAPLUS
CN Carbamic acid, [(1S)-1-[(1R,3S)-3-[(S)-cyano[(2S)-2-(4-hydroxybutyl)-4-morpholinyl]methyl]-1,2,3,4-tetrahydro-8-hydroxy-5,7-dimethoxy-6-methyl-1-

isoquinolinyl]-2-[5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2,4-dimethoxy-3-methylphenyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



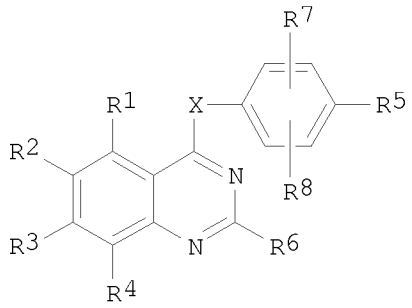
L25 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:228866 CAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384291	A1	20010329	CA 2000-2384291	20000918
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

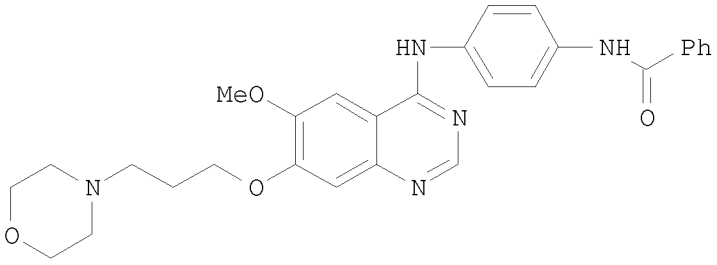
JP 2003509499	T	20030311	JP 2001-524975		20000918
EE 200200119	A	20030415	EE 2002-119		20000918
HU 2003000059	A2	20030728	HU 2003-59		20000918
HU 2003000059	A3	20030828			
BG 106492	A	20030131	BG 2002-106492		20020307
IN 2002MN00293	A	20050318	IN 2002-MN293		20020308
ZA 2002002234	A	20030619	ZA 2002-2234		20020319
NO 2002001399	A	20020430	NO 2002-1399		20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A	19990921
			GB 1999-22170	A	19990921
			WO 2000-GB3580	W	20000918
			WO 2000-GB9100	A	20000918

OTHER SOURCE(S): MARPAT 134:266317

GI



I



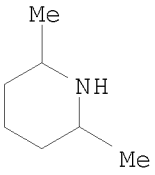
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-

(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IT 504-03-0, 2,6-Dimethyl-piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 504-03-0 CAPLUS
CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

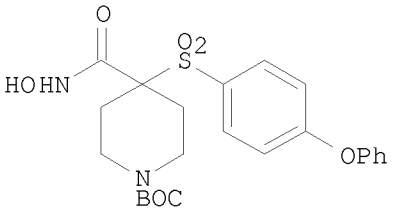
L25 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:608722 CAPLUS
DOCUMENT NUMBER: 133:193079
TITLE: Preparation of arylsulfonylheterocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; De Crescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hanson, Gunnar J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Li, Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Rao, Shashidahar N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 851 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050396	A1	20000831	WO 2000-US2518	20000222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20010039287	A1	20011108	US 1999-256948	19990224
CA 2371876	A1	20000831	CA 2000-2371876	20000222

AU 2000034785		A	20000914	AU 2000-34785		20000222
HU 2002000239		A2	20020629	HU 2002-239		20000222
HU 2002000239		A3	20030428			
EP 1230219		A1	20020814	EP 2000-913317		20000222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL						
BR 2000008491		A	20020917	BR 2000-8491		20000222
JP 2002537378		T	20021105	JP 2000-600979		20000222
NZ 513648		A	20040227	NZ 2000-513648		20000222
NO 2001003963		A	20011023	NO 2001-3963		20010815
ZA 2001006780		A	20020816	ZA 2001-6780		20010816
IN 2001CN01174		A	20050304	IN 2001-CN1174		20010821
MX 2001PA08568		A	20020408	MX 2001-PA8568		20010823
US 20020177588		A1	20021128	US 2001-954451		20010917
US 6750233		B2	20040615			
PRIORITY APPLN. INFO.:				US 1999-256948	A	19990224
				US 1997-66007P	P	19971114
				US 1998-95347P	P	19980804
				US 1998-95501P	P	19980806
				US 1998-101080P	P	19980918
				WO 2000-US2518	W	20000222

OTHER SOURCE(S): MARPAT 133:193079

GI



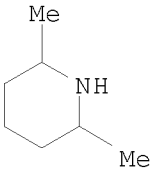
I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 40% sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound I. I inhibited MMP-2 with IC50 = 0.2 nM. Pharmacol., pharmacokinetic, and toxicol. data are given for selected compds.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:811245 CAPLUS
DOCUMENT NUMBER: 132:49976
TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3
INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Brown, Matthew Frank; Changelian, Paul Steven
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

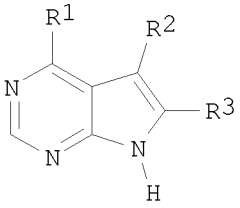
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965909	A1	19991223	WO 1999-IB1110	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335186	A1	19991223	CA 1999-2335186	19990614
CA 2335186	C	20050329		
AU 9940545	A	20000105	AU 1999-40545	19990614
AU 758427	B2	20030320		
TR 200003720	T2	20010321	TR 2000-3720	19990614
EP 1087971	A1	20010404	EP 1999-923800	19990614
EP 1087971	B1	20040707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9912171	A	20010410	BR 1999-12171	19990614
HU 2001003472	A2	20020228	HU 2001-3472	19990614
HU 2001003472	A3	20021228		
JP 2002518394	T	20020625	JP 2000-554734	19990614
JP 3497823	B2	20040216		
TW 542834	B	20030721	TW 1999-88109933	19990614
CN 1125070	C	20031022	CN 1999-807519	19990614
NZ 508034	A	20031128	NZ 1999-508034	19990614
AT 270673	T	20040715	AT 1999-923800	19990614
PT 1087971	T	20041029	PT 1999-923800	19990614
ES 2223172	T3	20050216	ES 1999-923800	19990614
IN 1999DE00876	A	20080725	IN 1999-DE876	19990615
EG 23758	A	20070808	EG 1999-725	19990616
ZA 9904003	A	20001218	ZA 1999-4003	19990617
AP 1157	A	20030630	AP 1999-1583	19990617

W: BW, GH, GM, KE, MW, SD, UG, ZM, ZW

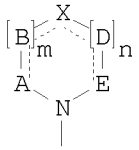
US 6635762	B1	20031021	US 1999-335030		19990617
NO 2000006454	A	20010215	NO 2000-6454		20001218
NO 318786	B1	20050509			
MX 2000PA12853	A	20010507	MX 2000-PA12853		20001219
HR 2000000886	A1	20011031	HR 2000-886		20001219
HR 2000000886	B1	20080731			
BG 105122	A	20011031	BG 2001-105122		20010108
BG 65063	B1	20070131			
HK 1036800	A1	20040227	HK 2001-107740		20011106
US 20040058922	A1	20040325	US 2003-640079		20030813
NO 2005000201	A	20010215	NO 2005-201		20050113
PRIORITY APPLN. INFO.:			US 1998-89886P	P	19980619
			WO 1999-IB1110	W	19990614
			US 1999-335030	A1	19990617

OTHER SOURCE(S): MARPAT 132:49976

GI



I



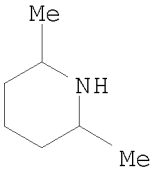
II

AB The title compds. [I; R1 = II (wherein the dashed line represents optional double bonds; m = 0-3; n = 0-3; X, B, D = O, S(O)d (d = 0-2), NR6, CR7R8; A, E = CR7R8; R6 = H, alkyl, CF3, etc.; R7, R8 = H, 2H, alkyl, etc.); R2, R3 = H, NH2, halo, etc.] which are inhibitors of protein tyrosine kinases such as Janus Kinase 3 (no data) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia and other autoimmune diseases, were prepared E.g., a 2-step synthesis of I [R1 = piperidino; R2 = Cl; R3 = H], starting with 4-chloro-7H-pyrrolo[2,3-d]pyrimidine, was given. Compds. I are effective at 0.1-1000 mg/day.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

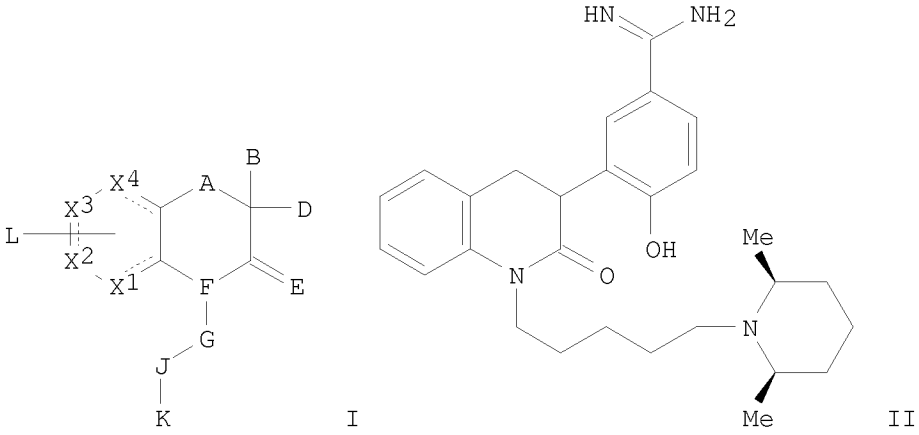
L25 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:640853 CAPLUS

DOCUMENT NUMBER: 131:271815
TITLE: Preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders
INVENTOR(S): Dudley, Danette Andrea; Edmunds, Jeremy John
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9950263	A1	19991007	WO 1998-US26709		19981215
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2312953	A1	19991007	CA 1998-2312953		19981215
AU 9919184	A	19991018	AU 1999-19184		19981215
AU 763110	B2	20030710			
BR 9815786	A	20001121	BR 1998-15786		19981215
EP 1091955	A1	20010418	EP 1998-963966		19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
HU 2001001484	A2	20011028	HU 2001-1484		19981215
HU 2001001484	A3	20030228			
JP 2002509928	T	20020402	JP 2000-541167		19981215
NZ 505921	A	20030829	NZ 1998-505921		19981215
ZA 9902448	A	20001011	ZA 1999-2448		19990330
MX 2000PA06107	A	20010219	MX 2000-PA6107		20000619
US 6855726	B1	20050215	US 2000-601479		20000803
NO 2000004696	A	20000920	NO 2000-4696		20000920
PRIORITY APPLN. INFO.:			US 1998-80090P	P	19980331
			WO 1998-US26709	W	19981215

OTHER SOURCE(S): MARPAT 131:271815
GI



I II

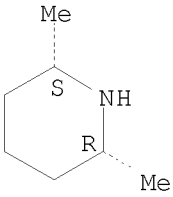
AB 2(1H)-Quinolinones (I) [where A = CH2, CH, or C(alkyl); B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH2, or CH2N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin and/or factor VIIa, were prepared For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(2-oxo-1,2,3,4-tetrahydro-3-quinolinyl)benzenecarbonitrile (5-step preparation given) to yield the N-substituted tetrahydroquinolinone. Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinolinone to form the piperidinylpentyl derivative This intermediate was converted to the title quinolinone II.2HCl by treatment with NH2OH.HCl followed by addition of CF3CO2H and reduction with Pd/C. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50 μM to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC50 = 1.14 μM), trypsin (IC50 = 0.562 μM), and factor Xa (IC50 = 0.02 μM). Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders)

RN 766-17-6 CAPLUS

CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:640844 CAPLUS

DOCUMENT NUMBER: 131:271886

TITLE: Preparation of quinoxalinones as serine protease inhibitors for treatment of thrombotic disorders

INVENTOR(S): Dudley, Danette Andrea; Edmunds, Jeremy John

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950254	A1	19991007	WO 1998-US26704	19981215

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2319554	C	19991007	CA 1998-2319554		19981215
CA 2319554	A1	19991007			
AU 9919179	A	19991018	AU 1999-19179		19981215
BR 9815785	A	20001205	BR 1998-15785		19981215
EP 1068190	A1	20010117	EP 1998-963961		19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
HU 2001001470	A2	20011028	HU 2001-1470		19981215
HU 2001001470	A3	20020930			
ZA 9902447	A	20001010	ZA 1999-2447		19990330
US 6410536	B1	20020625	US 2000-601606		20000803
MX 2000PA08342	A	20010328	MX 2000-PA8342		20000825
NO 2000004697	A	20000920	NO 2000-4697		20000920
US 20020086866	A1	20020704	US 2002-38006		20020104
US 6916805	B2	20050712			
PRIORITY APPLN. INFO.:			US 1998-80042P	P	19980331
			WO 1998-US26704	W	19981215
			US 2000-601606	A3	20000803

OTHER SOURCE(S): MARPAT 131:271886
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2(1H)-Quinoxalinones (I) [where A = N, N(alkyl)CH2, CH2N(alkyl), NO; B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH2, or CH2N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin, trypsin, and/or factor VIIa, were prepared For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(3-oxo-3,4-dihydro-2-quinoxalinyl)benzenecarbonitrile (6-step preparation given) to yield the N-substituted dihydroquinoxaline. Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinoxalinone to form the piperidinylpentyl derivative This intermediate was debenzylated and the nitrile converted to the carboximidamide to form the title quinoxalinone (II).2HCl. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50 μM to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC50 = 2.96 μM), trypsin (IC50 = 2.03 μM), and factor Xa (IC50 = 0.065 μM). At a concentration of 100 μM, II inhibited the catalytic activity of human tissue factor/factor VIIa complex by 16%. In an in vitro assay, II demonstrated human prothrombinase (PTase) complex inhibition with an IC50 of 0.0015 μM. The effects of II on thrombosis and hemostasis was studied in a rabbit veno-venous shunt model and in a dog electrolytic injury model of thrombosis. At the highest dose, II prolonged a PTT and PT by a 5- and 3.9-fold, resp., for the veno-venous shunt model and by 1.4- and 1.75-fold, resp., for the electrolytic injury model. Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and

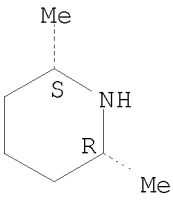
cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders)

RN 766-17-6 CAPLUS

CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:511159 CAPLUS

DOCUMENT NUMBER: 131:157709

TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists

INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 469 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

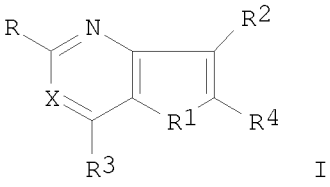
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940091	A1	19990812	WO 1999-US2500	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6187777	B1	20010213	US 1999-246775	19990204
CA 2319275	A1	19990812	CA 1999-2319275	19990205
CA 2319275	C	20071016		
AU 9926590	A	19990823	AU 1999-26590	19990205
AU 747920	B2	20020530		
EP 1054887	A1	20001129	EP 1999-906756	19990205
EP 1054887	B1	20060412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2003502272	T	20030121	JP 2000-530520	19990205
AT 323088	T	20060415	AT 1999-906756	19990205
PT 1054887	T	20060630	PT 1999-906756	19990205

ES 2257851	T3	20060801	ES 1999-906756		19990205
ZA 9900967	A	19990806	ZA 1999-967		19990208
MX 2000PA07662	A	20010219	MX 2000-PA7662		20000804
US 6583154	B1	20030624	US 2000-640263		20000816
PRIORITY APPLN. INFO.:			US 1998-73927P	P	19980206
			US 1998-73981P	P	19980206
			US 1998-93482P	P	19980720
			US 1998-93577P	P	19980720
			US 1999-246775	A	19990204
			WO 1999-US2500	W	19990205

OTHER SOURCE(S): MARPAT 131:157709
GI



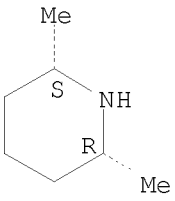
I

AB Title compds.[I; R = H, CH3, (CH3)2CH, SCH3, CH3CH2, NH2, CF3, NHCOC6H5, cyclopropyl, CH2OH, (CH3)2CH2CH2, N(CH3)2, OCH3, NHCH3, NH(CH2)4NH2; R1 = NH, S, NCH3, O; R2 = H, COCH3, C6H5, CH3, CH3CH2; R3 = NH2, CH3, NHC6H5, N(CH2CH3)2, (CH3CH2)N(CH2)3CH3, (CH3)N(CH2)2NHCH3, N(CH3)CH(CH3)CH(Ph)OH, (CH3CH2)NCH2C(CH3):CH2, NHCH2CF3, NHCH2CH2C6H5, NH(CH2)3OCH2CH3, 4-ClC6H4, 4-CH3OC6H5, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R4 = C6H5, 4-CH3C6H4, 4-ClC6H4, (CH3)3C, 4-FC6H4, 3-HOC6H4, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC6H4 2-thienyl, 1-adamantyl, CH3, 4-CH3OC6H4; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH3; R1 = NH; X = N; R2 = H; R3 = N(CH2CH3)2; R4 = C6H5) was prepared

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 766-17-6 CAPLUS
CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:350651 CAPLUS
DOCUMENT NUMBER: 131:18929

TITLE: Preparation of arylsulfonylheterocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors

INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Boehm, Terri L.; De Crescenzo, Gary A.; Villamil, Clara I.; McDonald, Joseph J.; Freskos, John N.; Getman, Daniel P.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 840 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

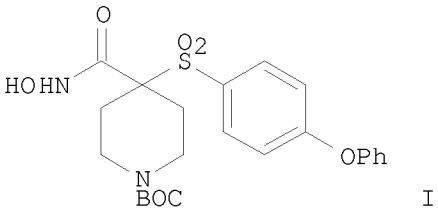
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925687	A1	19990527	WO 1998-US23242	19981112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306460	A1	19990527	CA 1998-2306460	19981112
AU 9913732	A	19990607	AU 1999-13732	19981112
AU 756150	B2	20030102		
BR 9814643	A	20001003	BR 1998-14643	19981112
EP 1042290	A1	20001011	EP 1998-957485	19981112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001523662	T	20011127	JP 2000-521071	19981112
NZ 503485	A	20021025	NZ 1998-503485	19981112
RU 2250105	C2	20050420	RU 2000-115948	19981112
ZA 9810412	A	19991209	ZA 1998-10412	19981113
US 20010014688	A1	20010816	US 1998-191129	19981113
NO 2000002469	A	20000712	NO 2000-2469	20000512
MX 2000PA04660	A	20010930	MX 2000-PA4660	20000512
US 6541489	B1	20030401	US 2000-554082	20000731
US 20020177588	A1	20021128	US 2001-954451	20010917
US 6750233	B2	20040615		
US 20040048852	A1	20040311	US 2003-337942	20030107
US 6890937	B2	20050510		
US 20060084688	A1	20060420	US 2005-46645	20050128

PRIORITY APPLN. INFO.:

US 1997-66007P	P	19971114
US 1998-95347P	P	19980804
US 1998-95501P	P	19980806
US 1998-101080P	P	19980918
WO 1998-US23242	W	19981112
US 1999-256948	B3	19990224
US 2000-554082	A3	20000731
US 2003-337942	A3	20030107

OTHER SOURCE(S): MARPAT 131:18929

GI



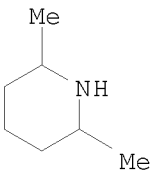
I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 405 sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound (I). I inhibited MMP-2 with IC50 = 0.2 nM.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:816108 CAPLUS

DOCUMENT NUMBER: 130:66389

TITLE: Preparation of indole derivatives as gonadotropin releasing hormone antagonists

INVENTOR(S): Goulet, Mark; Chu, Lin; Walsh, Thomas F.; Fisher, Michael H.; Girotra, Narindar N.; Wyvratt, Matthew J.; Lin, Peter; Ashton, Wallace T.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 59 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

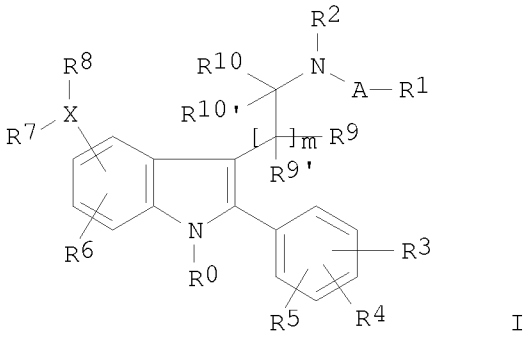
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

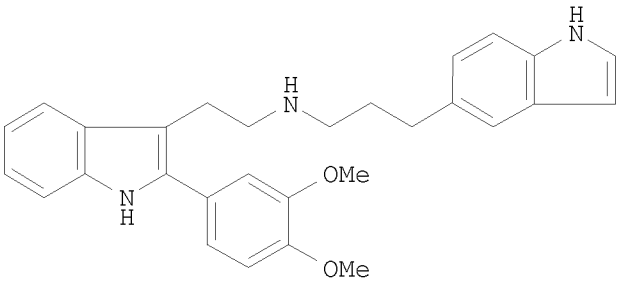
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849764	A	19981215	US 1996-760817	19961205

PRIORITY APPLN. INFO.: US 1996-760817 19961205
OTHER SOURCE(S): MARPAT 130:66389
GI



I



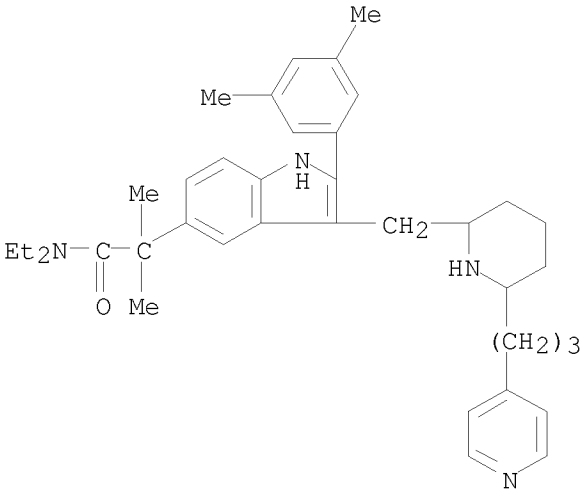
II

AB The title compds. I [A = (halo)alkyl, (un)substituted cycloalkyl, alkenyl, or alkynyl, alkoxy, alkylthio, alkoxyalkyl, bond, etc.; R0 = H, (un)substituted alkyl, aryl, or aralkyl; R1 = various (un)substituted heterocycles; R2 = H, (un)substituted alkyl, aralkyl, aryl, etc.; R2 and A may form 5- to 7-atom ring; R3, R4, R5 = H, (un)substituted alkyl or alkenyl, cyano, nitro, halo; R6 = H, (un)substituted alkyl, aryl, cyano, NO2, halo, etc.; R7 = H, (un)substituted alkyl, or is absent; R8 = H, CO2H or derivs., NH2 or derivs., OH or SH or derivs., etc.; or R7 and R8 form a C3-7 carbocyclic ring; R9, R9', R10, R10' = H, (un)substituted alkyl, aryl, or aralkyl; X = H, halo, N, O, S(O)0-2, CO, CH2, etc.; m = 0-3] (claimed) and similar compds. were prepared as antagonists of gonadotropin releasing hormone (no data). The compds. are thus useful for treatment of a variety of conditions including hormone-dependent cancers, benign prostatic hypertrophy, endometriosis, irritable bowel syndrome, etc. For instance, amidation of 3-(1H-indol-5-yl)propionic acid with 2-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethylamine using EDC and HOBT, and reduction of the amide product to a secondary amine using LiAlH4 in THF at 77°, gave the invention compound II.

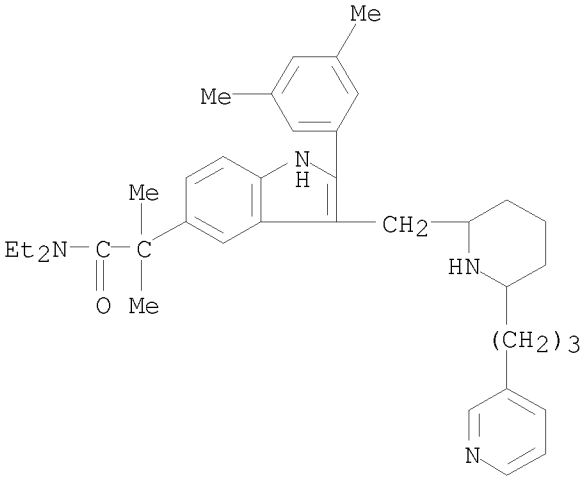
IT 192717-09-2P 192717-10-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as gonadotropin releasing hormone antagonists)

RN 192717-09-2 CAPLUS

CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl-α,α-dimethyl-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



RN 192717-10-5 CAPLUS
CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl-α,α-dimethyl-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

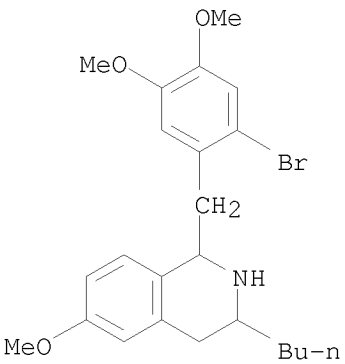
L25 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:638427 CAPLUS
DOCUMENT NUMBER: 127:242933
ORIGINAL REFERENCE NO.: 127:47247a,47250a
TITLE: Inhibition of Tubulin Polymerization by 5,6-Dihydroindolo[2,1-a]isoquinoline Derivatives
AUTHOR(S): Goldbrunner, Michael; Loidl, Guenther; Polossek, Thomas; Mannschreck, Albrecht; von Angerer, Erwin
CORPORATE SOURCE: Institut fuer Pharmazie and Institut fuer Organische Chemie, Universitaet Regensburg, Regensburg, D-93040, Germany
SOURCE: Journal of Medicinal Chemistry (1997), 40(22), 3524-3533

CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 6-Alkyl-12-formyl-5,6-dihydroindolo[2,1-a]isoquinolines inhibit the growth of human mammary carcinoma cells by an unknown mode of action. One of the possible mol. targets is the tubulin system which is involved in cell division. A number of 5,6-dihydroindolo[2,1-a]isoquinolines with methoxy or hydroxy groups in positions 3, 9, and/or 10 and various functional groups such as formyl, acetyl, cyano, alkylimino, and alkylamino in position 12 were synthesized and evaluated for both inhibition of tubulin polymerization and cytostatic activity in MDA-MB 231 and MCF-7 human breast cancer cells. In the tubulin polymerization assay, only hydroxy derivs. were active, whereas both the hydroxy derivs. and some of the methoxy compds. inhibited cell growth. In order to establish a correlation between the inhibition of tubulin polymerization and cytostatic activity in the hydroxy series, 2 of the most active racemates were separated into the enantiomers. In both assays, the relative potencies of the hydroxy derivs. were in a similar order. Highest activity was found for the (+)-isomers of 6-propyl- and 6-butyl-12-formyl-5,6-hydro-3,9-dihydroxyindolo[2,1-a]isoquinoline with IC50 values of 11 and 3.1 μM, resp., for the polymerization of tubulin at 37° (colchicine: 2.1 μM). The active hydroxy derivs. displaced 40-70% of [3H]colchicine from its binding site in the tubulin at concns. 10-fold higher than that of colchicine. Hydroxy-substituted indolo[2,1-a]isoquinolines bind to the colchicine-binding site and inhibit the polymerization of tubulin. This action can be assumed to be responsible for the cytostatic activity of the hydroxy derivs. and might also contribute to the antitumor effect of the corresponding Me ethers.

IT 195731-21-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibition of tubulin polymerization by dihydroindoloisoquinolines)

RN 195731-21-6 CAPLUS
CN Isoquinoline, 1-[(2-bromo-4,5-dimethoxyphenyl)methyl]-3-butyl-1,2,3,4-tetrahydro-6-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:511777 CAPLUS
DOCUMENT NUMBER: 127:121742
ORIGINAL REFERENCE NO.: 127:23485a,23488a

TITLE: Preparation of heterocyclic compounds as antagonists of gonadotropin releasing hormone

INVENTOR(S): Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.

SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

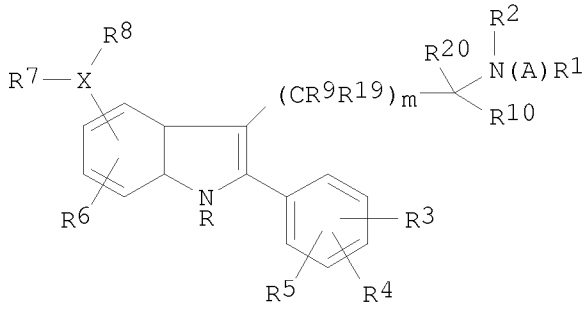
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721704	A1	19970619	WO 1996-US19444	19961210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240108	A1	19970619	CA 1996-2240108	19961210
AU 9714106	A	19970703	AU 1997-14106	19961210
AU 707641	B2	19990715		
EP 873336	A1	19981028	EP 1996-944249	19961210
EP 873336	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1208412	A	19990217	CN 1996-199872	19961210
JP 11506471	T	19990608	JP 1997-522124	19961210
JP 3230818	B2	20011119		
JP 2001106685	A	20010417	JP 2000-257791	19961210
HU 9903671	A2	20011028	HU 1999-3671	19961210
HU 9903671	A3	20011128		
AT 215081	T	20020415	AT 1996-944249	19961210
ES 2174129	T3	20021101	ES 1996-944249	19961210
ZA 9610536	A	19970814	ZA 1996-10536	19961213
NO 9802729	A	19980813	NO 1998-2729	19980612

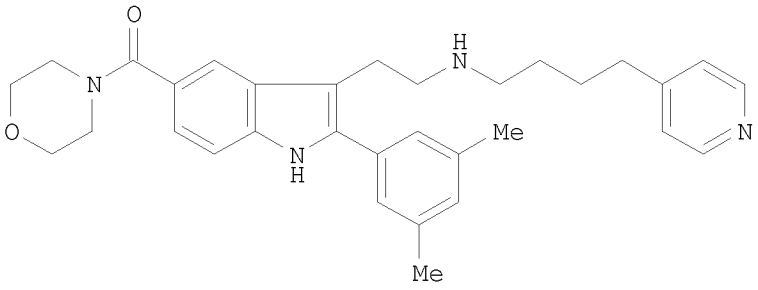
PRIORITY APPLN. INFO.:	US 1995-8633P	P	19951214
	GB 1996-3242	A	19960216
	JP 1997-522124	A3	19961210
	WO 1996-US19444	W	19961210

OTHER SOURCE(S): MARPAT 127:121742

GI



I



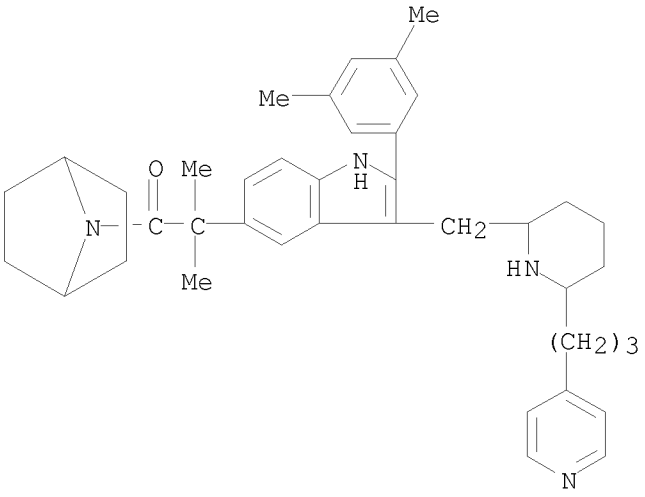
II

AB The title compds. I [A = alkyl, etc.; R = H, alkyl, etc.; R1 = heterocyclic ring (generic structures given); R2 = H, alkyl, etc.; or R2A = ring; R3, R4, R5 = H, (un)substituted alkyl, alkenyl, etc.; or R3R4 = ring; R6 = H, (un)substituted alkyl, etc.; R7 = H, (un)substituted alkyl; unless X is hydrogen or halo, then R7 is absent; R8 = heterocyclic ring, etc.; or R7R8 = heterocyclic ring; R9, R19 = H, (un)substituted alkyl; further details on R9R19 and R9A are given; R20, R10 = H, (un)substituted alkyl, etc.; further details on R20R10, and R9R20, R9R2, R20R2, R20A are given; m = 0 to 3; X = N, etc.], useful as antagonists of gonadotropin releasing hormone (no data), are prepared I may be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women. The title compound II was prepared in a multistep process.

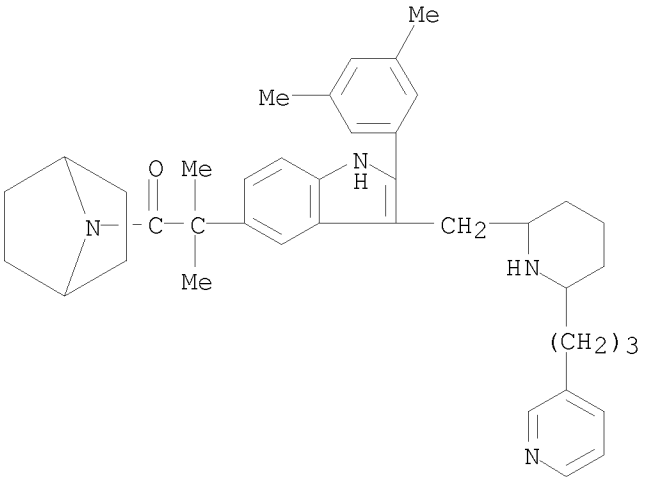
IT 192644-63-6P 192644-64-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as antagonists of gonadotropin releasing hormone)

RN 192644-63-6 CAPLUS

CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



RN 192644-64-7 CAPLUS
CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



L25 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:313379 CAPLUS
DOCUMENT NUMBER: 125:75406
ORIGINAL REFERENCE NO.: 125:14067a,14070a
TITLE: Assessment of a cytoprotection assay for the discovery and evaluation of anti-human immunodeficiency virus compounds utilizing a genetically-impaired virus
AUTHOR(S): Kiser, Rebecca; Makovsky, Susan; Terpening, Sara J.; Laing, Noel; Clanton, David J.
CORPORATE SOURCE: NCI-AIDS Drug Screening and Development Laboratory, SAIC-Frederick, NCI-FCRDC, Frederick, MD, 21702-1201, USA
SOURCE: Journal of Virological Methods (1996), 58(1,2), 99-109
CODEN: JVMEDH; ISSN: 0166-0934
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal

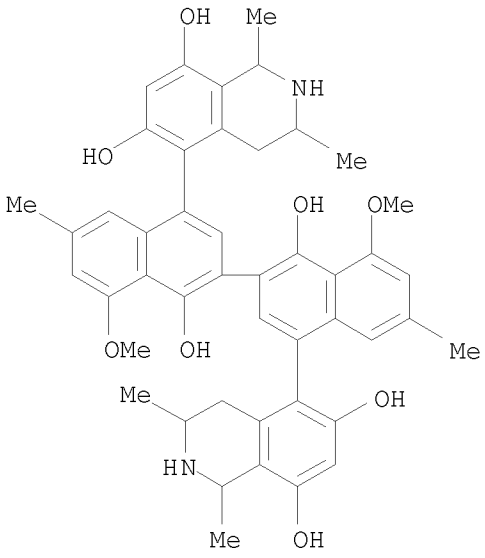
LANGUAGE: English

AB A biol. contained cytoprotection assay was developed to screen inhibitors of the human immunodeficiency virus without the need for high level containment or practices. The virus used has multiple point mutations that have destroyed its ability to produce both Rev and Tat, proteins essential for virus replication in vitro. The original cell line employed (CEM-SSTART) contains a genetic construct that allows for the continuous expression of both Rev and Tat, and a subclone (1A2) was developed that provides for maximum acute cytopathic effect. The National Cancer Institute's AIDS drug screening assay was used to test known drugs with both HIVIIIB virus in the T4 lymphocytic cell line CEM-SS and mutant virus in the 1A2 subclone. This cell-based assay uses the tetrazolium salt, XTT, as an indicator of cellular metabolism after the cells have been infected with virus. The results of extensive testing have shown that the assay using mutant virus is comparable to the current NCI AIDS drug screen. After 42 days in 1A2 or CEM-SS cell culture, the virus or the integrated genome did not revert to wild-type, and the virus produced in 1A2 cells was unable to replicate in PBMCs. Mutant viral stocks were devoid of wild-type virus as determined by a PCR assay that would have found 60-600 copies of mutant RNA. These materials, which are now available to the scientific community (NIH AIDS Research and Reference Reagent Program), should be useful tools to screen and test compds. for potential inhibition of HIV in labs. not equipped to maintain and use wild-type infectious virus.

IT 137893-48-2, Michellamine B
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(assessment of cytoprotection assay for discovery and evaluation of anti-human immunodeficiency virus compds. utilizing a genetically-impaired virus)

RN 137893-48-2 CAPLUS

CN 6,8-Isoquinolinediol, 5,5'-(1,1'-dihydroxy-8,8'-dimethoxy-6,6'-dimethyl[2,2'-binaphthalene]-4,4'-diyl)bis[1,2,3,4-tetrahydro-1,3-dimethyl-, (1R,1'R,3R,3'R,5R,5'S)- (CA INDEX NAME)



L25 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:483015 CAPLUS
DOCUMENT NUMBER: 121:83015
ORIGINAL REFERENCE NO.: 121:14913a,14916a

TITLE: Dibenzo[a,f]quinolizines: syntheses and cytostatic activity in estrogen-sensitive tumor cells

AUTHOR(S): von Angerer, Silvia; Seidl, Engelbert; Mannschreck, Albrecht; von Angerer, Erwin; Wiegrebe, Wolfgang

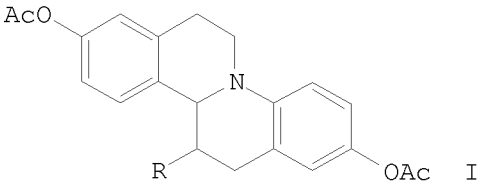
CORPORATE SOURCE: Inst. Pharm., Univ. Regensburg, Regensburg, D-93040, Germany

SOURCE: Anti-Cancer Drug Design (1994), 9(1), 25-40
CODEN: ACDDEA; ISSN: 0266-9536

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



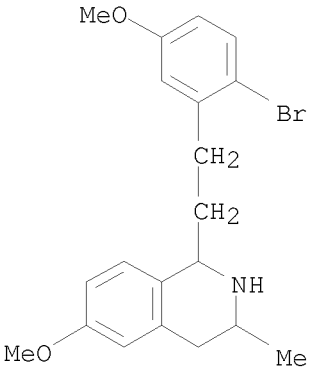
I

AB A number of methoxy-substituted 7,11b,12,13-tetrahydro-6H-dibenzo[a,f]quinolizines with short alkyl groups in position 6 or 12 were synthesized by the Bischler-Napieralski reaction using the appropriate starting material followed by a 2nd ring closure reaction involving a base-generated benzyne intermediate. The methoxy functions in positions 2 or 3 and 9 were cleaved with BBr3 and the free hydroxy groups converted into the acetates. The enantiomers of 2 of these derivs. were separated by liquid chromatog. on triacetylcellulose. Compds. with alkyl substituents bind strongly to the estrogen receptor except those with a cis-orientation at the central ring connection. The RBA values ranged from 2.2-10.8 (17β-estradiol: RBA = 100). There was no major difference in binding between the (+) and (-)-enantiomers. The 3,9-diacetoxy-6-alkyl derivs. also showed binding affinity for the progesterone receptor (RBA: 1.2-3.1). The 2,9-diacetoxydibenzoquinolizines trans-I (R = Et and Pr) strongly inhibited the growth of hormone-sensitive MCF-7 breast cancer cells at concns. of 10-6 M and higher but were inactive in hormone-independent MDA-MB 231 breast cancer cells. Preliminary tests with hormone-dependent MXT mouse mammary tumors as model showed that these compds. have also antineoplastic activity in vivo. Trans-I (R = Et) at a dose of 20 mg/kg body weight, administered 3 times/wk, inhibited the growth of these tumors by 78% (tamoxifen: 76% inhibition). Studies on the estrogenic and antiestrogenic properties of these agents in mice revealed that they are mixed agonists/antagonists with strong antiestrogenic activity at low doses but significant estrogenic effects at higher doses.

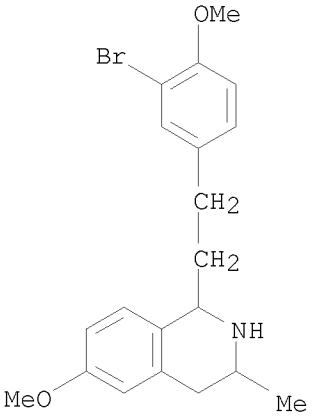
IT 156417-29-7P 156417-30-0P 156417-31-1P
156417-32-2P 156417-33-3P 156417-34-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of diacetoxydibenzoquinolizines)

RN 156417-29-7 CAPLUS

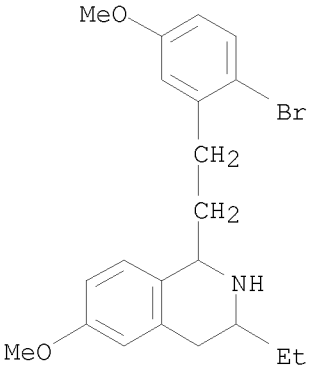
CN Isoquinoline, 1-[2-(2-bromo-5-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-methyl- (CA INDEX NAME)



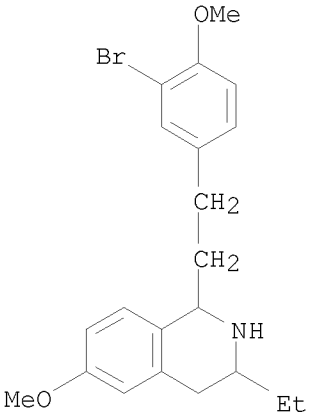
RN 156417-30-0 CAPLUS
CN Isoquinoline, 1-[2-(3-bromo-4-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-methyl- (CA INDEX NAME)



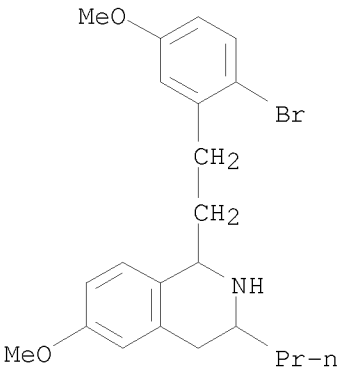
RN 156417-31-1 CAPLUS
CN Isoquinoline, 1-[2-(2-bromo-5-methoxyphenyl)ethyl]-3-ethyl-1,2,3,4-tetrahydro-6-methoxy- (CA INDEX NAME)



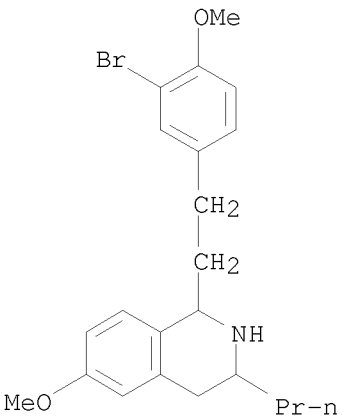
RN 156417-32-2 CAPLUS
CN Isoquinoline, 1-[2-(3-bromo-4-methoxyphenyl)ethyl]-3-ethyl-1,2,3,4-tetrahydro-6-methoxy- (CA INDEX NAME)



RN 156417-33-3 CAPLUS
CN Isoquinoline, 1-[2-(2-bromo-5-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-propyl- (CA INDEX NAME)



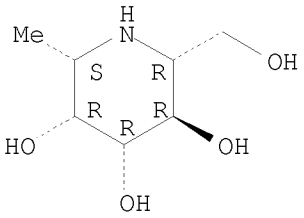
RN 156417-34-4 CAPLUS
CN Isoquinoline, 1-[2-(3-bromo-4-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-propyl- (CA INDEX NAME)



```
=> s l22/biol
          2516 L22
       7472908 BIOL/RL
L26         529 L22/BIOL
                (L22 (L) BIOL/RL)

=> s l22/uses
          2516 L22
       7150149 USES/RL
L27         274 L22/USES
                (L22 (L) USES/RL)

=> s (cancer OR "Cancer (genus)")
        370638 CANCER
         54465 CANCERS
        384282 CANCER
                (CANCER OR CANCERS)
        370638 "CANCER"
         54465 "CANCERS"
        384282 "CANCER"
                ("CANCER" OR "CANCERS")
         53989 "GENUS"
           103 "GENUSES"
         18740 "GENERA"
             8 "GENERAS"
         68072 "GENUS"
                ("GENUS" OR "GENUSES" OR "GENERA" OR "GENERAS")
            48 "CANCER (GENUS)"
                ("CANCER"(W)"GENUS")
L28     384282 (CANCER OR "CANCER (GENUS)")

=> s L26 AND L28
L29         19 L26 AND L28

=> s L27 AND L28
L30         17 L27 AND L28

=> d L29 10-19 ibib abs hitstr

L29  ANSWER 10 OF 19  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2006:699811  CAPLUS
DOCUMENT NUMBER:         145:165623
TITLE:                   Manufacture of β-L-homofuconojirimycin with
                         Penicillium
INVENTOR(S):             Kita, Yuichi; Kondo, Satoru; Tomoda, Akihiro;
                         Ichikawa, Masako; Takahashi, Atsushi
PATENT ASSIGNEE(S):      Hokko Chemical Industry Co., Ltd., Japan
SOURCE:                  Jpn. Kokai Tokkyo Koho, 7 pp.
                         CODEN: JKXXAF
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     JP 2006187223        A     20060720    JP 2005-324            20050105
PRIORITY APPLN. INFO.:                      JP 2005-324            20050105
AB   The β-L-homofuconojirimycin (I), an fucosidase inhibitor and
     inhibitor for metastasis of tumor, is manufactured with Penicillium.  Shake
     culture of Penicillium, and chromatog. isolation of I from culture
     supernatant were shown.
```

IT 125711-55-9P, β-L-Homofuconojirimycin
RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(manufacture of β-L-homofuconojirimycin with Penicillium as antitumor)
RN 125711-55-9 CAPLUS
CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-6-methyl-, (2R,3R,4R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry.



L29 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:410153 CAPLUS
DOCUMENT NUMBER: 144:450708
TITLE: Imidazolecarboxamides and related compounds as inhibitors of c-fms kinase and their preparation, pharmaceutical compositions and use for treatment of various inflammations, cancers, and cardiovascular diseases
INVENTOR(S): Illig, Carl; Ballentine, Shelley; Chen, Jinsheng; Meegalla, Sanath; Rudolph, M.; Wall, Mark; Wilson, Ken; Desjarlais, Renee; Molloy, Christopher; Manthey, Carl; Flores, Christopher
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047277	A2	20060504	WO 2005-US37868	20051020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005299837	A1	20060504	AU 2005-299837	20051020
CA 2585053	A1	20060504	CA 2005-2585053	20051020
EP 1807077	A2	20070718	EP 2005-815361	20051020
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

JP 2008517926	T	20080529	JP 2007-538060		20051020
MX 200704784	A	20070911	MX 2007-4784		20070420
IN 2007KN01436	A	20070720	IN 2007-KN1436		20070423
NO 2007002489	A	20070629	NO 2007-2489		20070515
KR 2007085382	A	20070827	KR 2007-711145		20070516
PRIORITY APPLN. INFO.:			US 2004-621211P	P	20041022
			WO 2005-US37868	W	20051020

OTHER SOURCE(S): CASREACT 144:450708; MARPAT 144:450708
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to compds. of formula I as well as solvates, hydrates, tautomers and pharmaceutically acceptable salts thereof, that inhibit protein tyrosine kinases, especially c-fms kinase. Methods of treating autoimmune diseases; and diseases with an inflammatory component; treating metastasis from ovarian cancer, uterine cancer, breast cancer, colon cancer, stomach cancer, hairy cell leukemia and non-small lung carcinoma; and treating pain, including skeletal pain caused by tumor metastasis or osteoarthritis, or visceral, inflammatory, and neurogenic pain; as well as osteoporosis, Paget's disease, and other diseases in which bone resorption mediates morbidity including arthritis, prosthesis failure, osteolytic sarcoma, myeloma, and tumor metastasis to bone with the compds. of formula I, are also provided. Compound of formula I wherein A is (un)substituted Ph, (un)substituted pyridyl, or 4-aminophenyl; W is (un)substituted pyrrolyl, (un)substituted imidazolyl, (un)substituted isoxazolyl, (un)substituted oxazolyl, (un)substituted 1,2,4-triazolyl, or (un)substituted furanyl; R2 is (un)substituted cycloalkyl, (un)substituted thiophenyl, (un)substituted dihydrosulfonopyranyl, (un)substituted Ph, (un)substituted furanyl, (un)substituted tetrahydropyridyl, or (un)substituted dihydropyranyl; X is (un)substituted heterocycles; and their solvates, hydrates, tautomers, or pharmaceutically acceptable salts are claimed in this invention. Example compound cis- and trans-II.2TFA were prepared by Boc-protection of 2,6-dimethyl-4-piperidinone; the resulting N-Boc-2,6-dimethyl-4-piperidinone underwent sulfonylation to give 2,6-dimethyl-4-trifluoromethanesulfonyloxy-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-Bu ester, which underwent Suzuki coupling with 4-aminophenylboronic acid to give 4-(4-aminophenyl)-2,6-dimethyl-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-Bu ester, which underwent hydrogenation to give 4-(4-aminophenyl)-2,6-dimethylpiperidine-1-carboxylic acid tert-Bu ester, which underwent Suzuki coupling with cyclohex-1-enylboronic acid; the resulting 4-(4-amino-3-cyclohex-1-enylphenyl)-2,6-dimethylpiperidine-1-carboxylic acid tert-Bu ester reacted with 4-cyano-1-(2-trimethylsilanylethoxymethyl)-1H-imidazole-2-carboxylic acid potassium salt followed by separation of isomers to give cis- and trans-4-(4-[[4-cyano-1-(2-trimethylsilanylethoxymethyl)-1H-imidazole-2-carbonyl]amino]-3-cyclohex-1-enylphenyl)-2,6-dimethylpiperidine-1-carboxylic acid tert-Bu esters which underwent hydrolysis to give example compds. cis- and trans-II.2TFA. All the invention compds. were evaluated for their c-fms kinase inhibitory activity. From the assay, it was determined that cis-II.2TFA exhibited and IC50 value of 0.20 nM and trans-II.2TFA showed and IC50 value of 0.40 nM.

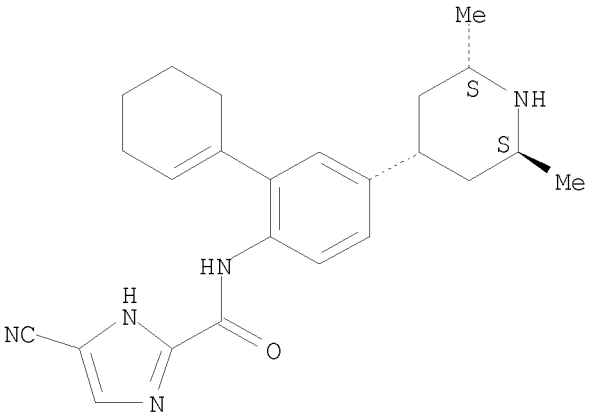
IT 885692-87-5P 885948-24-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazolecarboxamides and related compds. as inhibitors of c-fms kinase useful for treatment of inflammations, cancers, and cardiovascular diseases)

RN 885692-87-5 CAPLUS
CN 1H-Imidazole-2-carboxamide, 5-cyano-N-[2-(1-cyclohexen-1-yl)-4-[(2R,6R)-2,6-dimethyl-4-piperidinyl]phenyl]-, rel-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

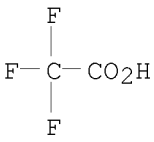
CRN 885692-86-4
CMF C24 H29 N5 O

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

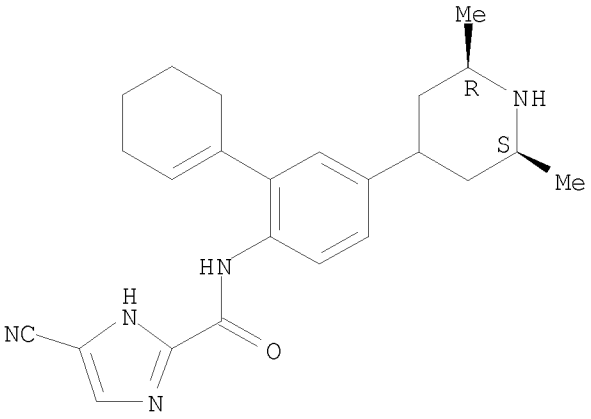


RN 885948-24-3 CAPLUS
CN 1H-Imidazole-2-carboxamide, 5-cyano-N-[2-(1-cyclohexen-1-yl)-4-[(2R,6S)-2,6-dimethyl-4-piperidinyl]phenyl]-, rel-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

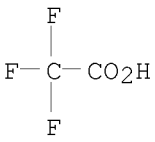
CRN 885948-23-2
CMF C24 H29 N5 O

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L29 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:367143 CAPLUS
DOCUMENT NUMBER: 144:412493
TITLE: Rhodanine derivatives as PPAR receptor modulators and their preparation, pharmaceutical compositions and use for treatment and prophylaxis of various diseases
INVENTOR(S): Sarshar, Sepehr; Marappan, Subrumanian
PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006041921	A2	20060420	WO 2005-US35832	20051004
WO 2006041921	A3	20061109		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

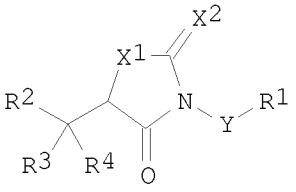
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

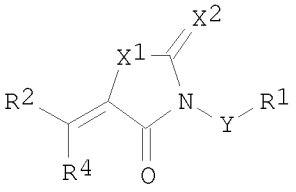
PRIORITY APPLN. INFO.: US 2004-616574P P 20041005

OTHER SOURCE(S): CASREACT 144:412493; MARPAT 144:412493

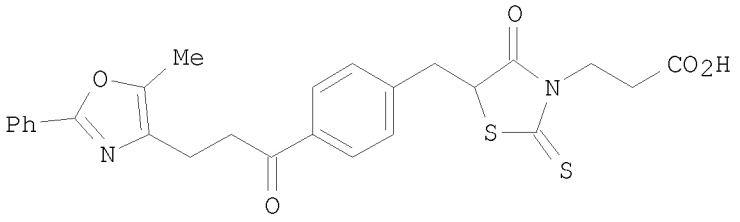
GI



I



II



III

AB Processes for the preparation of compds. of formulas I and II are described. These compds. can be used as PPAR modulators and for the treatment and/or management of cancer, inflammation, cellular differentiation and proliferation, wound healing, metabolism of lipids and carbohydrates, obesity, diabetes, and energy homeostasis. Compds. of formula I and II wherein X1 and X2 are independently O, S, or NH; Y is (un)substituted C1-10 alkyl; R1 is (un)substituted C5-11 oxocycloalkenyl, (R9CO)(R10CO)CH, or (un)substituted dioxodioxanyl; R9 and R10 are independently OH, alkoxyl, aryloxy, NH2, alkylamino, arylamino, N-aryl-N-alkylamino, -NHNH2, alkylhydrazino, arylhydrazino, N-aryl-N-alkylamino, NHOH and derivs., alkyl, or aryl; R2 and R3 are independently H, halo, or alkyl; R4 is substituted aryl and heteroaryl; and their pharmaceutically acceptable salts, and prodrugs thereof are claimed. Example compound III was prepared by addition of methyllithium to 4-(diethoxymethyl)benzaldehyde to give the corresponding alc., which was oxidized to give 4-(diethoxymethyl)acetophenone, which underwent acylation with di-Et carbonate; the resulting 2-[4-(diethoxymethyl)benzoyl]acetate underwent alkylation with 4-chloromethyl-5-methyl-2-phenyloxazole followed by decarboxylation to give 4-[3-(5-methyl-2-phenyl-4-oxazolyl)propionyl]benzaldehyde, which underwent condensation with rhodanine-N-propionic acid to give 4-[3-(5-methyl-2-phenyl-4-oxazolyl)propionyl]benzylidene-3-(β-carboxyethyl)rhodanine, which underwent hydrogenation to give example compound III. The invention compds. were evaluated for their PPAR-γ modulating activity. From the assay, it was determined example compound III exhibited an EC50 0.127 μM.

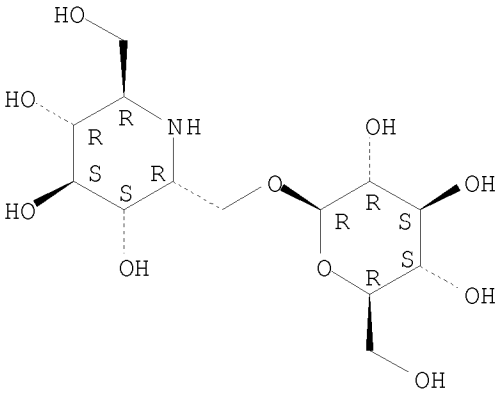
IT 104343-33-1, MDL-25637
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of rhodanine derivs. as PPAR receptors modulators useful in treatment and prophylaxis of diseases)

RN 104343-33-1 CAPLUS

CN β-D-Glucopyranoside, [(2R,3S,4S,5R,6R)-3,4,5-trihydroxy-6-

(hydroxymethyl)-2-piperidinyl]methyl (CA INDEX NAME)

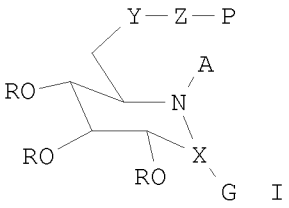
Absolute stereochemistry. Rotation (+).



L29 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:718513 CAPLUS
DOCUMENT NUMBER: 141:225770
TITLE: Preparation of of aza-sugar derivatives as anticancer agents
INVENTOR(S): Arora, Jasbir Singh; Gupta, Nidhi; Salman, Mohammad; Gupta, Jang Bahadur; Pandit, Upendra Kumar
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074251	A1	20040902	WO 2003-IB619	20030220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003206021	A1	20040909	AU 2003-206021	20030220
EP 1597231	A1	20051123	EP 2003-702904	20030220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060241114	A1	20061026	US 2005-546462	20050819
IN 2005DN04194	A	20071207	IN 2005-DN4194	20050916

PRIORITY APPLN. INFO.: WO 2003-IB619 A 20030220
OTHER SOURCE(S): CASREACT 141:225770; MARPAT 141:225770
GI



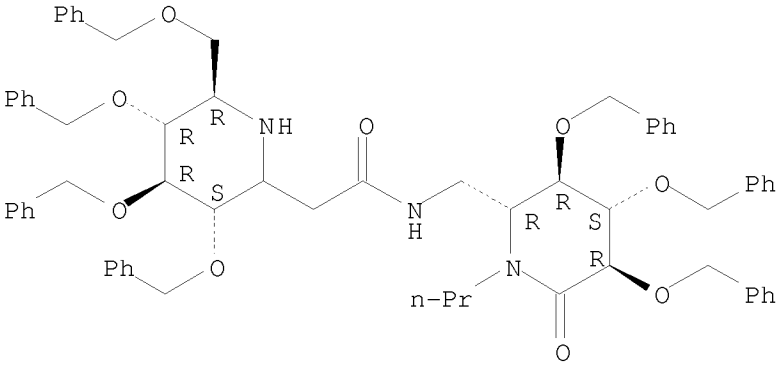
AB Certain derivs. of aza-sugars I, wherein A is H, alkyl, alkenyl, alkynyl; X-G is CO, CH2; R is H, alkyl, acyl, aryl, aralkyl, trimethylsilyl; Y is O, NH, heterocycle; P is alkyl, CF3, aryl, aralkyl, alkylamino, heterocycle, useful in the treatment of cancer, are presented. This invention also relates to pharmacol. compns. containing the compds. of present invention and treatment of cancer, including tumor or other neoplasm, with an aza-sugar. Thus, 2,3,4-tri-O-benzyl-6-O-(4,6-dichloro-1,3,5-triazin-1-yl)-N-propyl-D-gluco-6-lactam was prepared and tested in vitro as antitumor agent.

IT 748814-76-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of of azasugar derivs. as anticancer agents)

RN 748814-76-8 CAPLUS

CN 2-Piperidineacetamide, N-[[(2R,3R,4S,5R)-6-oxo-3,4,5-tris(phenylmethoxy)-1-propyl-2-piperidinyl]methyl]-3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-, (3S,4R,5R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:590960 CAPLUS

DOCUMENT NUMBER: 139:149804

TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors

INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.

PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University

SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

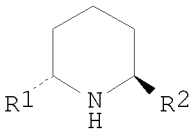
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

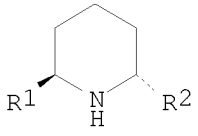
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20050038071	A1	20050217	US 2004-502080	20041008
PRIORITY APPLN. INFO.:			US 2002-351880P	P 20020125
			WO 2003-US2105	W 20030124

OTHER SOURCE(S): MARPAT 139:149804
GI



I



II

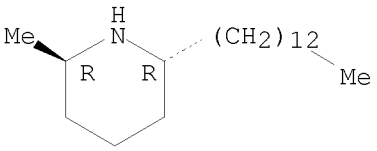
AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylatio with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 μg/mL).

IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P, (±)-Solenopsin A hydrochloride 175478-17-8P 409060-79-3P 409060-81-7P 409060-82-8P 409060-83-9P 409060-85-1P 409060-86-2P 409060-87-3P 409060-88-4P 409060-89-5P 409060-90-8P 409060-91-9P 409060-92-0P 409061-00-3P 409061-29-6P 409061-33-2P 409061-34-3P 571186-34-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

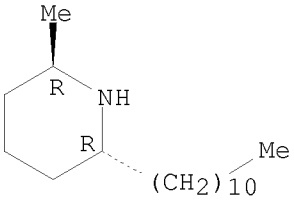
RN 32778-77-1 CAPLUS
CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 63950-17-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

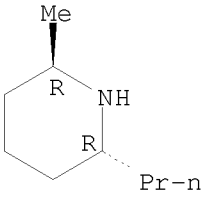
Relative stereochemistry.



● HCl

RN 175478-17-8 CAPLUS
CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

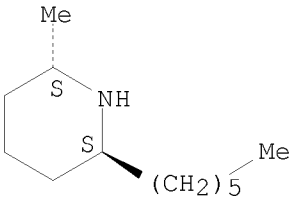
Relative stereochemistry.



● HCl

RN 409060-79-3 CAPLUS
CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

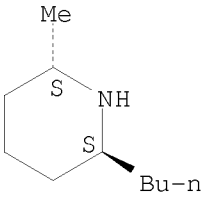
Relative stereochemistry.



● HCl

RN 409060-81-7 CAPLUS
CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

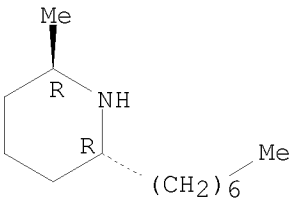
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS
CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

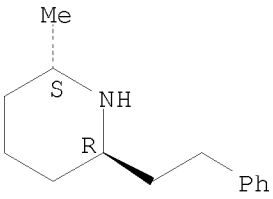
Relative stereochemistry.



● HCl

RN 409060-83-9 CAPLUS
CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

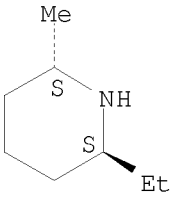
Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS
CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

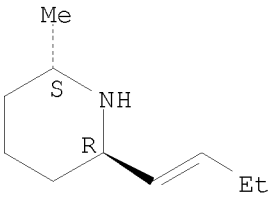
Relative stereochemistry.



● HCl

RN 409060-86-2 CAPLUS
CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

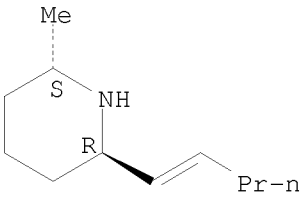
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-87-3 CAPLUS
CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

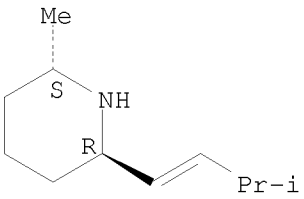
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS
CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

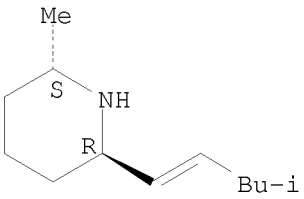
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS
CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

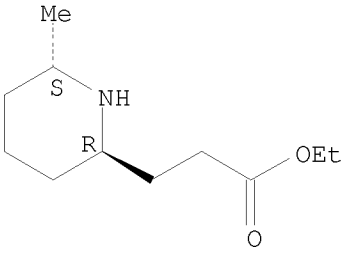
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS
CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

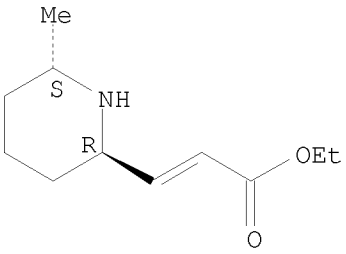
Relative stereochemistry.



● HCl

RN 409060-91-9 CAPLUS
CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

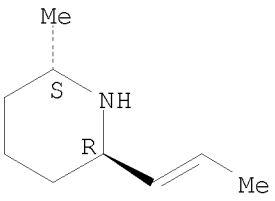
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-92-0 CAPLUS
CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

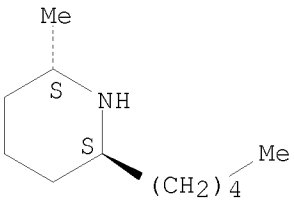
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409061-00-3 CAPLUS
CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

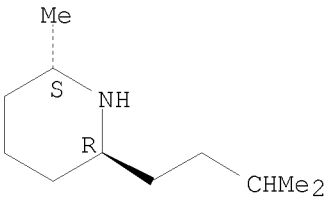
Relative stereochemistry.



● HCl

RN 409061-29-6 CAPLUS
CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-
(CA INDEX NAME)

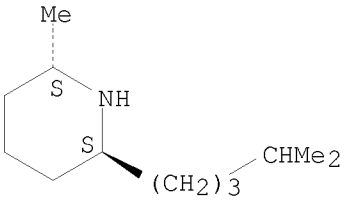
Relative stereochemistry.



● HCl

RN 409061-33-2 CAPLUS
CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),
(2R,6R)-rel- (CA INDEX NAME)

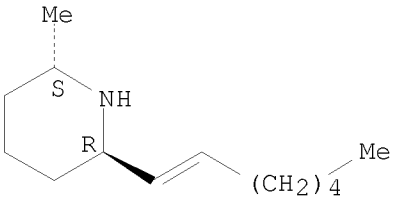
Relative stereochemistry.



● HCl

RN 409061-34-3 CAPLUS
CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-
(CA INDEX NAME)

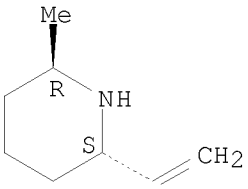
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

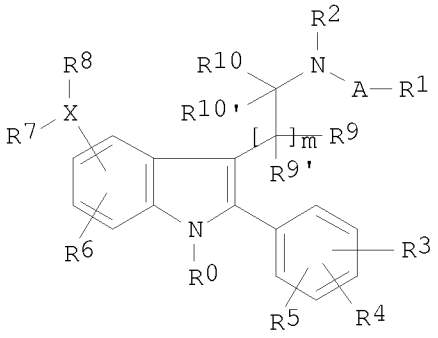


● HCl

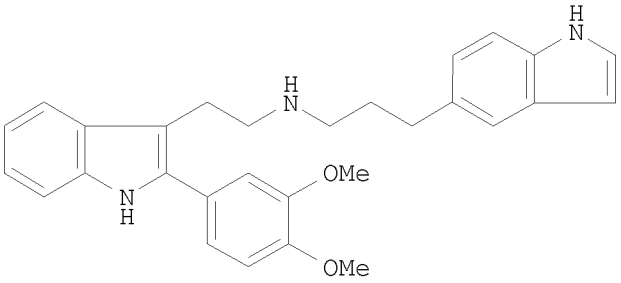
L29 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:816108 CAPLUS
DOCUMENT NUMBER: 130:66389
TITLE: Preparation of indole derivatives as gonadotropin releasing hormone antagonists
INVENTOR(S): Goulet, Mark; Chu, Lin; Walsh, Thomas F.; Fisher, Michael H.; Girotra, Narindar N.; Wyvratt, Matthew J.; Lin, Peter; Ashton, Wallace T.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 59 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849764	A	19981215	US 1996-760817	19961205
PRIORITY APPLN. INFO.:			US 1996-760817	19961205
OTHER SOURCE(S):	MARPAT 130:66389			

GI



I



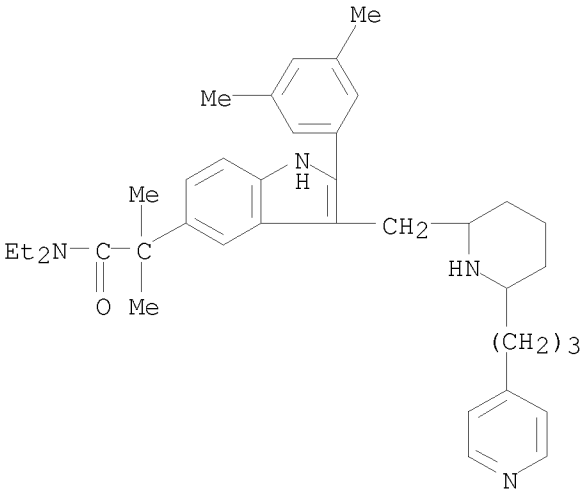
II

AB The title compds. I [A = (halo)alkyl, (un)substituted cycloalkyl, alkenyl, or alkynyl, alkoxy, alkylthio, alkoxyalkyl, bond, etc.; R0 = H, (un)substituted alkyl, aryl, or aralkyl; R1 = various (un)substituted heterocycles; R2 = H, (un)substituted alkyl, aralkyl, aryl, etc.; R2 and A may form 5- to 7-atom ring; R3, R4, R5 = H, (un)substituted alkyl or alkenyl, cyano, nitro, halo; R6 = H, (un)substituted alkyl, aryl, cyano, NO2, halo, etc.; R7 = H, (un)substituted alkyl, or is absent; R8 = H, CO2H or derivs., NH2 or derivs., OH or SH or derivs., etc.; or R7 and R8 form a C3-7 carbocyclic ring; R9, R9', R10, R10' = H, (un)substituted alkyl, aryl, or aralkyl; X = H, halo, N, O, S(O)0-2, CO, CH2, etc.; m = 0-3] (claimed) and similar compds. were prepared as antagonists of gonadotropin releasing hormone (no data). The compds. are thus useful for treatment of a variety of conditions including hormone-dependent cancers, benign prostatic hypertrophy, endometriosis, irritable bowel syndrome, etc. For instance, amidation of 3-(1H-indol-5-yl)propionic acid with 2-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethylamine using EDC and HOBT, and reduction of the amide product to a secondary amine using LiAlH4 in THF at 77°, gave the invention compound II.

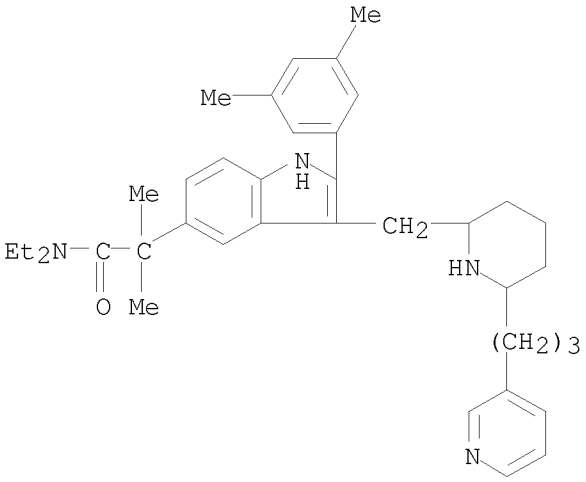
IT 192717-09-2P 192717-10-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as gonadotropin releasing hormone antagonists)

RN 192717-09-2 CAPLUS

CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl-α,α-dimethyl-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



RN 192717-10-5 CAPLUS
CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl-α,α-dimethyl-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



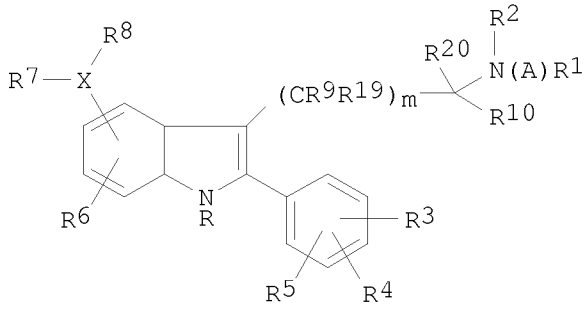
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:511777 CAPLUS
DOCUMENT NUMBER: 127:121742
ORIGINAL REFERENCE NO.: 127:23485a,23488a
TITLE: Preparation of heterocyclic compounds as antagonists of gonadotropin releasing hormone
INVENTOR(S): Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2

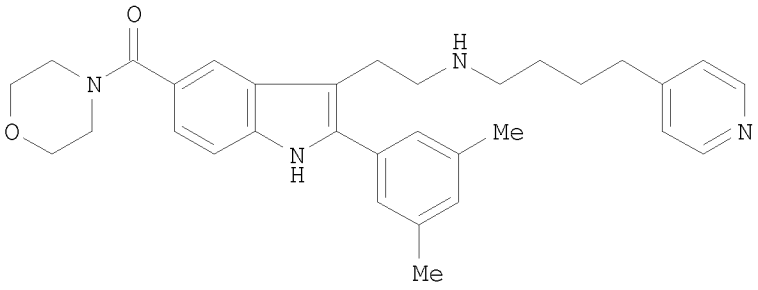
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721704	A1	19970619	WO 1996-US19444	19961210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240108	A1	19970619	CA 1996-2240108	19961210
AU 9714106	A	19970703	AU 1997-14106	19961210
AU 707641	B2	19990715		
EP 873336	A1	19981028	EP 1996-944249	19961210
EP 873336	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1208412	A	19990217	CN 1996-199872	19961210
JP 11506471	T	19990608	JP 1997-522124	19961210
JP 3230818	B2	20011119		
JP 2001106685	A	20010417	JP 2000-257791	19961210
HU 9903671	A2	20011028	HU 1999-3671	19961210
HU 9903671	A3	20011128		
AT 215081	T	20020415	AT 1996-944249	19961210
ES 2174129	T3	20021101	ES 1996-944249	19961210
ZA 9610536	A	19970814	ZA 1996-10536	19961213
NO 9802729	A	19980813	NO 1998-2729	19980612
PRIORITY APPLN. INFO.:			US 1995-8633P P	19951214
			GB 1996-3242 A	19960216
			JP 1997-522124 A3	19961210
			WO 1996-US19444 W	19961210

OTHER SOURCE(S): MARPAT 127:121742
GI



I



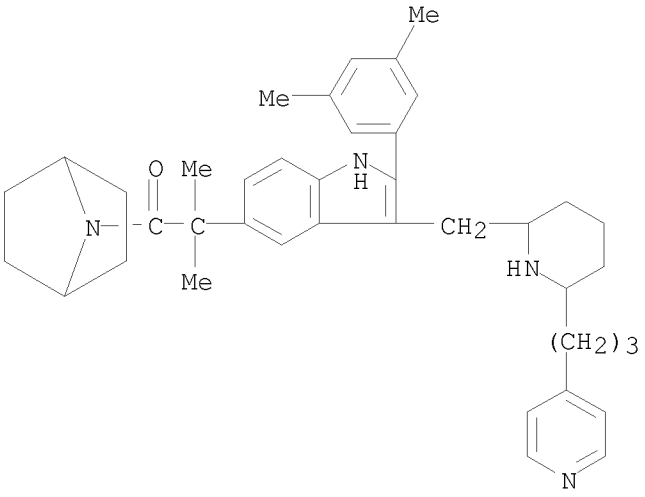
II

AB The title compds. I [A = alkyl, etc.; R = H, alkyl, etc.; R1 = heterocyclic ring (generic structures given); R2 = H, alkyl, etc.; or R2A = ring; R3, R4, R5 = H, (un)substituted alkyl, alkenyl, etc.; or R3R4 = ring; R6 = H, (un)substituted alkyl, etc.; R7 = H, (un)substituted alkyl; unless X is hydrogen or halo, then R7 is absent; R8 = heterocyclic ring, etc.; or R7R8 = heterocyclic ring; R9, R19 = H, (un)substituted alkyl; further details on R9R19 and R9A are given; R20, R10 = H, (un)substituted alkyl, etc.; further details on R20R10, and R9R20, R9R2, R20R2, R20A are given; m = 0 to 3; X = N, etc.], useful as antagonists of gonadotropin releasing hormone (no data), are prepared I may be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women. The title compound II was prepared in a multistep process.

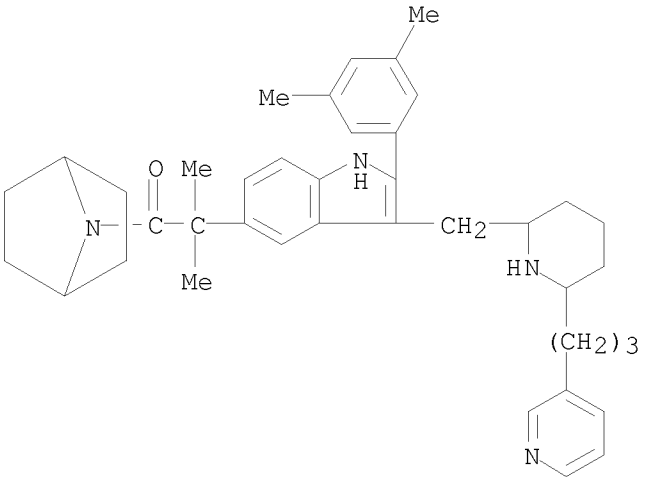
IT 192644-63-6P 192644-64-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as antagonists of gonadotropin releasing hormone)

RN 192644-63-6 CAPLUS

CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



RN 192644-64-7 CAPLUS
CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



L29 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:313379 CAPLUS
DOCUMENT NUMBER: 125:75406
ORIGINAL REFERENCE NO.: 125:14067a,14070a
TITLE: Assessment of a cytoprotection assay for the discovery and evaluation of anti-human immunodeficiency virus compounds utilizing a genetically-impaired virus
AUTHOR(S): Kiser, Rebecca; Makovsky, Susan; Terpening, Sara J.; Laing, Noel; Clanton, David J.
CORPORATE SOURCE: NCI-AIDS Drug Screening and Development Laboratory, SAIC-Frederick, NCI-FCRDC, Frederick, MD, 21702-1201, USA
SOURCE: Journal of Virological Methods (1996), 58(1,2), 99-109
CODEN: JVMEDH; ISSN: 0166-0934
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal

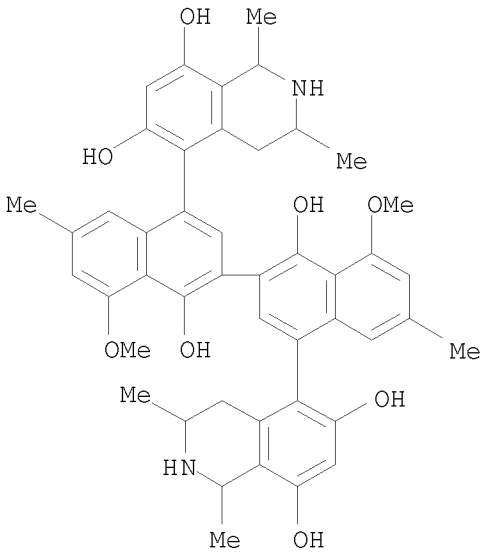
LANGUAGE: English

AB A biol. contained cytoprotection assay was developed to screen inhibitors of the human immunodeficiency virus without the need for high level containment or practices. The virus used has multiple point mutations that have destroyed its ability to produce both Rev and Tat, proteins essential for virus replication in vitro. The original cell line employed (CEM-SSTART) contains a genetic construct that allows for the continuous expression of both Rev and Tat, and a subclone (1A2) was developed that provides for maximum acute cytopathic effect. The National Cancer Institute's AIDS drug screening assay was used to test known drugs with both HIVIIIB virus in the T4 lymphocytic cell line CEM-SS and mutant virus in the 1A2 subclone. This cell-based assay uses the tetrazolium salt, XTT, as an indicator of cellular metabolism after the cells have been infected with virus. The results of extensive testing have shown that the assay using mutant virus is comparable to the current NCI AIDS drug screen. After 42 days in 1A2 or CEM-SS cell culture, the virus or the integrated genome did not revert to wild-type, and the virus produced in 1A2 cells was unable to replicate in PBMCs. Mutant viral stocks were devoid of wild-type virus as determined by a PCR assay that would have found 60-600 copies of mutant RNA. These materials, which are now available to the scientific community (NIH AIDS Research and Reference Reagent Program), should be useful tools to screen and test compds. for potential inhibition of HIV in labs. not equipped to maintain and use wild-type infectious virus.

IT 137893-48-2, Michellamine B
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(assessment of cytoprotection assay for discovery and evaluation of anti-human immunodeficiency virus compds. utilizing a genetically-impaired virus)

RN 137893-48-2 CAPLUS

CN 6,8-Isoquinolinediol, 5,5'-(1,1'-dihydroxy-8,8'-dimethoxy-6,6'-dimethyl[2,2'-binaphthalene]-4,4'-diyl)bis[1,2,3,4-tetrahydro-1,3-dimethyl-, (1R,1'R,3R,3'R,5R,5'S)- (CA INDEX NAME)



L29 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:93870 CAPLUS
DOCUMENT NUMBER: 118:93870
ORIGINAL REFERENCE NO.: 118:16213a,16216a

TITLE: In vitro screening of crude extracts and pure metabolites obtained from marine invertebrates for the treatment of breast cancer

AUTHOR(S): Stingl, John; Andersen, Raymond J.; Emerman, Joanne T.
CORPORATE SOURCE: Dep. Anat., Univ. British Columbia, Vancouver, BC, V6T 1Z3, Can.

SOURCE: Cancer Chemotherapy and Pharmacology (1992), 30(5), 401-6
CODEN: CCPHDZ; ISSN: 0344-5704
DOCUMENT TYPE: Journal
LANGUAGE: English

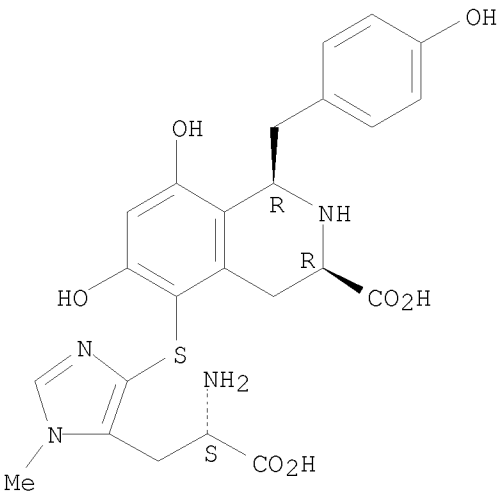
AB A total of 15 samples (crude exts. and pure secondary metabolites) obtained from marine invertebrates collected from the offshore waters of British Columbia, Papua New Guinea, and Sri Lanka have previously been shown to exert cytotoxic activity in the in vitro L1210 leukemic bioassay. The authors screened these metabolites for the vitro cytotoxic activity against the drug-sensitive breast-tumor cell lines MCF-7, T-47D, ZR-75-1, and MDA-MB-231; the multidrug-resistant and P-glycoprotein (Pgp)-pos. breast-tumor cell lines MCF-7 Adr and MDA-Alr; and normal and malignant human breast epithelial cells (HBEC) in primary culture. Eight samples exhibited significant [drug concentration resulting in a 50% decrease in cell growth as compared with controls (ED50), <25 μg/mL] dose-dependent cytotoxicity against the drug-sensitive cell lines; the ED50 values were as low as 0.004 μg/mL. Five of the eight samples exhibited significant cytotoxicity against the multidrug-resistant cell lines; the ED50 values were as low as 0.0006 μg/mL. Incubation of MCF-7 Adr cells with varying concns. of compds. in the presence of Adriamycin demonstrated that none of the compds. tested interfered with Pgp function. Results obtained using HBEC in primary culture showed a wide range of chemosensitivities for a given drug against tissue taken from different patients, demonstrating the uniqueness of the response of different individuals to chemotherapy.

IT 105372-70-1, Imbricatine
RL: BIOL (Biological study)
(breast cancer of humans inhibition by, multidrug resistance in relation to)

RN 105372-70-1 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 5-[[5-[(2S)-2-amino-2-carboxyethyl]-1-methyl-1H-imidazol-4-yl]thio]-1,2,3,4-tetrahydro-6,8-dihydroxy-1-[(4-hydroxyphenyl)methyl]-, (1R,3R)- (CA INDEX NAME)

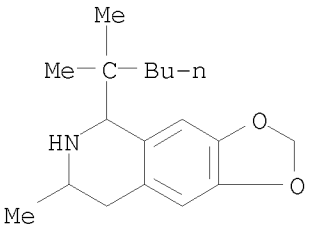
Absolute stereochemistry.



L29 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1969:27530 CAPLUS
DOCUMENT NUMBER: 70:27530
ORIGINAL REFERENCE NO.: 70:5139a,5142a
TITLE: Antitumor activity of isoquinoline derivatives. III. Relation between toxicity and chemical constitution of isoquinoline derivatives
AUTHOR(S): Arai, Yoshihisa; Enomoto, Kingo
CORPORATE SOURCE: Tanabe Seiyaku Co., Ltd., Toda, Japan
SOURCE: Yakugaku Zasshi (1968), 88(9), 1197-207
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB Isoquinoline derivs. were classified into 5 types according to the substituents at position 1, and the relations between chemical structure and toxicity were studied. The LD50 decreased with increasing hydrogenation of the isoquinoline ring. In 1-alkyl-substituted isoquinoline derivs., the LD50 increased as the degree of branching of the 1-alkyl residue increased. Pathol. changes were caused by almost all 1-alkyl-substituted compds., especially those with a tert-alkyl residue. In the case of 1-aryl-and 1-aralkyl-substituted compds., liver swelling depended on the simultaneous presence of the methylenedioxy residues at the 3',4'-positions of the terminal phenyl residue of the substituent and at the 6,7-positions of the isoquinoline ring. However, no pathol. change was observed with 1-(2-methylbutyl)-3-methyl-6,7-(methylenedioxy)isoquinoline-HCl or 1-neopentyl-3-methyl-6,7-(methylenedioxy)-isoquinoline-HCl. These compds. showed a marked inhibitory action on exptl. tumors. Compds. possessing a methylene residue between C-1 of the isoquinoline ring and a sec- or tertalkyl residue in the 1-alkyl substituent may have antitumor activity with few side effects.

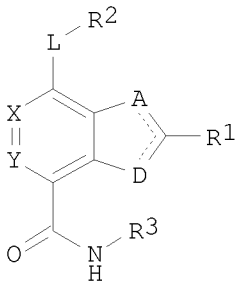
IT 20233-00-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(neoplasm inhibiting activity of)
RN 20233-00-5 CAPLUS
CN 1,3-Dioxolo[4,5-g]isoquinoline, 5-(1,1-dimethylpentyl)-5,6,7,8-tetrahydro-7-methyl-, hydrochloride (8CI) (CA INDEX NAME)



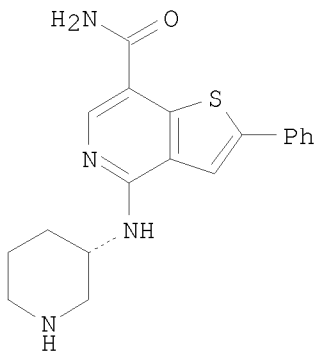
● HCl

=> s skin
284922 SKIN
11197 SKINS
L31 291190 SKIN
(SKIN OR SKINS)

```
=> s L31 AND L29
L32          2 L31 AND L29

=> s L31 AND L30
L33          2 L31 AND L30

=> s L32 OR L33
L34          2 L32 OR L33

=> d L34 1-2 ibib abs hitstr

L34  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2006:1066867  CAPLUS
DOCUMENT NUMBER:          145:419118
TITLE:                    Substituted thienopyridines and related compounds and
                          their preparation, pharmaceutical compositions, and
                          use as CHK1, PDK1 and PAK inhibitors in the treatment
                          of cancer
INVENTOR(S):              Daly, Kevin; Heron, Nicola; Hird, Alexander;
                          Ioannidis, Stephanos; Janetka, James Walter; Lyne,
                          Paul; Scott, Jamie; Toader, Dorin; Vasbinder, Melissa;
                          Yu, Dingwei; Yu, Yan
PATENT ASSIGNEE(S):       Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:                   PCT Int. Appl., 164pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     WO 2006106326         A1    20061012    WO 2006-GB1242           20060405
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     AU 2006232620         A1    20061012    AU 2006-232620           20060405
     CA 2601983            A1    20061012    CA 2006-2601983          20060405
     EP 1869052            A1    20071226    EP 2006-726646           20060405
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
     NO 2007004634         A     20071031    NO 2007-4634             20070912
     MX 200712448          A     20071019    MX 2007-12448            20071005
     IN 2007DN07845        A     20071109    IN 2007-DN7845           20071011
     KR 2008009200         A     20080125    KR 2007-725794           20071106
     CN 101189243          A     20080528    CN 2006-80019862         20071204
PRIORITY APPLN. INFO.:                       US 2005-668779P     P    20050406
                                             US 2005-738866P     P    20051121
                                             WO 2006-GB1242      W    20060405
OTHER SOURCE(S):          MARPAT 145:419118
GI
```



I



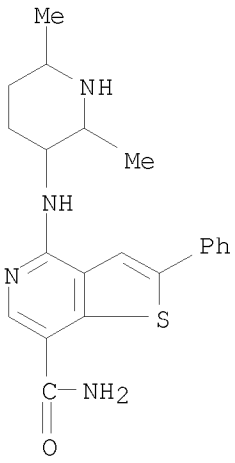
II

AB This invention relates to compds. of formula I and to their pharmaceutical compns. and to their methods of use. These compds. possess CHK1 kinase inhibitory activity, PDK1 inhibitory activity and Pak kinase inhibitory activity and are accordingly useful in the treatment and/or prophylaxis of cancer. Compds. of formula I wherein dotted lines are single and double bond; A and D are independently N, CH, S, O and NH and derivs.; L is NH, O and S; X and Y are independently N and CH; R1 is CN, halo, C1-6 alkyl(oxy), NH2 and derivs., C2-6 alkenyl, C2-6 alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, etc.; R2 is C1-3 alkyl-NH2 and derivs., 4- to 7-membered heterocyclyl, CO-carbocyclyl, CO-heterocyclyl, etc.; R3 is H, Bn, C1-6 alkyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, OH and derivs., CHO, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by condensation of 2-thienylacetonitrile with glyoxylic acid monohydrate; the resulting (2Z)-3-cyano-3-(2-thienyl)acrylic acid underwent chlorination to give the corresponding acid chloride, which underwent substitution with sodium azide to give the acryloyl azide derivative, which underwent cyclization to give 4-oxo-4,5-dihydrothieno[3,2-c]pyridine-7-carbonitrile, which underwent bromination to give 2-bromo-4-oxo-4,5-dihydrothieno[3,2-c]pyridine-7-carbonitrile, which underwent chlorination to give 2-bromo-4-chlorothieno[3,2-c]pyridine-7-carbonitrile, which underwent amination with tert-Bu (3S)-3-aminopiperidine-1-carboxylate to give tert-Bu (3S)-3-[(7-cyano-2-bromothieno[3,2-c]pyridin-4-yl)amino]piperidine-1-carboxylate, which underwent cross-coupling with phenylboronic acid to give (3S)-3-[(7-cyano-2-phenylthieno[3,2-c]pyridin-4-yl)amino]piperidine-1-carboxylate, which underwent hydrolysis to give compound II. All the invention compound were evaluated for their CHK1, PDK1 and PAK inhibitory activity (no data).

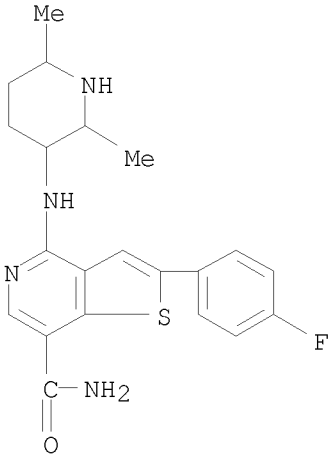
IT 912367-52-3P 912367-53-4P 912367-54-5P
912367-55-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted thienopyridines and related compds. and their use as CHK1, PDK1 and PAK inhibitors in the treatment of cancer)

RN 912367-52-3 CAPLUS

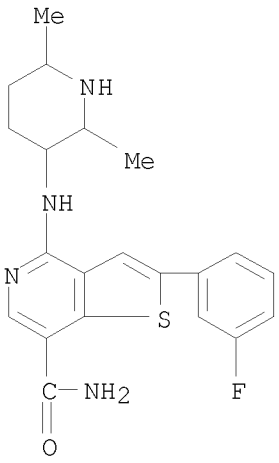
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-phenyl- (CA INDEX NAME)



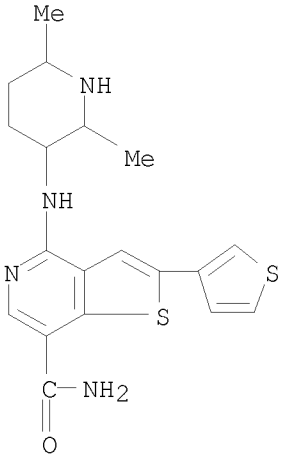
RN 912367-53-4 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-(4-fluorophenyl)- (CA INDEX NAME)



RN 912367-54-5 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-(3-fluorophenyl)- (CA INDEX NAME)



RN 912367-55-6 CAPLUS
CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-(3-thienyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:590960 CAPLUS
DOCUMENT NUMBER: 139:149804
TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors
INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.
PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

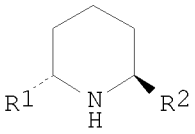
US 20050038071	A1	20050217	US 2004-502080	20041008

PRIORITY APPLN. INFO.:

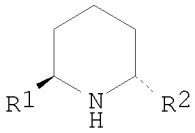
US 2002-351880P	P	20020125
WO 2003-US2105	W	20030124

OTHER SOURCE(S): MARPAT 139:149804

GI



I



II

AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylatio with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 μg/mL).

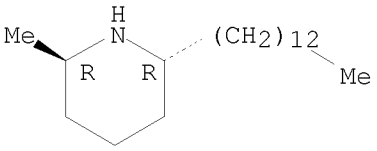
IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P, (±)-Solenopsin A hydrochloride 175478-17-8P 409060-79-3P 409060-81-7P 409060-82-8P 409060-83-9P 409060-85-1P 409060-86-2P 409060-87-3P 409060-88-4P 409060-89-5P 409060-90-8P 409060-91-9P 409060-92-0P 409061-00-3P 409061-29-6P 409061-33-2P 409061-34-3P 571186-34-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of solenopsin A, B and analogs as novel angiogenesis

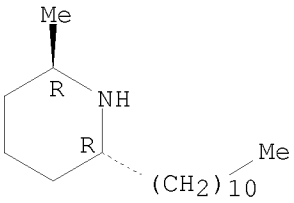
inhibitors)
RN 32778-77-1 CAPLUS
CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 63950-17-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

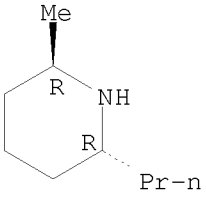
Relative stereochemistry.



● HCl

RN 175478-17-8 CAPLUS
CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

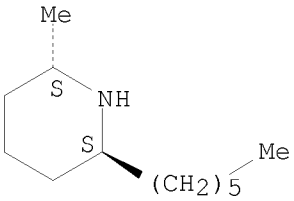
Relative stereochemistry.



● HCl

RN 409060-79-3 CAPLUS
CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

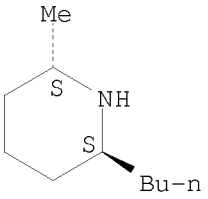
Relative stereochemistry.



● HCl

RN 409060-81-7 CAPLUS
CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

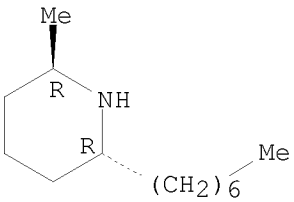
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS
CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

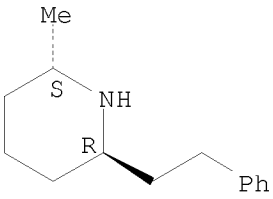
Relative stereochemistry.



● HCl

RN 409060-83-9 CAPLUS
CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

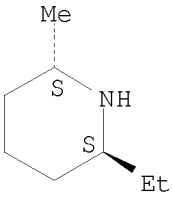
Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS
CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

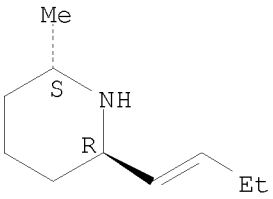
Relative stereochemistry.



● HCl

RN 409060-86-2 CAPLUS
CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

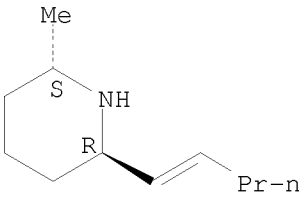
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-87-3 CAPLUS
CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

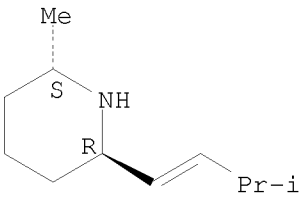
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS
CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

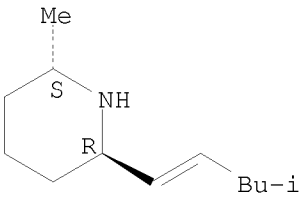
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS
CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

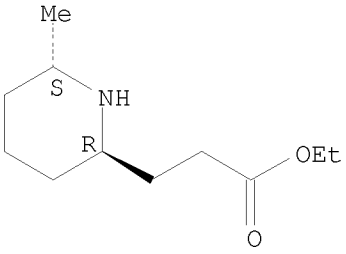
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS
CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

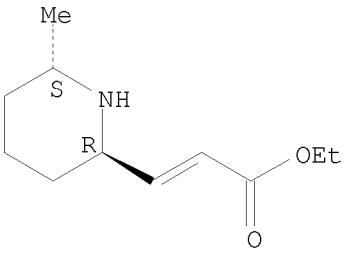
Relative stereochemistry.



● HCl

RN 409060-91-9 CAPLUS
CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

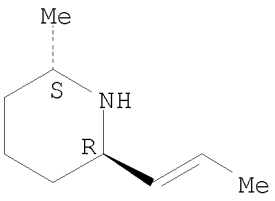
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-92-0 CAPLUS
CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

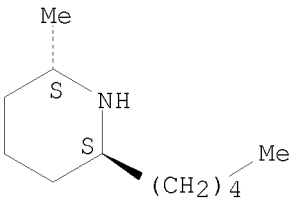
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409061-00-3 CAPLUS
CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

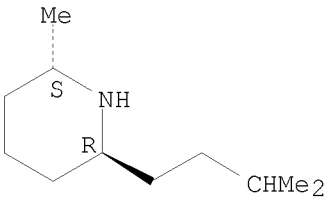
Relative stereochemistry.



● HCl

RN 409061-29-6 CAPLUS
CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-
(CA INDEX NAME)

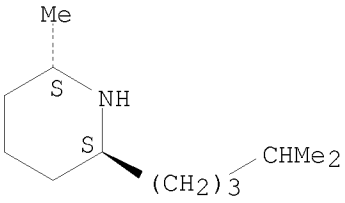
Relative stereochemistry.



● HCl

RN 409061-33-2 CAPLUS
CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),
(2R,6R)-rel- (CA INDEX NAME)

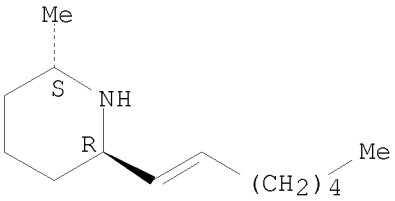
Relative stereochemistry.



● HCl

RN 409061-34-3 CAPLUS
CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-
(CA INDEX NAME)

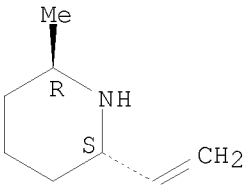
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	224.54	1125.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-26.40	-47.20

FILE 'CAPLUS' ENTERED AT 14:26:01 ON 18 AUG 2008

FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8
FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

```
=> s piperidine
         63064 PIPERIDINE
          3706 PIPERIDINES
L35      64021 PIPERIDINE
                (PIPERIDINE OR PIPERIDINES)

=> d rsd
'RSD' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
```

              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST;
TI,IND; TI,SO. You may specify the format fields in any order and the
information will be displayed in the same order as the format
specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,
FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):bib

L35 ANSWER 1 OF 64021 CAPLUS COPYRIGHT 2008 ACS on STN
AN   2008:978596 CAPLUS
TI   Oxidation of 2-substituted pyrrolidines and piperidines as
     nicotine analogues
AU   Moerhle, Hans; Berlitz, Johannes
CS   Institut fuer Pharmazeutische und Medizinische Chemie,
     Heinrich-Heine-Universitaet, Duesseldorf, 40225, Germany
SO   Zeitschrift fuer Naturforschung, B: Chemical Sciences (2008), 63(8),
     985-992
     CODEN: ZNBSEN; ISSN: 0932-0776
PB   Verlag der Zeitschrift fuer Naturforschung
DT   Journal
LA   German

=> file reg
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
FULL ESTIMATED COST   3.81   1129.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
CA SUBSCRIBER PRICE   0.00   -47.20

FILE 'REGISTRY' ENTERED AT 14:26:38 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2
DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of

experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s piperidine
L36 556824 PIPERIDINE

=> d rsd

L36 ANSWER 1 OF 556824 REGISTRY COPYRIGHT 2008 ACS on STN

Ring System Data

Elemental Analysis EA	Elemental Sequence ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
C6	C6	6	C6	46.150.18	2
C5N	NC5	6	C5N	46.156.1	1

=> s 46.150.18/rid
SYSTEM LIMITS EXCEEDED - SEARCH ENDED
The search profile you entered was too complex or gave too many answers. Simplify or subdivide the query and try again. If you have exceeded the answer limit, enter DELETE HISTORY at an arrow prompt (=>) to remove all previous answers sets and begin at L1. Use the SAVE command to store any important profiles or answer sets before using DELETE HISTORY.

=> s 46.156.1/rid
L37 1442478 46.156.1/RID

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.12	1141.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-47.20

FILE 'CAPLUS' ENTERED AT 14:28:30 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8

FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l37
TOO MANY TERMS FOR FILE CROSSOVER IN L37
There are limits on the size of an answer set being crossed over from
one file to another. Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> s L37 AND cancer
TOO MANY TERMS FOR FILE CROSSOVER IN L37
There are limits on the size of an answer set being crossed over from
one file to another. Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> file reg
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                     ENTRY   SESSION
FULL ESTIMATED COST   1.92   1143.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)   SINCE FILE   TOTAL
                                                     ENTRY   SESSION
CA SUBSCRIBER PRICE   0.00   -47.20

FILE 'REGISTRY' ENTERED AT 14:31:07 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2
DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10502080 Broad3.str

L38   STRUCTURE UPLOADED

=> s sub=L38 SAM L37

```
SUBSET AND SAMPLE ARE IGNORED FOR THIS SEARCH
L39    1442478 46.156.1/RID

=> s l38
SAMPLE SEARCH INITIATED 14:32:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    18600 TO ITERATE

 10.8% PROCESSED     2000 ITERATIONS                                 7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       363833 TO   380167
PROJECTED ANSWERS:             818 TO     1786

L40           7 SEA SSS SAM L38

=> s l38 sss sam
SAMPLE SEARCH INITIATED 14:32:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    18600 TO ITERATE

 10.8% PROCESSED     2000 ITERATIONS                                 7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       363833 TO   380167
PROJECTED ANSWERS:             818 TO     1786

L41           7 SEA SSS SAM L38

=> s l38 sss full
FULL SEARCH INITIATED 14:33:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   372862 TO ITERATE

100.0% PROCESSED   372862 ITERATIONS                           1075 ANSWERS
SEARCH TIME: 00.00.01

L42        1075 SEA SSS FUL L38

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                             184.43    1327.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00     -47.20

FILE 'CAPLUS' ENTERED AT 14:33:12 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8
FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

```
=> s l42
L43       1413 L42

=> s l43 and (cancer OR "Cancer (genus)")
         370638 CANCER
          54465 CANCERS
         384282 CANCER
                (CANCER OR CANCERS)
         370638 "CANCER"
          54465 "CANCERS"
         384282 "CANCER"
                ("CANCER" OR "CANCERS")
          53989 "GENUS"
            103 "GENUSES"
          18740 "GENERA"
              8 "GENERAS"
          68072 "GENUS"
                ("GENUS" OR "GENUSES" OR "GENERA" OR "GENERAS")
             48 "CANCER (GENUS)"
                ("CANCER"(W)"GENUS")
L44          22 L43 AND (CANCER OR "CANCER (GENUS)")

=> d L44 10-15 ibib abs hitstr

L44  ANSWER 10 OF 22  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2006:53048  CAPLUS
DOCUMENT NUMBER:          144:128869
TITLE:                    Preparation of N-(2-oxoazepan-3-yl)sulfonamides as
                          γ-secretase inhibitors for treating Alzheimer's
                          disease and cancers
INVENTOR(S):              Galley, Guido; Kitas, Eric, Argirios; Jakob-Roetne,
                          Roland
PATENT ASSIGNEE(S):       F. Hoffmann-La Roche AG, Switz.
SOURCE:                   PCT Int. Appl., 107 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
     ---------------      ----   --------    --------------------   --------
     WO 2006005486         A1    20060119    WO 2005-EP7268         20050706
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
```

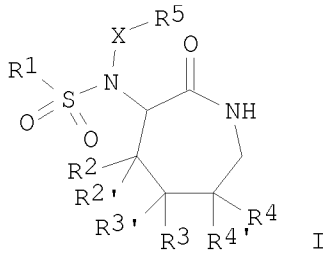
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

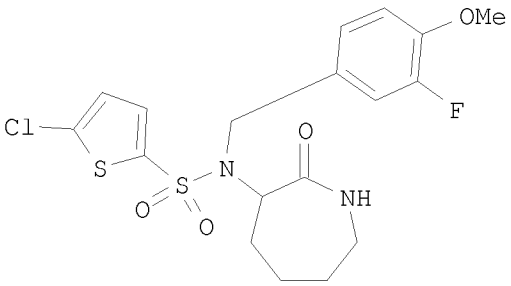
AU 2005261932	A1	20060119	AU 2005-261932		20050706
CA 2573372	A1	20060119	CA 2005-2573372		20050706
EP 1768960	A1	20070404	EP 2005-754795		20050706
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 101035765	A	20070912	CN 2005-80023701		20050706
JP 2008505948	T	20080228	JP 2007-520712		20050706
BR 2005013379	A	20080506	BR 2005-13379		20050706
US 20060014945	A1	20060119	US 2005-179703		20050712
US 7253158	B2	20070807			
IN 2007CN00123	A	20070824	IN 2007-CN123		20070111
MX 200700468	A	20070308	MX 2007-468		20070112
PRIORITY APPLN. INFO.:			EP 2004-103339	A	20040713
			WO 2005-EP7268	W	20050706

OTHER SOURCE(S): MARPAT 144:128869

GI



I



II

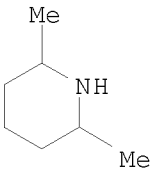
AB Title compds. I [R1 = (un)substituted hetero/aryl; R2-R4, R2'-R4' = H, lower alkyl, Ph or lower alkyl substituted by halogen; R5 = cycloalkyl, (un)substituted hetero/aryl; X = CHR; R = H, lower alkyl; and their pharmaceutically suitable acid addition salts, optical pure enantiomers, racemates or diastereomeric] were prepared as γ-secretase inhibitors. Thus, reductive amination of 3-fluoro-p-anisaldehyde with 3-aminoazepan-2-one and reaction with 5-chlorothiophene-2-sulfonyl chloride gave sulfonamide II. Preferred I inhibited γ-secretase with IC50 < 0.3 μM. I are useful in the treatment of Alzheimer's disease or common cancers.

IT 504-03-0, 2,6-Dimethylpiperidine

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(2-oxoazepan-3-yl)sulfonamides as γ-secretase inhibitors for treating Alzheimer's disease and cancers)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:71602 CAPLUS

DOCUMENT NUMBER: 142:316675

TITLE: Optimization of 6,7-Disubstituted-4-(arylamino)quinoline-3-carbonitriles as Orally Active, Irreversible Inhibitors of Human Epidermal Growth Factor Receptor-2 Kinase Activity

AUTHOR(S): Tsou, Hwei-Ru; Overbeek-Klumpers, Elsebe G.; Hallett, William A.; Reich, Marvin F.; Floyd, M. Brawner; Johnson, Bernard D.; Michalak, Ronald S.; Nilakantan, Ramaswamy; Discafani, Carolyn; Golas, Jonathan; Rabindran, Sridhar K.; Shen, Ru; Shi, Xiaoqing; Wang, Yu-Fen; Upeslacis, Janis; Wissner, Allan

CORPORATE SOURCE: Chemical and Screening Sciences, Chemical Development, and Oncology, Wyeth Research, Pearl River, NY, 10965, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(4), 1107-1131
CODEN: JMCMAR; ISSN: 0022-2623

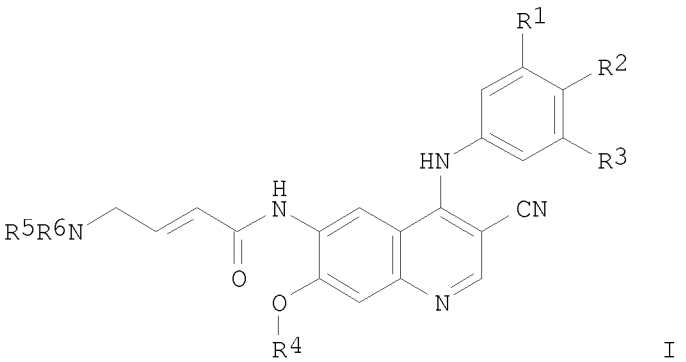
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:316675

GI



I

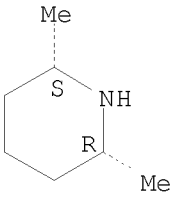
AB A series of new 6,7-disubstituted-4-(arylamino)quinoline-3-carbonitriles, e.g. I (R1 = H, Cl; R2 = PhCH2O, 1-imidazolyl, 2-furylmethoxy, etc.; R3 =

Cl, CN, PhCH2O; R4 = Me, Et; R5 = Me, R6 = Me, HOCH2CH2; R5R6N = azetidinyl, piperidinyl, thiomorpholinyl, etc.) that function as irreversible inhibitors of human epidermal growth factor receptor-2 (HER-2) and epidermal growth factor receptor (EGFR) kinases have been prepared These compds. demonstrated enhanced activities for inhibiting HER-2 kinase and the growth of HER-2 pos. cells compared to the EGFR kinase inhibitor I [R1 = H; R2 = F; R3 = Cl; R4 = Et; R5 = R6 = Me; (EKB-569)]. Three synthetic routes were used to prepare these compds. They were prepared mostly by acylation of 6-amino-4-(arylamino)quinoline-3-carbonitriles with unsatd. acid chlorides or by amination of 4-chloro-6-(crotonamido)quinoline-3-carbonitriles with monocyclic or bicyclic anilines. The third route was developed to prepare a key intermediate, 6-acetamido-4-chloroquinoline-3-carbonitrile, that involved a safer cyclization step. It was shown that attaching a large lipophilic group at the para position of the 4-(arylamino) ring results in improved potency for inhibiting HER-2 kinase. The importance of a basic dialkylamino group at the end of the Michael acceptor for activity, due to intramol. catalysis of the Michael addition has also been demonstrated. This, along with improved water solubility, resulted in compds. with enhanced biol. properties. The mol. modeling results consistent with the proposed mechanism of inhibition are presented. Binding studies of one compound, I [R1 = H; R2 = 2-pyridylmethoxy; R3 = Cl; R4 = Et; R5 = R6 = Me; (HKI-272)] (C-14 radiolabeled), showed that it binds irreversibly to HER-2 protein in BT474 cells. Furthermore, it demonstrated excellent oral activity, especially in HER-2 overexpressing xenografts. Compound HKI-272 was selected for further studies and is currently in phase I clin. trials for the treatment of cancer.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation; preparation of disubstituted (arylamino)quinolinecarbonitriles as orally active, irreversible inhibitors of human epidermal growth factor receptor-2 kinase activity and antitumor agents)

RN 766-17-6 CAPLUS
CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:590960 CAPLUS
DOCUMENT NUMBER: 139:149804
TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors
INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.
PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		

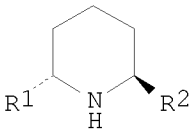
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

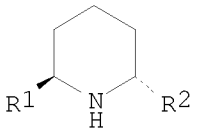
US 20050038071	A1	20050217	US 2004-502080	20041008

PRIORITY APPLN. INFO.: US 2002-351880P P 20020125
WO 2003-US2105 W 20030124

OTHER SOURCE(S): MARPAT 139:149804
GI



I



II

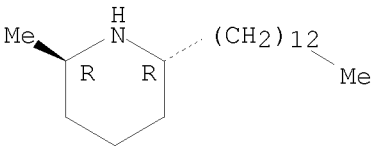
AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylatio with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 μg/mL).

IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P, (±)-Solenopsin A hydrochloride 175478-17-8P 409060-79-3P 409060-81-7P 409060-82-8P 409060-83-9P 409060-85-1P 409060-86-2P 409060-87-3P 409060-88-4P 409060-89-5P 409060-90-8P 409060-91-9P 409060-92-0P 409061-00-3P 409061-29-6P 409061-33-2P 409061-34-3P 571186-34-0P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)
(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

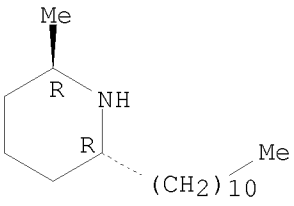
RN 32778-77-1 CAPLUS
CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 63950-17-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

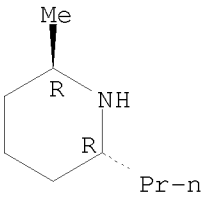
Relative stereochemistry.



HCl

RN 175478-17-8 CAPLUS
CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

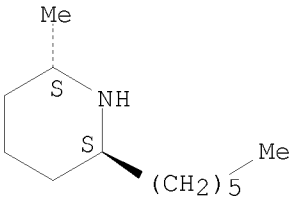
Relative stereochemistry.



HCl

RN 409060-79-3 CAPLUS
CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

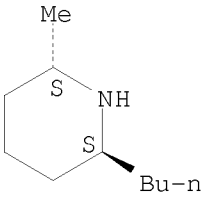
Relative stereochemistry.



● HCl

RN 409060-81-7 CAPLUS
CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

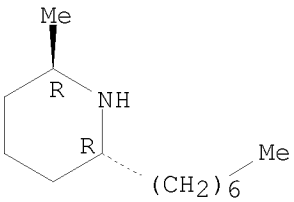
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS
CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

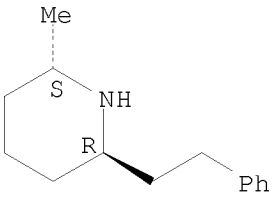
Relative stereochemistry.



● HCl

RN 409060-83-9 CAPLUS
CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

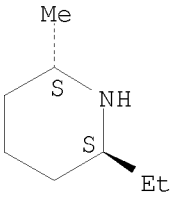
Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS
CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

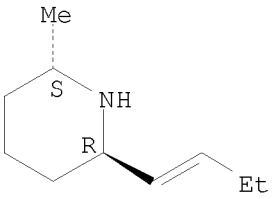
Relative stereochemistry.



● HCl

RN 409060-86-2 CAPLUS
CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

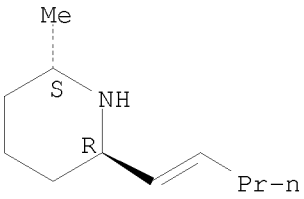
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-87-3 CAPLUS
CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

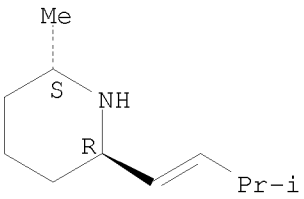
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS
CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

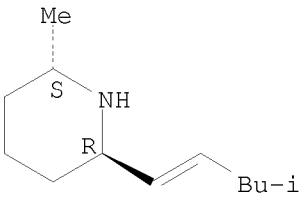
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS
CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

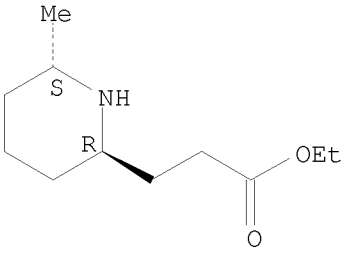
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS
CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

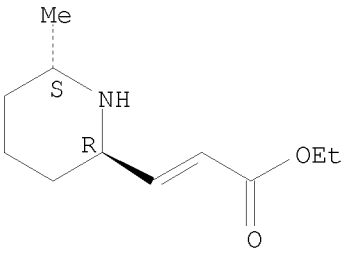
Relative stereochemistry.



● HCl

RN 409060-91-9 CAPLUS
CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

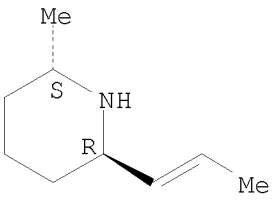
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-92-0 CAPLUS
CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

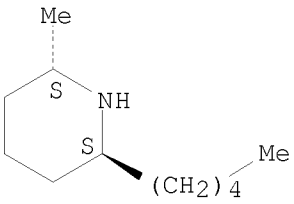
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409061-00-3 CAPLUS
CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

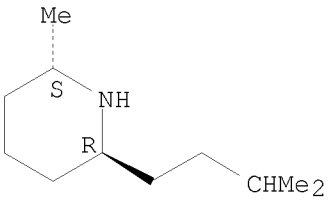
Relative stereochemistry.



● HCl

RN 409061-29-6 CAPLUS
CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-
(CA INDEX NAME)

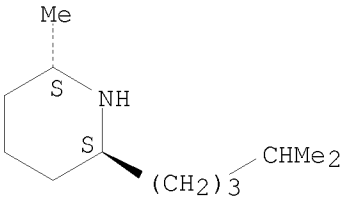
Relative stereochemistry.



● HCl

RN 409061-33-2 CAPLUS
CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),
(2R,6R)-rel- (CA INDEX NAME)

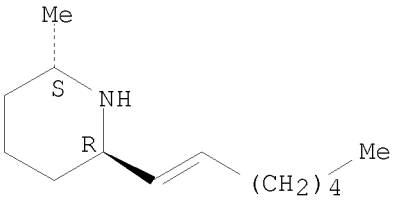
Relative stereochemistry.



● HCl

RN 409061-34-3 CAPLUS
CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-
(CA INDEX NAME)

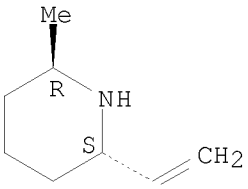
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

L44 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:42245 CAPLUS
DOCUMENT NUMBER: 138:106689
TITLE: Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases
INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted Michael; Chong, Wesley K. M.; Duvadie, Rohit K.; Li, Lin; Reich, Siegfried H.; Romines, William H.; Wallace, Michael B.; Yang, Yi
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004467	A2	20030116	WO 2002-US21280	20020705
WO 2003004467	A3	20040506		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

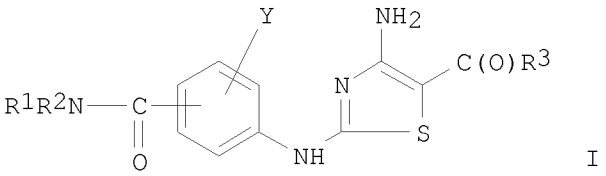
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2452609	A1	20030116	CA 2002-2452609	20020705
AU 2002354801	A1	20030121	AU 2002-354801	20020705
US 20030225147	A1	20031204	US 2002-190219	20020705
US 6720346	B2	20040413		
EP 1438046	A2	20040721	EP 2002-782499	20020705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005521631	T	20050721	JP 2003-510635	20020705
BR 2002010874	A	20061024	BR 2002-10874	20020705
MX 2004PA00069	A	20040521	MX 2004-PA69	20040107

PRIORITY APPLN. INFO.: US 2001-303679P P 20010706
US 2001-305274P P 20010713
WO 2002-US21280 W 20020705

OTHER SOURCE(S): MARPAT 138:106689

GI



I

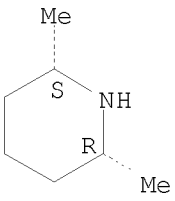
AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide), and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. Inhibitory activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with ≥1 substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with ≥1 substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO2, -NH2, -N-OH, N-ORc, -CN, -(CH2)z-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb, -CO-R, -O-CO-Rc, -CO-ORc, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRc-CO-Re, -NR-CO-OR, -CO-NRc-CO-Rd, -O-SO2-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO3, -NRc-SRd, -NRc-SO-Rd, NRc-SO2-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO2-Rc, -CS-Rc, -CSO-R, -CSO2-R,, -NRc-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO2-Re, -OS2-NRdRe, -SO-NRdRe,

-S-NRdRe, -NRd-CSO2-Rd, - NRc-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO2-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example prepns. of I are included and directions are given for combinatorial preparation of 396 I.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

RN 766-17-6 CAPLUS
CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L44 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:965133 CAPLUS
DOCUMENT NUMBER: 138:39277
TITLE: Preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents
INVENTOR(S): Askew, Benny C.; De Morin, Frenel F.; Hague, Andrew; Laber, Ellen; Li, Aiwen; Liu, Gang; Lopez, Patricia; Nomak, Rana; Santora, Vincent; Tegley, Christopher; Yang, Kevin
PATENT ASSIGNEE(S): Amgen, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 129 pp., Cont.-in-part of U. S. Ser. No. 930,753.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

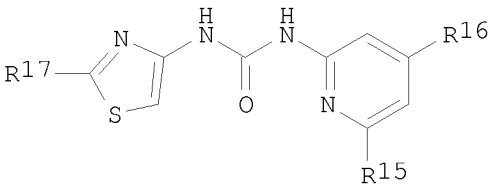
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020193405	A1	20021219	US 2002-77124	20020215
US 6645990	B2	20031111		
US 20020173507	A1	20021121	US 2001-930753	20010814
EP 1619184	A2	20060125	EP 2005-15480	20010815
EP 1619184	A3	20060201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 320426	T	20060415	AT 2001-964009	20010815
ES 2260277	T3	20061101	ES 2001-964009	20010815
CA 2476411	A1	20030828	CA 2003-2476411	20030213
WO 2003070727	A1	20030828	WO 2003-US4537	20030213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003215231		A1	20030909	AU 2003-215231	20030213
EP 1483263		A1	20041208	EP 2003-711046	20030213
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006509715		T	20060323	JP 2003-569634	20030213
US 20040039029		A1	20040226	US 2003-631423	20030730
US 7196104		B2	20070327		
US 20040044044		A1	20040304	US 2003-632044	20030730
MX 2004PA07970		A	20041126	MX 2004-PA7970	20040816
PRIORITY APPLN. INFO.:				US 2000-225793P	P 20000815
				US 2001-930753	A2 20010814
				EP 2001-964009	A3 20010815
				US 2002-77124	A 20020215
				WO 2003-US4537	W 20030213

OTHER SOURCE(S): MARPAT 138:39277

GI



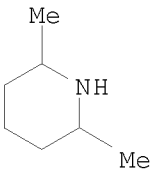
I

AB The title compds. [I; R15 = H, heterocyclyl, Ph, etc.; R16 = H, heterocyclylcarbonyl, alkylaminocarbonyl, etc.; R17 = halo, alkyl, cycloalkyl, etc.; provided only one of R15 and R16 = H] which are effective for prophylaxis and treatment of diseases, such as cell proliferation or apoptosis mediated diseases involving stroke, cancer and the like, were prepared Thus, heating 2-phenyl-4-thiazolylcarbonylazide with 6-(3-methylpiperidin-1-ylmethyl)pyridin-2-ylamine in PhMe afforded the urea I [R15 = 3-methylpiperidin-1-ylmethyl; R16 = H; R17 = Ph] which showed cdk2/cyclin and cdk5/p25 kinase activity with IC50 of < 0.5 μM.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



L44 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:428894 CAPLUS

DOCUMENT NUMBER: 137:20303

TITLE: Preparation of substituted quinolines as antitumor agents

INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson; Foote,

Kevin Michael
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044166	A1	20020606	WO 2001-GB4737	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010714	A	20020611	AU 2002-10714	20011026
EP 1337524	A1	20030827	EP 2001-978616	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004514718	T	20040520	JP 2002-546536	20011026
US 20040029898	A1	20040212	US 2003-415812	20030502
US 7067532	B2	20060627		
US 20070021407	A1	20070125	US 2006-374423	20060314
US 7402583	B2	20080722		

PRIORITY APPLN. INFO.:		
GB 2000-26744	A	20001102
GB 2000-26746	A	20001102
GB 2000-26747	A	20001102
WO 2001-GB4737	W	20011026
US 2003-415812	A3	20030502

OTHER SOURCE(S): MARPAT 137:20303
GI

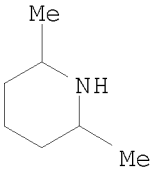
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 0 or 1; Y = NH, O, S, or alkylamine; R5 = CN, F, Cl, or Br; R6 = (un)substituted -cycloalkyl, -pyridinyl, -pyrimidinyl, -Ph, etc.; R1, R2 and R4 independently = H, OH, halo, CN, NO2, F3C, alkyl, amine, alkylamine, dialkylamine, R7X1(CH2)x- wherein x = 0-3, R7 = H, (un)substituted hydrocarbyl or heterocyclyl and X1 = O, CH2, OCO, CO, S, SO, SO2, NR8CO, NR8CO2, CONR9, CO2NR9, SO2NR10, NR11 or NR11NR11 wherein R8, R9, R10 and R11 independently = H, alkyl or alkoxyalkyl; R3 = group of formula X1R12(OH)p where p = 1-2 and R12 = alkylene, alkenylene or alkynylene chain, optionally interposed with a heteroatom or heterocyclic ring with the provision that when R12 = alkylene, R12 must be interposed with a heteroatom or heterocyclic ring and at least one (OH)p is on the alkylene chain between X1 and the interposed heteroatom or heterocyclic ring; group of formula R7(CH2)yX1(CH2)x where y = 0-5 and (CH2)y is optionally interposed by an X1 group; group of formula X1alkyl where alkyl is substituted by one or more Cl and/or CN; heterocyclic ring, etc.], or a pharmaceutically acceptable salt, pro-drug or solvate thereof are prepared and disclosed as antiproliferative agents. Thus, II was prepared in eight steps from benzylchloroformate and 2-methoxy-5-nitroaniline. I were evaluated as inhibitors of MAPK pathway and exhibited IC50 values

typically lest than 0.5 μM, e.g., II possessed an IC50 = 0.0013μM. In cell proliferation assays, I had IC50 results typically less than 30μM with II giving an IC50 of 1.3 μM in HT29 human colon tumor cells. Methods for prevention of cancer comprising administering an effective amount of compound I are further claimed.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, inhibition of MAP kinase, and cellular antiproliferation activity of substituted quinolines as antitumor agents)

RN 504-03-0 CAPLUS
CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d L44 16-20 ibib abs hitstr

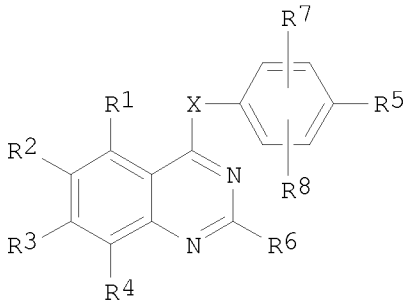
L44 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:228866 CAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384291	A1	20010329	CA 2000-2384291	20000918
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509499	T	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
HU 2003000059	A2	20030728	HU 2003-59	20000918

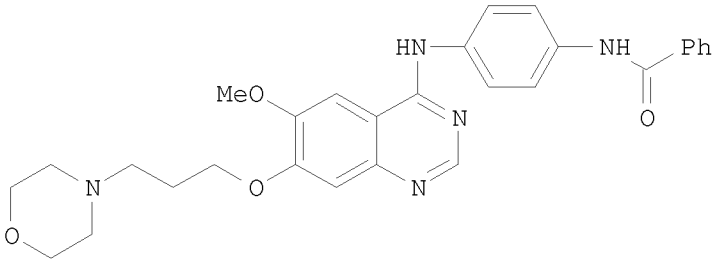
HU 2003000059	A3	20030828			
BG 106492	A	20030131	BG 2002-106492		20020307
IN 2002MN00293	A	20050318	IN 2002-MN293		20020308
ZA 2002002234	A	20030619	ZA 2002-2234		20020319
NO 2002001399	A	20020430	NO 2002-1399		20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A	19990921
			GB 1999-22170	A	19990921
			WO 2000-GB3580	W	20000918
			WO 2000-GB9100	A	20000918

OTHER SOURCE(S): MARPAT 134:266317

GI



I



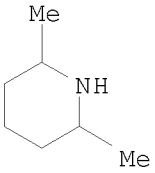
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of

0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IT 504-03-0, 2,6-Dimethyl-piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 504-03-0 CAPLUS
CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

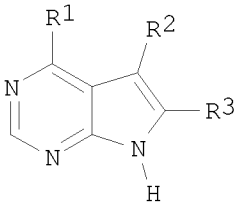
L44 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:811245 CAPLUS
DOCUMENT NUMBER: 132:49976
TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3
INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Brown, Matthew Frank; Changelian, Paul Steven
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965909	A1	19991223	WO 1999-IB1110	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335186	A1	19991223	CA 1999-2335186	19990614
CA 2335186	C	20050329		
AU 9940545	A	20000105	AU 1999-40545	19990614
AU 758427	B2	20030320		
TR 200003720	T2	20010321	TR 2000-3720	19990614
EP 1087971	A1	20010404	EP 1999-923800	19990614
EP 1087971	B1	20040707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9912171	A	20010410	BR 1999-12171	19990614
HU 2001003472	A2	20020228	HU 2001-3472	19990614
HU 2001003472	A3	20021228		
JP 2002518394	T	20020625	JP 2000-554734	19990614

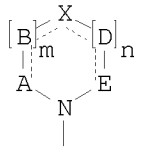
JP 3497823	B2	20040216			
TW 542834	B	20030721	TW 1999-88109933		19990614
CN 1125070	C	20031022	CN 1999-807519		19990614
NZ 508034	A	20031128	NZ 1999-508034		19990614
AT 270673	T	20040715	AT 1999-923800		19990614
PT 1087971	T	20041029	PT 1999-923800		19990614
ES 2223172	T3	20050216	ES 1999-923800		19990614
IN 1999DE00876	A	20080725	IN 1999-DE876		19990615
EG 23758	A	20070808	EG 1999-725		19990616
ZA 9904003	A	20001218	ZA 1999-4003		19990617
AP 1157	A	20030630	AP 1999-1583		19990617
W: BW, GH, GM, KE, MW, SD, UG, ZM, ZW					
US 6635762	B1	20031021	US 1999-335030		19990617
NO 2000006454	A	20010215	NO 2000-6454		20001218
NO 318786	B1	20050509			
MX 2000PA12853	A	20010507	MX 2000-PA12853		20001219
HR 2000000886	A1	20011031	HR 2000-886		20001219
HR 2000000886	B1	20080731			
BG 105122	A	20011031	BG 2001-105122		20010108
BG 65063	B1	20070131			
HK 1036800	A1	20040227	HK 2001-107740		20011106
US 20040058922	A1	20040325	US 2003-640079		20030813
NO 2005000201	A	20010215	NO 2005-201		20050113
PRIORITY APPLN. INFO.:			US 1998-89886P	P	19980619
			WO 1999-IB1110	W	19990614
			US 1999-335030	A1	19990617

OTHER SOURCE(S): MARPAT 132:49976

GI



I



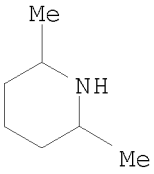
II

AB The title compds. [I; R1 = II (wherein the dashed line represents optional double bonds; m = 0-3; n = 0-3; X, B, D = O, S(O)d (d = 0-2), NR6, CR7R8; A, E = CR7R8; R6 = H, alkyl, CF3, etc.; R7, R8 = H, 2H, alkyl, etc.); R2, R3 = H, NH2, halo, etc.] which are inhibitors of protein tyrosine kinases such as Janus Kinase 3 (no data) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia and other autoimmune diseases, were prepared E.g., a 2-step synthesis of I [R1 = piperidino; R2 = Cl; R3 = H], starting with 4-chloro-7H-pyrrolo[2,3-d]pyrimidine, was given. Compds. I are effective at 0.1-1000 mg/day.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)

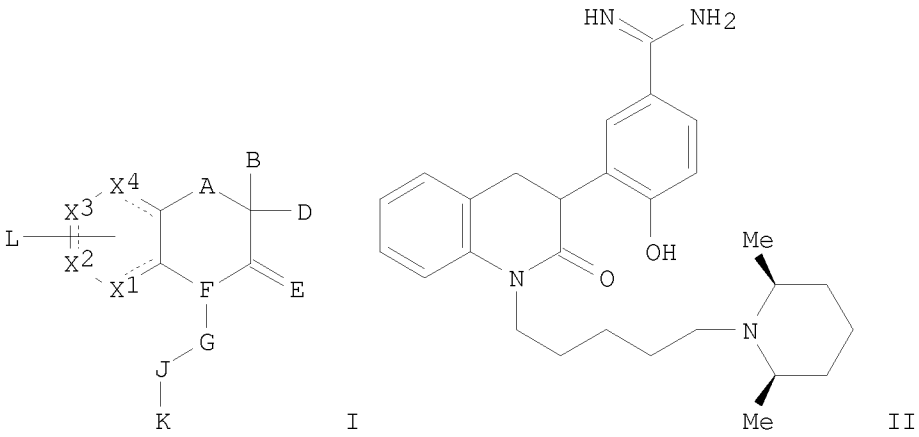


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:640853 CAPLUS
DOCUMENT NUMBER: 131:271815
TITLE: Preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders
INVENTOR(S): Dudley, Danette Andrea; Edmunds, Jeremy John
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9950263	A1	19991007	WO 1998-US26709		19981215
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2312953	A1	19991007	CA 1998-2312953		19981215
AU 9919184	A	19991018	AU 1999-19184		19981215
AU 763110	B2	20030710			
BR 9815786	A	20001121	BR 1998-15786		19981215
EP 1091955	A1	20010418	EP 1998-963966		19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
HU 2001001484	A2	20011028	HU 2001-1484		19981215
HU 2001001484	A3	20030228			
JP 2002509928	T	20020402	JP 2000-541167		19981215
NZ 505921	A	20030829	NZ 1998-505921		19981215
ZA 9902448	A	20001011	ZA 1999-2448		19990330
MX 2000PA06107	A	20010219	MX 2000-PA6107		20000619
US 6855726	B1	20050215	US 2000-601479		20000803
NO 2000004696	A	20000920	NO 2000-4696		20000920
PRIORITY APPLN. INFO.:			US 1998-80090P	P	19980331
			WO 1998-US26709	W	19981215

OTHER SOURCE(S): MARPAT 131:271815
GI



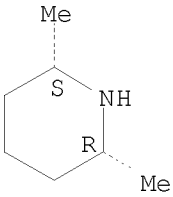
AB 2(1H)-Quinolinones (I) [where A = CH2, CH, or C(alkyl); B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH2, or CH2N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin and/or factor VIIa, were prepared For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(2-oxo-1,2,3,4-tetrahydro-3-quinolinyl)benzenecarbonitrile (5-step preparation given) to yield the N-substituted tetrahydroquinolinone. Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinolinone to form the piperidinylpentyl derivative This intermediate was converted to the title quinolinone II.2HCl by treatment with NH2OH.HCl followed by addition of CF3CO2H and reduction with Pd/C. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50 μM to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC50 = 1.14 μM), trypsin (IC50 = 0.562 μM), and factor Xa (IC50 = 0.02 μM). Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders)

RN 766-17-6 CAPLUS

CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:640844 CAPLUS
DOCUMENT NUMBER: 131:271886
TITLE: Preparation of quinoxalinones as serine protease inhibitors for treatment of thrombotic disorders
INVENTOR(S): Dudley, Danette Andrea; Edmunds, Jeremy John
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950254	A1	19991007	WO 1998-US26704	19981215
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2319554	C	19991007	CA 1998-2319554	19981215
CA 2319554	A1	19991007		
AU 9919179	A	19991018	AU 1999-19179	19981215
BR 9815785	A	20001205	BR 1998-15785	19981215
EP 1068190	A1	20010117	EP 1998-963961	19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001001470	A2	20011028	HU 2001-1470	19981215
HU 2001001470	A3	20020930		
ZA 9902447	A	20001010	ZA 1999-2447	19990330
US 6410536	B1	20020625	US 2000-601606	20000803
MX 2000PA08342	A	20010328	MX 2000-PA8342	20000825
NO 2000004697	A	20000920	NO 2000-4697	20000920
US 20020086866	A1	20020704	US 2002-38006	20020104
US 6916805	B2	20050712		

PRIORITY APPLN. INFO.:	US 1998-80042P	P	19980331
	WO 1998-US26704	W	19981215
	US 2000-601606	A3	20000803

OTHER SOURCE(S): MARPAT 131:271886
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 2(1H)-Quinoxalinones (I) [where A = N, N(alkyl)CH2, CH2N(alkyl), NO; B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH2, or CH2N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin, trypsin, and/or factor VIIa, were prepared For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(3-oxo-3,4-dihydro-2-quinoxalinyl)benzenecarbonitrile (6-step preparation given) to yield the N-substituted dihydroquinoxaline.

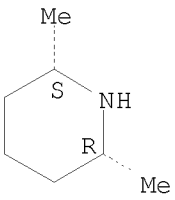
Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinoxalinone to form the piperidinylpentyl derivative This intermediate was debenzylated and the nitrile converted to the carboximidamide to form the title quinoxalinone (II).2HCl. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50 μM to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC50 = 2.96 μM), trypsin (IC50 = 2.03 μM), and factor Xa (IC50 = 0.065 μM). At a concentration of 100 μM, II inhibited the catalytic activity of human tissue factor/factor VIIa complex by 16%. In an in vitro assay, II demonstrated human prothrombinase (PTase) complex inhibition with an IC50 of 0.0015 μM. The effects of II on thrombosis and hemostasis was studied in a rabbit veno-venous shunt model and in a dog electrolytic injury model of thrombosis. At the highest dose, II prolonged a PTT and PT by a 5- and 3.9-fold, resp., for the veno-venous shunt model and by 1.4- and 1.75-fold, resp., for the electrolytic injury model. Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders)

RN 766-17-6 CAPLUS

CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:511159 CAPLUS

DOCUMENT NUMBER: 131:157709

TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists

INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 469 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

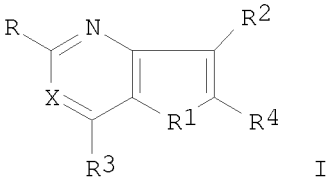
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940091	A1	19990812	WO 1999-US2500	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,				

KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6187777	B1	20010213	US 1999-246775		19990204
CA 2319275	A1	19990812	CA 1999-2319275		19990205
CA 2319275	C	20071016			
AU 9926590	A	19990823	AU 1999-26590		19990205
AU 747920	B2	20020530			
EP 1054887	A1	20001129	EP 1999-906756		19990205
EP 1054887	B1	20060412			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
JP 2003502272	T	20030121	JP 2000-530520		19990205
AT 323088	T	20060415	AT 1999-906756		19990205
PT 1054887	T	20060630	PT 1999-906756		19990205
ES 2257851	T3	20060801	ES 1999-906756		19990205
ZA 9900967	A	19990806	ZA 1999-967		19990208
MX 2000PA07662	A	20010219	MX 2000-PA7662		20000804
US 6583154	B1	20030624	US 2000-640263		20000816
PRIORITY APPLN. INFO.:			US 1998-73927P	P	19980206
			US 1998-73981P	P	19980206
			US 1998-93482P	P	19980720
			US 1998-93577P	P	19980720
			US 1999-246775	A	19990204
			WO 1999-US2500	W	19990205

OTHER SOURCE(S): MARPAT 131:157709
GI



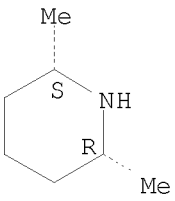
I

AB Title compds.[I; R = H, CH3, (CH3)2CH, SCH3, CH3CH2, NH2, CF3, NHCOC6H5, cyclopropyl, CH2OH, (CH3)2CH2CH2, N(CH3)2, OCH3, NHCH3, NH(CH2)4NH2; R1 = NH, S, NCH3, O; R2 = H, COCH3, C6H5, CH3, CH3CH2; R3 = NH2, CH3, NHC6H5, N(CH2CH3)2, (CH3CH2)N(CH2)3CH3, (CH3)N(CH2)2NHCH3, N(CH3)CH(CH3)CH(Ph)OH, (CH3CH2)NCH2C(CH3):CH2, NHCH2CF3, NHCH2CH2C6H5, NH(CH2)3OCH2CH3, 4-ClC6H4, 4-CH3OC6H5, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R4 = C6H5, 4-CH3C6H4, 4-ClC6H4, (CH3)3C, 4-FC6H4, 3-HOC6H4, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC6H4 2-thienyl, 1-adamantyl, CH3, 4-CH3OC6H4; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH3; R1 = NH; X = N; R2 = H; R3 = N(CH2CH3)2; R4 = C6H5) was prepared

IT 766-17-6, cis-2,6-Dimethylpiperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 766-17-6 CAPLUS
CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

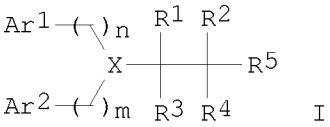
Relative stereochemistry.



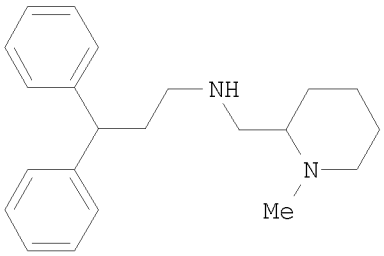
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> s l42/uses
          1413 L42
       7150149 USES/RL
L45         123 L42/USES
                  (L42 (L) USES/RL)

=> s L45 AND ((cancer OR "Cancer (genus)") or (angiogenesis OR "Angiogenesis"))
         370638 CANCER
          54465 CANCERS
         384282 CANCER
                  (CANCER OR CANCERS)
         370638 "CANCER"
          54465 "CANCERS"
         384282 "CANCER"
                  ("CANCER" OR "CANCERS")
          53989 "GENUS"
            103 "GENUSES"
          18740 "GENERA"
              8 "GENERAS"
          68072 "GENUS"
                  ("GENUS" OR "GENUSES" OR "GENERA" OR "GENERAS")
             48 "CANCER (GENUS)"
                  ("CANCER"(W)"GENUS")
          46826 ANGIOGENESIS
          46826 "ANGIOGENESIS"
L46           5 L45 AND ((CANCER OR "CANCER (GENUS)") OR (ANGIOGENESIS OR "ANGIO
                GENESIS"))

=> d L45 1-5 ibib abs hitstr

L45  ANSWER 1 OF 123  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2008:890838  CAPLUS
TITLE:                   Preparation of male contraceptive compounds
INVENTOR(S):             Amobi, Nnaemeka Ikechukwu; Smith, Christopher
PATENT ASSIGNEE(S):      King's College London, UK
SOURCE:                  PCT Int. Appl., 65pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     WO 2008087421        A2    20080724    WO 2008-GB163          20080117
         W:  AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
```

CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: GB 2007-893 A 20070117
GI



I



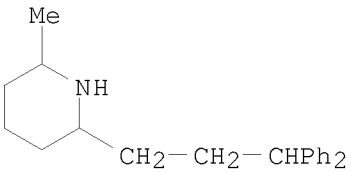
II

AB Title compds. represented by the formula I [wherein R1-R4 = independently H or alkyl; R5 = (hetero)aryl, (hetero)cycloalkyl, aryloxy, etc.; X = C or N; m = 0-2; n = 0-2; Ar1, Ar2 = independently (un)substituted (hetero)aryl; with the proviso; and pharmaceutically acceptable salts or esters thereof] were prepared as. For example, amidation of N-methylpiperidine-2-carboxylic acid•HCl with 3,3-diphenylpropylamine and followed by reduction with LiAlH4 gave II•2HCl. I were tested for contractile actions of L-type Ca2+-agonists in human vas deferens and effects of L-type Ca2+-antagonists, diphenylalkylamines and phenothiazines and functional evaluation of new compds. in human vas deferens prepns. Thus, I and their pharmaceutical compns. are useful for the reduction or prevention of the emission of sperm, or for the reduction or prevention of transmission of viral agents-transmitted in seminal fluid.

IT 1041192-96-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of male contraceptive compds.)

RN 1041192-96-4 CAPLUS

CN Piperidine, 2-(3,3-diphenylpropyl)-6-methyl- (CA INDEX NAME)



L45 ANSWER 2 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:590535 CAPLUS
DOCUMENT NUMBER: 148:534276
TITLE: Identification of bitter ligands activating human T2R

taste receptors using cells expressing genes for individual receptor subtypes

INVENTOR(S): Li, Xiaodong; Xu, Hong; Li, Qing; Tang, Huixian; Pronin, Alexey

PATENT ASSIGNEE(S): Senomyx, Inc., USA

SOURCE: PCT Int. Appl., 134pp., which
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008057470	A2	20080515	WO 2007-US23230	20071101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080187936	A1	20080807	US 2006-555617	20061101
US 20080038739	A1	20080214	US 2007-766974	20070622
AU 2008200999	A1	20080320	AU 2008-200999	20080303

PRIORITY APPLN. INFO.:

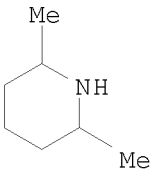
US 2006-555617	A	20061101
US 2007-766974	A	20070622
US 2001-825882	A3	20010405
AU 2002-318229	A3	20020710
US 2002-191058	A2	20020710
US 2003-742209	B2	20031222
US 2007-555617	A2	20070326

AB Members of the human taste receptor family T2R that respond to bitter compds. are identified and methods of identifying ligands for these receptors using transgenic animal cells are described. Of the known members of the family, 23 were shown to be receptors for bitter ligands. Alleles of the gene for the T2R9 receptor that show very different responses in functional assays with for bitter ligands are identified. Activating ligands for these receptors may be used to modify flavors, either by adding them to foods or drugs, or by selectively removing them. These ligands may be used as therapeutics to treat and modulate T2R associated gastrointestinal and metabolic functions and gastrointestinal and metabolic diseases such as eating disorders, food sensing, food absorption, obesity, diabetes, Crohn's disease, and celiac disease. Receptors were screened for their responses to members of a library of compds. using animal cell hosts expressing the gene for an individual receptor. Patterns of response to library members were used to assign functions ("de-orphan") members of the receptor family.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses)
(as ligand for bitter taste receptors; identification of bitter ligands activating human T2R taste receptors using cells expressing genes for individual receptor subtypes)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



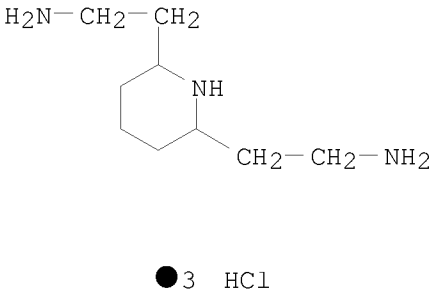
L45 ANSWER 3 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:493012 CAPLUS
DOCUMENT NUMBER: 148:509885
TITLE: Compositions and methods for treating neurological disorders or damage
INVENTOR(S): Diamandis, Phedias; Tyers, Mike; Dirks, Peter B.
PATENT ASSIGNEE(S): Can.
SOURCE: Can. Pat. Appl., 3pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2606658	A1	20080413	CA 2007-2606658	20071012
PRIORITY APPLN. INFO.:			US 2006-851615P P	20061013

AB The invention relates to a clonogenic neurosphere assay to carry out high throughput screens (HTS) to identify potent and/or selective modulators of proliferation, differentiation and/or renewal of neural precursor cells, neural progenitor cells and/or self-renewing and multipotent neural stem cells (NSCs). The invention also relates to compns. comprising the identified modulators and methods of using the modulators and compns., in particular to treat neurol. disorders (e.g. brain or CNS cancer) or damage.

IT 205446-74-8, MDL 26630 trihydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening for compns. and methods for treating neurol. disorders or damage with modulators of neural stem cells)

RN 205446-74-8 CAPLUS
CN 2,6-Piperidinediethanamine, hydrochloride (1:3) (CA INDEX NAME)

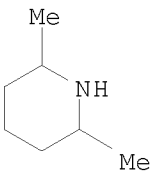


L45 ANSWER 4 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:372248 CAPLUS
DOCUMENT NUMBER: 148:497997
TITLE: Improving the Hydrophobicity and Oxidation Activity of Ti-MWW by Reversible Structural Rearrangement

AUTHOR(S): Wang, Lingling; Liu, Yueming; Xie, Wei; Wu, Haihong; Li, Xiaohong; He, Mingyuan; Wu, Peng
CORPORATE SOURCE: Shanghai Key Laboratory of Green Chemistry and Chemical Processes, Department of Chemistry, East China Normal University, Shanghai, 200062, Peop. Rep. China
SOURCE: Journal of Physical Chemistry C (2008), 112(15), 6132-6138
CODEN: JPCCCK; ISSN: 1932-7447
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The postsynthesis treatment of Ti-MWW having the three-dimensional (3D) MWW structure with aqueous amine solns. has been carried out with the purpose to improve its hydrophobicity and catalytic activity in the liquid-phase oxidns. The treatment with piperidine (PI) or hexamethyleneimine (HMI) converted the 3D MWW structure into the corresponding lamellar precursor, which returned reversibly to the 3D MWW structure by further calcination. The treatments with other amines, however, caused a structural collapse or crystalline transfer to other phases. In the case of PI treatment, the structural conversion from 3D MWW to the MWW lamellar precursor occurred readily at 443 K at a PI/SiO2 molar ratio of >0.1 within 1 day for the Ti-MWW samples with various Si/Ti ratios. The structural interchange did not alter the amount as well as the coordination states of the Ti active sites, but removed the internal silanols by ca. 40%, leading to a defectless Ti-MWW catalyst with a more rigid and hydrophobic framework. This kind of structural rearrangement improved the catalytic activity by up to 20% in the ammoxidn. of ketones and also in the epoxidn. of a wide range of alkenes with various mol. dimensions.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: MOA (Modifier or additive use); USES (Uses)
(improving the hydrophobicity and oxidation activity of Ti-MWW by reversible structural rearrangement in presence of)
RN 504-03-0 CAPLUS
CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 5 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:191632 CAPLUS
DOCUMENT NUMBER: 148:258933
TITLE: Identification of bitter ligands activating human T2R taste receptors using cells expressing genes for individual receptor subtypes
INVENTOR(S): Li, Xiaodong; Xu, Hong; Li, Qing; Tang, Huixian; Pronin, Alexey
PATENT ASSIGNEE(S): Senomyx, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 82pp., Cont.-in-part of U.S. Sr. No. 555,617.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080038739	A1	20080214	US 2007-766974	20070622
US 20020094551	A1	20020718	US 2001-825882	20010405
US 7105650	B2	20060912		
US 20030170608	A1	20030911	US 2002-191058	20020710
US 7338771	B2	20080304		
US 20040209313	A1	20041021	US 2003-724208	20031201
US 7399601	B2	20080715		
US 20040248149	A1	20041209	US 2003-724209	20031201
US 7393654	B2	20080701		
US 20050069944	A1	20050331	US 2004-986871	20041115
US 7396651	B2	20080708		
US 20070059759	A1	20070315	US 2006-599313	20061115
US 20070061902	A1	20070315	US 2006-599318	20061115
US 20070061903	A1	20070315	US 2006-599319	20061115
US 20070061904	A1	20070315	US 2006-599346	20061115
US 20070061905	A1	20070315	US 2006-599360	20061115
US 20070061906	A1	20070315	US 2006-599392	20061115
US 20070065870	A1	20070322	US 2006-599467	20061115
US 20070065871	A1	20070322	US 2006-599472	20061115
US 20070065873	A1	20070322	US 2006-599487	20061115
WO 2008057470	A2	20080515	WO 2007-US23230	20071101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008200999	A1	20080320	AU 2008-200999	20080303

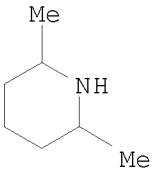
PRIORITY APPLN. INFO.:		
US 2001-825882	A3	20010405
US 2002-191058	A2	20020710
US 2003-742209	B2	20031222
US 2007-555617	A2	20070326
US 2000-195532P	P	20000407
US 2000-247014P	P	20001113
US 2001-303811P	P	20010710
US 2002-372089P	P	20020415
AU 2002-318229	A3	20020710
US 2003-724208	A3	20031201
US 2006-555617	A	20061101
US 2007-766974	A	20070622

AB Members of the human taste receptor family T2R that respond to bitter compds. are identified and methods of identifying ligands for these receptors using transgenic animal cells are described. Of the known members of the family, 23 were shown to be receptors for bitter ligands. Alleles of the gene for the T2R9 receptor that show very different responses in functional assays with for bitter ligands are identified. Activating ligands for these receptors may be used to modify flavors, either by adding them to foods or drugs, or by selectively removing them. These ligands may be used as therapeutics to treat and modulate T2R associated gastrointestinal and metabolic functions and gastrointestinal and metabolic diseases such as eating disorders, food sensing, food

absorption, obesity, diabetes, Crohn's disease, and celiac disease. Receptors were screened for their responses to members of a library of compds. using animal cell hosts expressing the gene for an individual receptor. Patterns of response to library members were used to assign functions ("de-orphan") members of the receptor family.

IT 504-03-0, 2,6-Dimethylpiperidine
RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses)
(as ligand for bitter taste receptors; identification of bitter ligands activating human T2R taste receptors using cells expressing genes for individual receptor subtypes)

RN 504-03-0 CAPLUS
CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



=> d L46 1-5 ibib abs hitstr

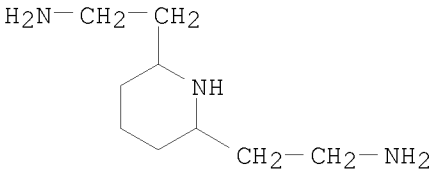
L46 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:493012 CAPLUS
DOCUMENT NUMBER: 148:509885
TITLE: Compositions and methods for treating neurological disorders or damage
INVENTOR(S): Diamandis, Phedias; Tyers, Mike; Dirks, Peter B.
PATENT ASSIGNEE(S): Can.
SOURCE: Can. Pat. Appl., 3pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
CA 2606658	A1	20080413	CA 2007-2606658		20071012
PRIORITY APPLN. INFO.:			US 2006-851615P	P	20061013

AB The invention relates to a clonogenic neurosphere assay to carry out high throughput screens (HTS) to identify potent and/or selective modulators of proliferation, differentiation and/or renewal of neural precursor cells, neural progenitor cells and/or self-renewing and multipotent neural stem cells (NSCs). The invention also relates to compns. comprising the identified modulators and methods of using the modulators and compns., in particular to treat neurol. disorders (e.g. brain or CNS cancer) or damage.

IT 205446-74-8, MDL 26630 trihydrochloride
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening for compns. and methods for treating neurol. disorders or damage with modulators of neural stem cells)

RN 205446-74-8 CAPLUS
CN 2,6-Piperidinediethanamine, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

L46 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:288798 CAPLUS
DOCUMENT NUMBER: 147:419553
TITLE: Solenopsin, the alkaloidal component of the fire ant (Solenopsis invicta), is a naturally occurring inhibitor of phosphatidylinositol-3-kinase signaling and angiogenesis
AUTHOR(S): Arbiser, Jack L.; Kau, Tweeny; Konar, Martha; Narra, Krishna; Ramchandran, Ramani; Summers, Scott A.; Vlahos, Chris J.; Ye, Keqiang; Perry, Betsy N.; Matter, William; Fischl, Anthony; Cook, James; Silver, Pamela A.; Bain, Jenny; Cohen, Philip; Whitmire, David; Furness, Scott; Govindarajan, Baskaran; Bowen, J. Phillip
CORPORATE SOURCE: Department of Dermatology, Emory University School of Medicine, Atlanta, GA, USA
SOURCE: Blood (2007), 109(2), 560-565
CODEN: BLOOAW; ISSN: 0006-4971
PUBLISHER: American Society of Hematology
DOCUMENT TYPE: Journal
LANGUAGE: English

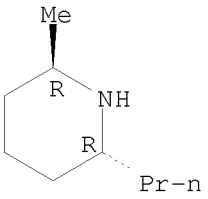
AB Phosphatidylinositol-3-kinase (PI3K), and its downstream effector Akt, or protein kinase Bα (PKBα), play a major regulatory role in control of apoptosis, proliferation, and angiogenesis. PI3K and Akt are amplified or overexpressed in a number of malignancies, including sarcomas, ovarian cancer, multiple myeloma, and melanoma. This pathway regulates production of the potent angiogenic factor vascular endothelial growth factor (VEGF), and protects tumor cells against both chemotherapy and reactive oxygen-induced apoptosis through phosphorylation of substrates such as apoptotic peptidase-activating factor-1 (APAF-1), forkhead proteins, and caspase 9. Given its diverse actions, compds. that suppress the PI3K/Akt pathway have potential pharmacol. utility as angiogenesis inhibitors and antineoplastic agents. Using the SVR angiogenesis assay, a screen of natural products, we isolated the alkaloid solenopsin, and found that it is a potent angiogenesis inhibitor. We also found that solenopsin inhibits the PI3K signaling pathway in cells upstream of PI3K, which may underlie its affects on angiogenesis. Consistent with inhibition of the activation of PI3K, solenopsin prevented the phosphorylation of Akt and the phosphorylation of its substrate forkhead box 01a (FOXO1a), a member of the forkhead family of transcription factors. Interestingly, solenopsin also inhibited Akt-1 activity in an ATP-competitive manner in vitro without affecting 27 of 28 other protein kinases tested.

IT 175478-17-8P 409060-79-3P 409060-81-7P
409060-82-8P 409060-83-9P 409060-85-1P
409060-86-2P 409060-87-3P 409060-88-4P
409060-89-5P 409060-92-0P 409061-29-6P
409061-33-2P 409061-34-3P 571186-34-0P

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solenopsin from fire ant is a naturally occurring inhibitor of PI3K signaling and angiogenesis)

RN 175478-17-8 CAPLUS
CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

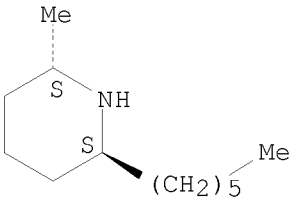
Relative stereochemistry.



● HCl

RN 409060-79-3 CAPLUS
CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

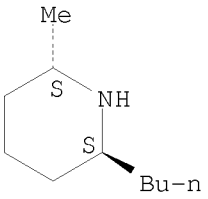
Relative stereochemistry.



● HCl

RN 409060-81-7 CAPLUS
CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

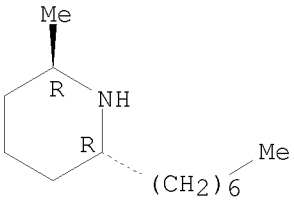
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS
CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

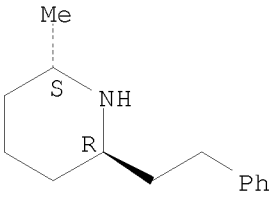
Relative stereochemistry.



● HCl

RN 409060-83-9 CAPLUS
CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

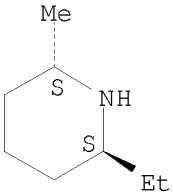
Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS
CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

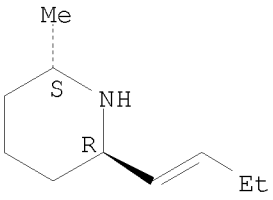


● HCl

RN 409060-86-2 CAPLUS
CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

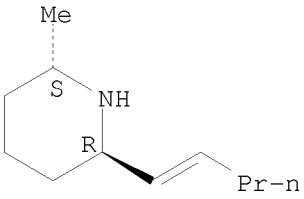
Double bond geometry unknown.



● HCl

RN 409060-87-3 CAPLUS
CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

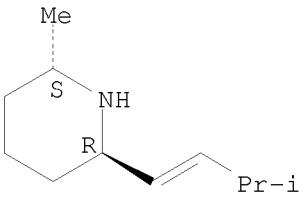
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS
CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

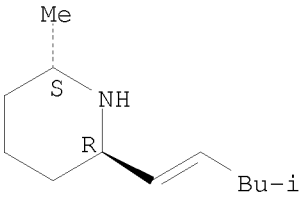
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS
CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

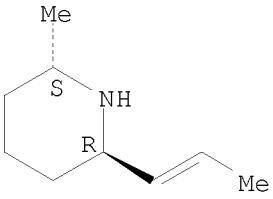
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-92-0 CAPLUS
CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel-
(CA INDEX NAME)

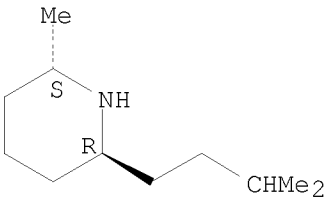
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409061-29-6 CAPLUS
CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-
(CA INDEX NAME)

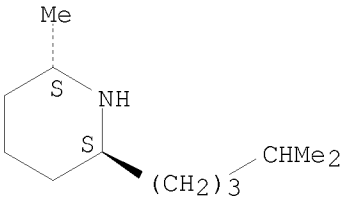
Relative stereochemistry.



● HCl

RN 409061-33-2 CAPLUS
CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),
(2R,6R)-rel- (CA INDEX NAME)

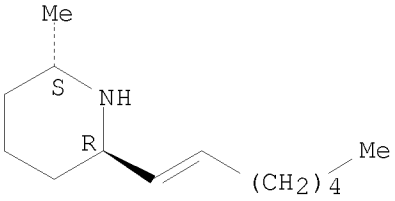
Relative stereochemistry.



● HCl

RN 409061-34-3 CAPLUS
CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

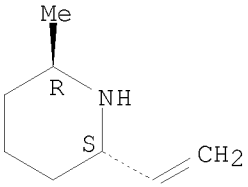
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

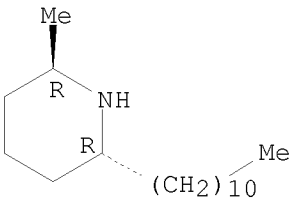
Relative stereochemistry.



● HCl

IT 28720-60-7P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solenopsin from fire ant is a naturally occurring inhibitor of PI3K signaling and angiogenesis)
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

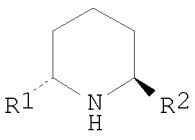


REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

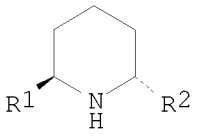
L46 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:590960 CAPLUS
DOCUMENT NUMBER: 139:149804
TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors
INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.
PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050038071	A1	20050217	US 2004-502080	20041008
PRIORITY APPLN. INFO.:			US 2002-351880P P	20020125
			WO 2003-US2105 W	20030124

OTHER SOURCE(S): MARPAT 139:149804
GI



I



II

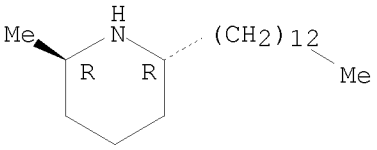
AB The present invention relates to solenopsin A and its analogs, I [R1, R2 =

linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylatio with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 μg/mL).

IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P, (±)-Solenopsin A hydrochloride 175478-17-8P 409060-79-3P 409060-81-7P 409060-82-8P 409060-83-9P 409060-85-1P 409060-86-2P 409060-87-3P 409060-88-4P 409060-89-5P 409060-90-8P 409060-91-9P 409060-92-0P 409061-00-3P 409061-29-6P 409061-33-2P 409061-34-3P 571186-34-0P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

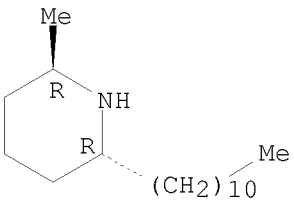
RN 32778-77-1 CAPLUS
CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 63950-17-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

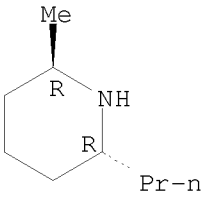
Relative stereochemistry.



● HCl

RN 175478-17-8 CAPLUS
CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

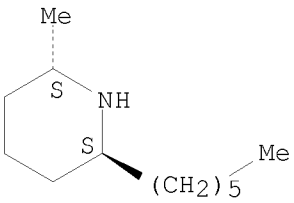
Relative stereochemistry.



● HCl

RN 409060-79-3 CAPLUS
CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

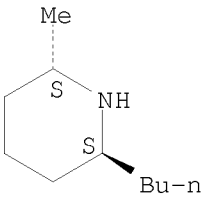
Relative stereochemistry.



● HCl

RN 409060-81-7 CAPLUS
CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

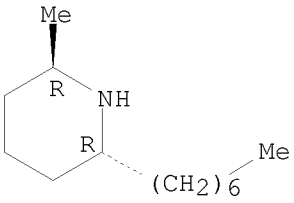
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS
CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

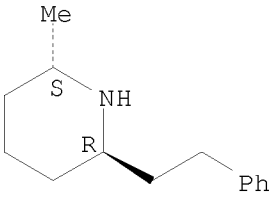
Relative stereochemistry.



● HCl

RN 409060-83-9 CAPLUS
CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

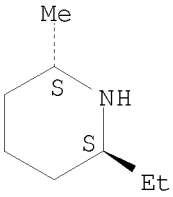
Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS
CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

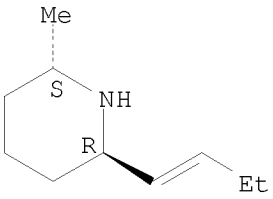
Relative stereochemistry.



● HCl

RN 409060-86-2 CAPLUS
CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

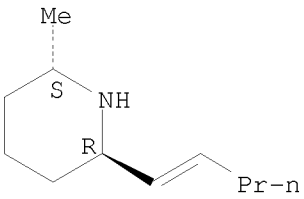
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-87-3 CAPLUS
CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

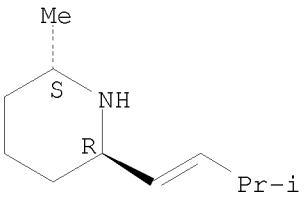
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS
CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

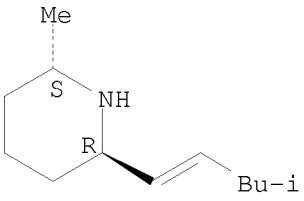
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS
CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

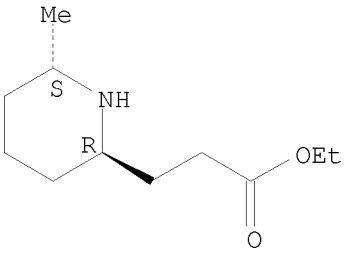
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS
CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

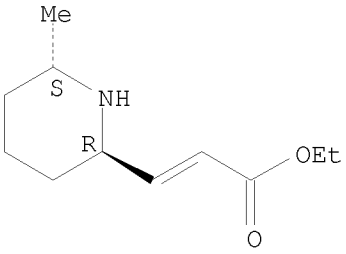
Relative stereochemistry.



● HCl

RN 409060-91-9 CAPLUS
CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

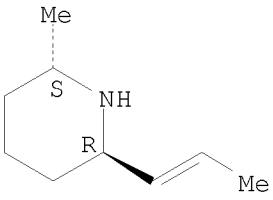


● HCl

RN 409060-92-0 CAPLUS
CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

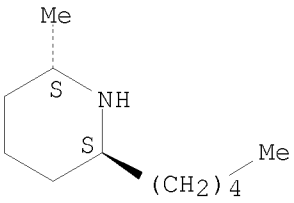
Double bond geometry unknown.



● HCl

RN 409061-00-3 CAPLUS
CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

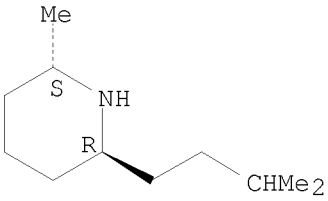
Relative stereochemistry.



● HCl

RN 409061-29-6 CAPLUS
CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

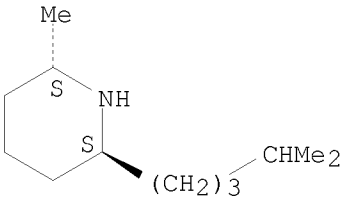
Relative stereochemistry.



● HCl

RN 409061-33-2 CAPLUS
CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

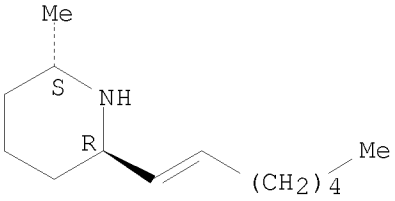
Relative stereochemistry.



● HCl

RN 409061-34-3 CAPLUS
CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

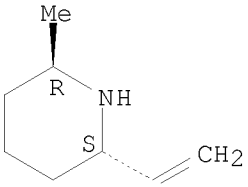
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



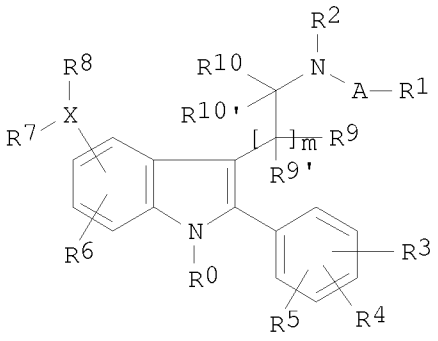
● HCl

L46 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:816108 CAPLUS
DOCUMENT NUMBER: 130:66389
TITLE: Preparation of indole derivatives as gonadotropin releasing hormone antagonists
INVENTOR(S): Goulet, Mark; Chu, Lin; Walsh, Thomas F.; Fisher, Michael H.; Girotra, Narindar N.; Wyvratt, Matthew J.; Lin, Peter; Ashton, Wallace T.

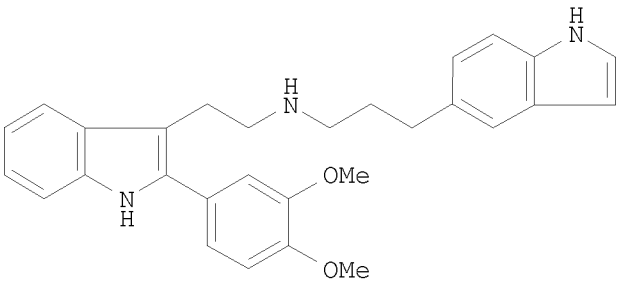
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 59 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849764	A	19981215	US 1996-760817	19961205

PRIORITY APPLN. INFO.: US 1996-760817 19961205
OTHER SOURCE(S): MARPAT 130:66389
GI



I



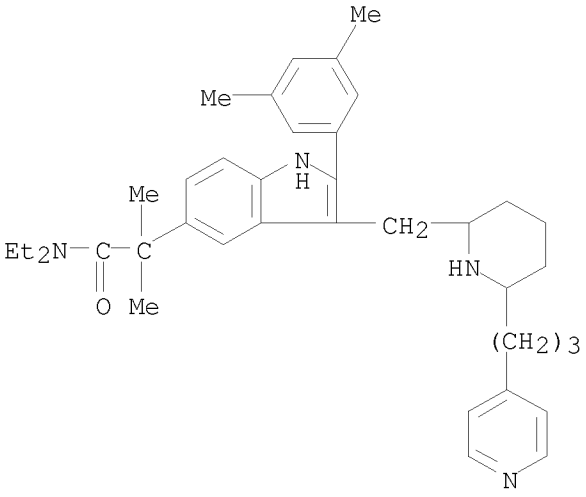
II

AB The title compds. I [A = (halo)alkyl, (un)substituted cycloalkyl, alkenyl, or alkynyl, alkoxy, alkylthio, alkoxyalkyl, bond, etc.; R0 = H, (un)substituted alkyl, aryl, or aralkyl; R1 = various (un)substituted heterocycles; R2 = H, (un)substituted alkyl, aralkyl, aryl, etc.; R2 and A may form 5- to 7-atom ring; R3, R4, R5 = H, (un)substituted alkyl or alkenyl, cyano, nitro, halo; R6 = H, (un)substituted alkyl, aryl, cyano, NO2, halo, etc.; R7 = H, (un)substituted alkyl, or is absent; R8 = H, CO2H or derivs., NH2 or derivs., OH or SH or derivs., etc.; or R7 and R8 form a C3-7 carbocyclic ring; R9, R9', R10, R10' = H, (un)substituted alkyl, aryl, or aralkyl; X = H, halo, N, O, S(O)0-2, CO, CH2, etc.; m = 0-3] (claimed) and similar compds. were prepared as antagonists of gonadotropin releasing hormone (no data). The compds. are thus useful for treatment of a variety of conditions including hormone-dependent cancers, benign prostatic hypertrophy, endometriosis, irritable bowel syndrome, etc. For instance, amidation of 3-(1H-indol-5-yl)propionic acid with 2-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethylamine using EDC and HOBT, and reduction of the amide product to a secondary amine using LiAlH4 in THF at

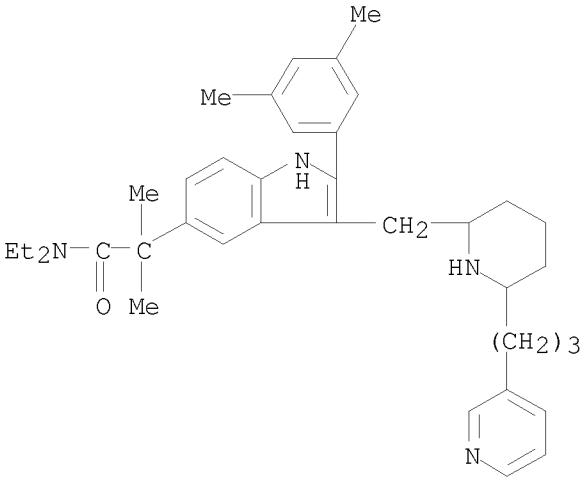
77°, gave the invention compound II.

IT 192717-09-2P 192717-10-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as gonadotropin releasing hormone antagonists)

RN 192717-09-2 CAPLUS
CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl-α,α-dimethyl-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



RN 192717-10-5 CAPLUS
CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl-α,α-dimethyl-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

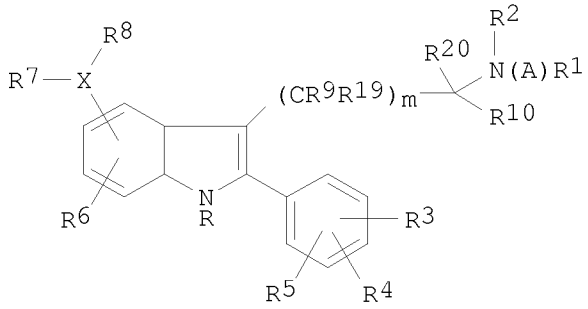
L46 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:511777 CAPLUS

DOCUMENT NUMBER: 127:121742
ORIGINAL REFERENCE NO.: 127:23485a,23488a
TITLE: Preparation of heterocyclic compounds as antagonists of gonadotropin releasing hormone
INVENTOR(S): Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

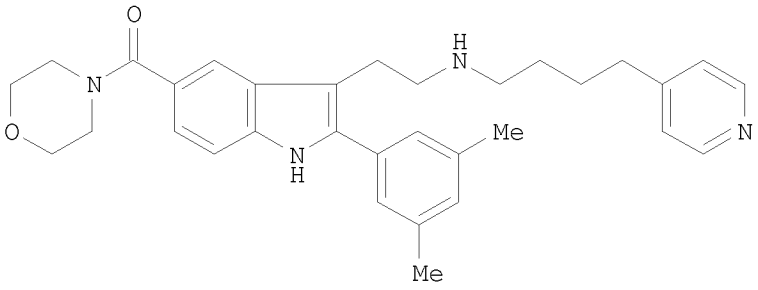
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721704	A1	19970619	WO 1996-US19444	19961210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240108	A1	19970619	CA 1996-2240108	19961210
AU 9714106	A	19970703	AU 1997-14106	19961210
AU 707641	B2	19990715		
EP 873336	A1	19981028	EP 1996-944249	19961210
EP 873336	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1208412	A	19990217	CN 1996-199872	19961210
JP 11506471	T	19990608	JP 1997-522124	19961210
JP 3230818	B2	20011119		
JP 2001106685	A	20010417	JP 2000-257791	19961210
HU 9903671	A2	20011028	HU 1999-3671	19961210
HU 9903671	A3	20011128		
AT 215081	T	20020415	AT 1996-944249	19961210
ES 2174129	T3	20021101	ES 1996-944249	19961210
ZA 9610536	A	19970814	ZA 1996-10536	19961213
NO 9802729	A	19980813	NO 1998-2729	19980612

PRIORITY APPLN. INFO.:			
US 1995-8633P	P	19951214	
GB 1996-3242	A	19960216	
JP 1997-522124	A3	19961210	
WO 1996-US19444	W	19961210	

OTHER SOURCE(S): MARPAT 127:121742
GI



I



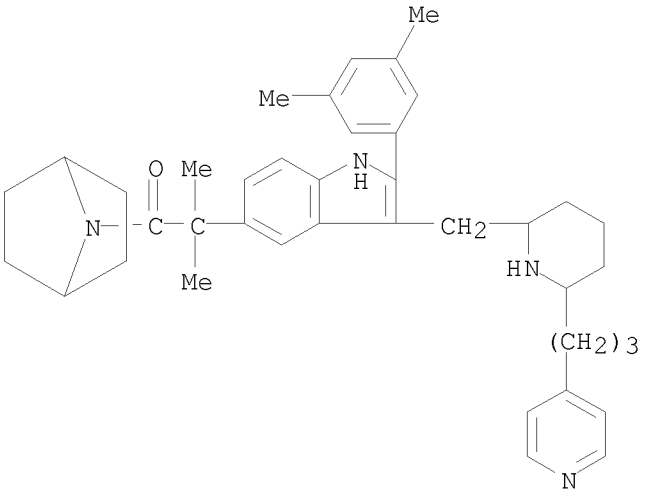
II

AB The title compds. I [A = alkyl, etc.; R = H, alkyl, etc.; R1 = heterocyclic ring (generic structures given); R2 = H, alkyl, etc.; or R2A = ring; R3, R4, R5 = H, (un)substituted alkyl, alkenyl, etc.; or R3R4 = ring; R6 = H, (un)substituted alkyl, etc.; R7 = H, (un)substituted alkyl; unless X is hydrogen or halo, then R7 is absent; R8 = heterocyclic ring, etc.; or R7R8 = heterocyclic ring; R9, R19 = H, (un)substituted alkyl; further details on R9R19 and R9A are given; R20, R10 = H, (un)substituted alkyl, etc.; further details on R20R10, and R9R20, R9R2, R20R2, R20A are given; m = 0 to 3; X = N, etc.], useful as antagonists of gonadotropin releasing hormone (no data), are prepared I may be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women. The title compound II was prepared in a multistep process.

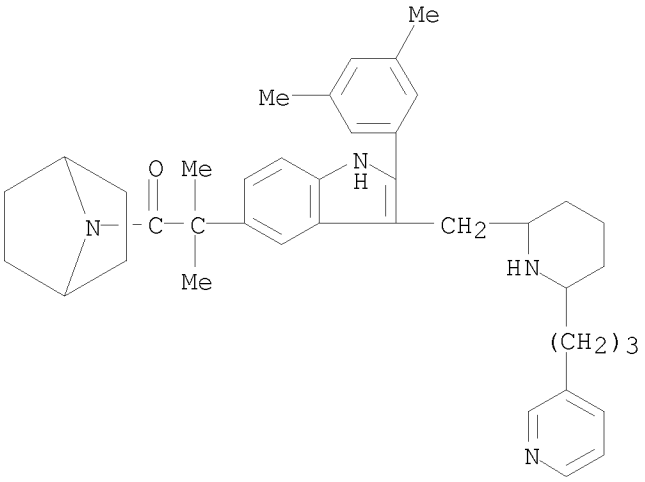
IT 192644-63-6P 192644-64-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as antagonists of gonadotropin releasing hormone)

RN 192644-63-6 CAPLUS

CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



RN 192644-64-7 CAPLUS
CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	147.45	1475.03

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.80	-64.00

STN INTERNATIONAL LOGOFF AT 14:50:41 ON 18 AUG 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTABMG1617

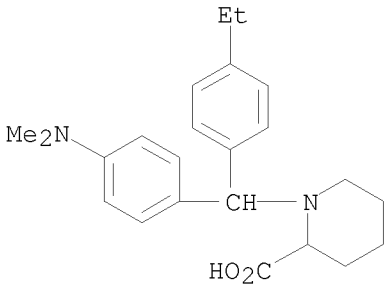
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAplus patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved
NEWS	26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	27	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	28	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	29	AUG 15	CAplus currency for Korean patents enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

```
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:51:31 ON 18 AUG 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:52:14 ON 18 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 AUG 2008  HIGHEST RN 1041629-70-2
DICTIONARY FILE UPDATES:  17 AUG 2008  HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s piperidine
L1      556824 PIPERIDINE

=> d l1

L1   ANSWER 1 OF 556824  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   1041285-64-6  REGISTRY
ED   Entered STN:  15 Aug 2008
CN   2-Piperidinecarboxylic acid, 1-[[4-(dimethylamino)phenyl](4-
     ethylphenyl)methyl]-  (CA INDEX NAME)
MF   C23 H30 N2 O2
SR   Other Sources
       Database: ChemDB (University of California Irvine)
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> d rsd

L1 ANSWER 1 OF 556824 REGISTRY COPYRIGHT 2008 ACS on STN

Ring System Data

Elemental Analysis EA	Elemental Sequence ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
C6	C6	6	C6	46.150.18	2
C5N	NC5	6	C5N	46.156.1	1

=> s 46.156.1/rid
L2 1442478 46.156.1/RID

=> s l2
L3 1442478 46.156.1/RID

=>
Uploading C:\Program Files\STNEXP\Queries\10502080 Broad4.str

L4 STRUCTURE UPLOADED

=> s sub=l3 and l4
MISSING TERM BEFORE 'AND'
Search expressions cannot begin with operators.

=> s sub=l3 sam l4
SAMPLE SUBSET SEARCH INITIATED 14:53:53 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 4187 TO ITERATE

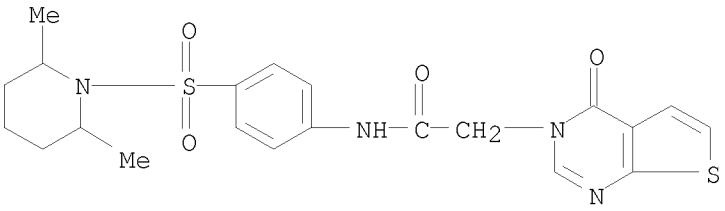
47.8% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 79860 TO 87620
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 10861 TO 13841

L5 50 SEA SUB=L3 SSS SAM L4

=> d scan

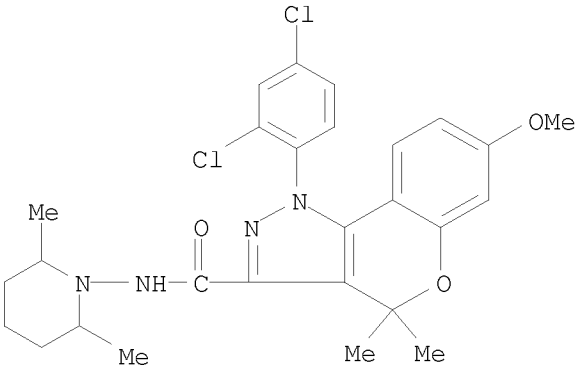
L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Thieno[2,3-d]pyrimidine-3(4H)-acetamide, N-[4-[(2,6-dimethyl-1-piperidinyl)sulfonyl]phenyl]-4-oxo-
MF C21 H24 N4 O4 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

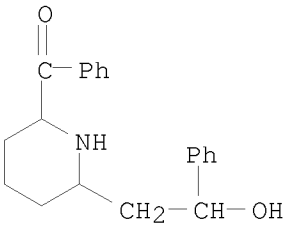
L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN [1]Benzopyrano[4,3-c]pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-(2,6-dimethyl-1-piperidinyl)-1,4-dihydro-7-methoxy-4,4-dimethyl-
MF C27 H30 Cl2 N4 O3
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

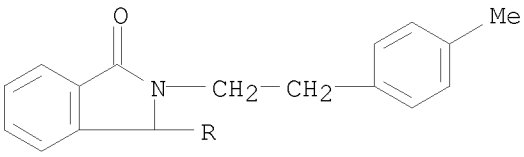
L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Methanone, [6-(2-hydroxy-2-phenylethyl)-2-piperidinyl]phenyl-
MF C20 H23 N O2

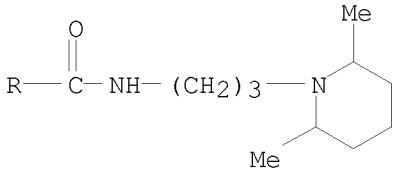


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1H-Isoindole-1-carboxamide, N-[3-(2,6-dimethyl-1-piperidinyl)propyl]-2,3-dihydro-2-[2-(4-methylphenyl)ethyl]-3-oxo-
MF C28 H37 N3 O2

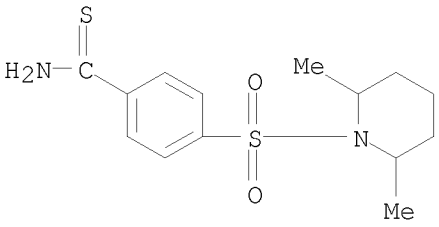




PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenecarbothioamide, 4-[(2,6-dimethyl-1-piperidinyl)sulfonyl]-
MF C14 H20 N2 O2 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	21.11	21.32

Connection closed by remote host

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTABMG1617

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 4	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 5	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 6	FEB 10	COMPENDEX reloaded and enhanced
NEWS 7	FEB 11	WTEXTILES reloaded and enhanced
NEWS 8	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 9	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 10	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 11	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 12	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 13	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters

```
 NEWS 14  FEB 25  USGENE enhanced with patent family and legal status
                  display data from INPADOCDB
 NEWS 15  MAR 06  INPADOCDB and INPAFAMDB enhanced with new display
                  formats
 NEWS 16  MAR 11  EPFULL backfile enhanced with additional full-text
                  applications and grants
 NEWS 17  MAR 11  ESBIOBASE reloaded and enhanced
 NEWS 18  MAR 20  CAS databases on STN enhanced with new super role
                  for nanomaterial substances
 NEWS 19  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China
 NEWS 20  MAR 30  IMSPATENTS reloaded and enhanced
 NEWS 21  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced
 NEWS 22  APR 07  STN is raising the limits on saved answers
 NEWS 23  APR 24  CA/CAplus now has more comprehensive patent assignee
                  information
 NEWS 24  APR 26  USPATFULL and USPAT2 enhanced with patent
                  assignment/reassignment information
 NEWS 25  APR 28  CAS patent authority coverage expanded
 NEWS 26  APR 28  ENCOMPLIT/ENCOMPLIT2 search fields enhanced
 NEWS 27  APR 28  Limits doubled for structure searching in CAS
                  REGISTRY
 NEWS 28  MAY 08  STN Express, Version 8.4, now available
 NEWS 29  MAY 11  STN on the Web enhanced
 NEWS 30  MAY 11  BEILSTEIN substance information now available on
                  STN Easy
 NEWS 31  MAY 14  DGENE, PCTGEN and USGENE enhanced with increased
                  limits for exact sequence match searches and
                  introduction of free HIT display format
 NEWS 32  MAY 15  INPADOCDB and INPAFAMDB enhanced with Chinese legal
                  status data

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN customer
  agreement.  This agreement limits use to scientific research.  Use
  for software development or design, implementation of commercial
  gateways, or use of CAS and STN data in the building of commercial
  products is prohibited and may result in loss of user privileges
  and other penalties.

* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:36:13 ON 20 MAY 2009

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'REGISTRY' ENTERED AT 12:36:24 ON 20 MAY 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)
```

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2009 HIGHEST RN 1147182-17-9
DICTIONARY FILE UPDATES: 18 MAY 2009 HIGHEST RN 1147182-17-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

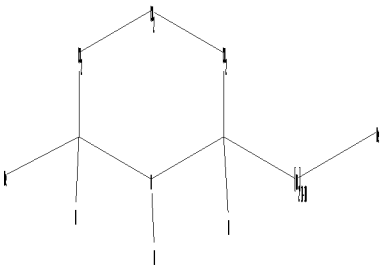
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

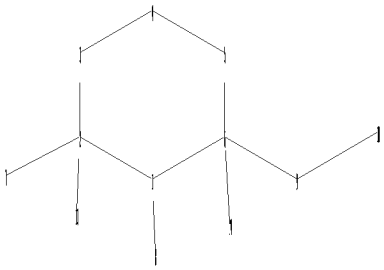
  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10502080.str



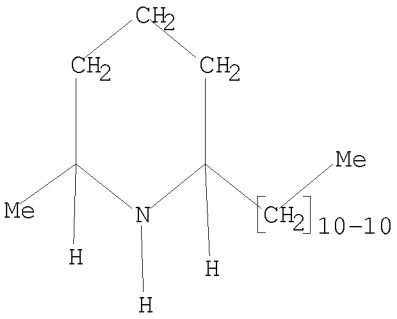


chain nodes :
7 8 9 10 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
1-8 2-7 2-13 6-9 6-14 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact bonds :
1-8 2-7 2-13 6-9 6-14 9-10

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
 13:CLASS 14:CLASS

L1   STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1   STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 sss full
FULL SEARCH INITIATED 12:36:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 20092 TO ITERATE

100.0% PROCESSED 20092 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

L2 15 SEA SSS FUL L1

=> file cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	185.88	186.10

FILE 'CAPLUS' ENTERED AT 12:36:50 ON 20 MAY 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 20 May 2009 VOL 150 ISS 21
FILE LAST UPDATED: 19 May 2009 (20090519/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

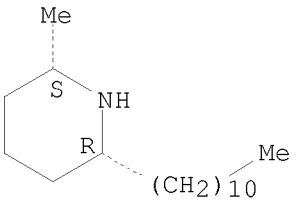
CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate

```
=> s L2
L3          104 L2

=> s L2/BIOL
            104 L2
        7806961 BIOL/RL
L4           28 L2/BIOL
                 (L2 (L) BIOL/RL)

=> d L4 1-28 ibib abs hitstr

L4   ANSWER 1 OF 28  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2008:1430102  CAPLUS
DOCUMENT NUMBER:          150:10964
TITLE:                    Method for treating oleoresin induced allergic
                          dermatitis by topical contacting with
                          anti-inflammatory biopolymers such as albumin
INVENTOR(S):              Yarborough, Cody L.
PATENT ASSIGNEE(S):       Boval Company, L.P., USA
SOURCE:                   U.S. Pat. Appl. Publ., 6pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     US 20080292682        A1    20081127    US 2007-754052          20070525
PRIORITY APPLN. INFO.:                       US 2007-754052          20070525
AB   A method for treating oleoresin induced allergic dermatitis by topically
     contacting an affected area with a therapeutically effective amount of one
     or more biopolymers for a sufficient amount of time to enable the one or
     more biopolymers to have an effect and removing the one or more
     biopolymers from the affected area.  The oleoresin can be urushiol,
     isosolenopsin A, or a combination thereof.  The one or more biopolymer can
     be albumin.  The one or more biopolymers can provide a localized
     anti-inflammatory effect.  Thus, Formulation for treating allergic
     dermatitis resulting from exposure to urushiol from poison ivy comprised
     (in wt%): water 88.6, bovine serum albumin 4.1, disodium ethylenediamine
     tetraacetate 0.1, Me paraben 0.2, hydroxypropylcellulose 1.0, polyethylene
     glycol 4.0, glycerin 2.0.
IT   35285-24-6, Isosolenopsin A
     RL: ADV (Adverse effect, including toxicity); BIOL (Biological
     study)
        (method for treating oleoresin induced allergic dermatitis by topical
        contacting with anti-inflammatory biopolymers such as albumin)
RN   35285-24-6  CAPLUS
CN   Piperidine, 2-methyl-6-undecyl-, (2S,6R)-  (CA INDEX NAME)

Absolute stereochemistry.  Rotation (-).
```



L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:71279 CAPLUS
DOCUMENT NUMBER: 148:152060
TITLE: Composition comprising piperidine alkaloid for treating neurological disorders and enhancing physical performance
INVENTOR(S): Dorsey, Denis; Kindy, Mark S.
PATENT ASSIGNEE(S): Synapsin Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 30pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008008720	A2	20080117	WO 2007-US73018	20070709
WO 2008008720	A3	20081113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007272619	A1	20080117	AU 2007-272619	20070709
AU 2007272619	A2	20090219		
CA 2657256	A1	20080117	CA 2007-2657256	20070709
EP 2043642	A2	20090408	EP 2007-812708	20070709
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

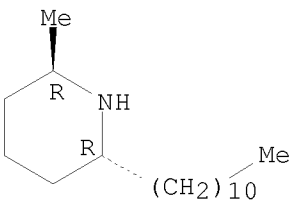
PRIORITY APPLN. INFO.: US 2006-806887P P 20060710
WO 2007-US73018 W 20070709
OTHER SOURCE(S): MARPAT 148:152060

AB This invention relates to piperidine alkaloids found in fire ant venom (Solenopsis invicta) and uses thereof in neurol. disorders and phys. enhancement applications.

IT 28720-60-7, trans-2-Methyl-6-undecylpiperidine 35285-24-6, cis-2-Methyl-6-undecylpiperidine 83709-88-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods relating to solenopsins and their uses in treating neurol. disorders and enhancing phys. performance)

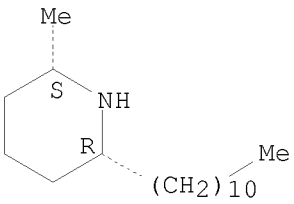
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

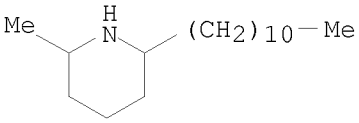


RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 83709-88-0 CAPLUS
CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



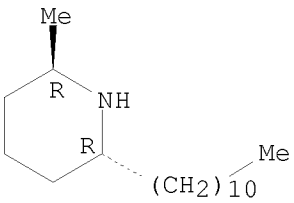
L4 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:288798 CAPLUS
DOCUMENT NUMBER: 147:419553
TITLE: Solenopsin, the alkaloidal component of the fire ant (Solenopsis invicta), is a naturally occurring inhibitor of phosphatidylinositol-3-kinase signaling and angiogenesis
AUTHOR(S): Arbiser, Jack L.; Kau, Tweeny; Konar, Martha; Narra, Krishna; Ramchandran, Ramani; Summers, Scott A.; Vlahos, Chris J.; Ye, Keqiang; Perry, Betsy N.; Matter, William; Fischl, Anthony; Cook, James; Silver, Pamela A.; Bain, Jenny; Cohen, Philip; Whitmire, David; Furness, Scott; Govindarajan, Baskaran; Bowen, J. Phillip
CORPORATE SOURCE: Department of Dermatology, Emory University School of Medicine, Atlanta, GA, USA
SOURCE: Blood (2007), 109(2), 560-565
CODEN: BLOOAW; ISSN: 0006-4971
PUBLISHER: American Society of Hematology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Phosphatidylinositol-3-kinase (PI3K), and its downstream effector Akt, or protein kinase Bα (PKBα), play a major regulatory role in control of apoptosis, proliferation, and angiogenesis. PI3K and Akt are amplified or overexpressed in a number of malignancies, including sarcomas, ovarian cancer, multiple myeloma, and melanoma. This pathway regulates production of the potent angiogenic factor vascular endothelial growth factor (VEGF), and protects tumor cells against both chemotherapy and reactive oxygen-induced apoptosis through phosphorylation of substrates such as apoptotic peptidase-activating factor-1 (APAF-1), forkhead proteins, and caspase 9. Given its diverse actions, compds. that suppress the PI3K/Akt pathway have potential pharmacol. utility as angiogenesis inhibitors and antineoplastic agents. Using the SVR angiogenesis assay, a screen of natural products, we isolated the alkaloid solenopsin, and found that it is a potent angiogenesis inhibitor. We also found that solenopsin inhibits the PI3K signaling pathway in cells upstream of PI3K, which may

underlie its affects on angiogenesis. Consistent with inhibition of the activation of PI3K, solenopsin prevented the phosphorylation of Akt and the phosphorylation of its substrate forkhead box 01a (FOXO1a), a member of the forkhead family of transcription factors. Interestingly, solenopsin also inhibited Akt-1 activity in an ATP-competitive manner in vitro without affecting 27 of 28 other protein kinases tested.

IT 28720-60-7P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solenopsin from fire ant is a naturally occurring inhibitor of PI3K signaling and angiogenesis)

RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



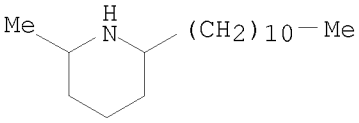
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:584143 CAPLUS
DOCUMENT NUMBER: 146:182892
TITLE: Alkaloids of anuran skin: antimicrobial function?
AUTHOR(S): Macfoy, Cyrus; Danosus, Douglas; Sandit, Raj; Jones, Tappey H.; Garraffo, H. Martin; Spande, Thomas F.; Daly, John W.
CORPORATE SOURCE: Biology Department, American University, Washington, DC, USA
SOURCE: Zeitschrift fuer Naturforschung, C: Journal of Biosciences (2005), 60(11/12), 932-937
CODEN: ZNCBDA; ISSN: 0939-5075
PUBLISHER: Verlag der Zeitschrift fuer Naturforschung
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A variety of alkaloids, most of which occur or are structurally related to alkaloids that occur in skin glands of dendrobatid poison frogs, were assayed for antimicrobial activity against the Gram-pos. bacterium Bacillus subtilis, the Gram-neg. bacterium Escherichia coli and the fungus Candida albicans. Certain pyrrolidines, piperidines and decahydroquinolines, perhydro-histrionicotoxin, and a synthetic pumiliotoxin were active against B. subtilis. Only 2-n-nonylpiperidine was active against E. coli. One pyrrolidine, two piperidines, two decahydroquinolines, and the synthetic pumiliotoxin were active against the fungus C. albicans. The results suggest that certain of the skin alkaloids of poison frogs, in addition to being noxious to predators, may also benefit the frog through protection against skin infections.

IT 83709-88-0
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial function of alkaloids of anuran skin)

RN 83709-88-0 CAPLUS
CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



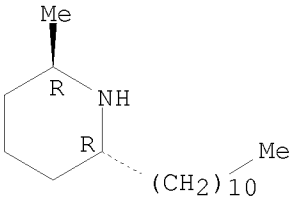
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:321395 CAPLUS
DOCUMENT NUMBER: 142:405849
TITLE: Cardiodepressant and neurologic actions of Solenopsis invicta (imported fire ant) venom alkaloids
AUTHOR(S): Howell, George; Butler, Jordan; de Shazo, Richard D.; Farley, Jerry M.; Liu, Hui-Ling; Nanayakkara, N. P. D.; Yates, Anne; Yi, Gene B.; Rockhold, Robin W.
CORPORATE SOURCE: Department of Pharmacology and Toxicology, University of Mississippi Medical Center, Jackson, MS, USA
SOURCE: Annals of Allergy, Asthma, & Immunology (2005), 94(3), 380-386
CODEN: ALAIF6; ISSN: 1081-1206
PUBLISHER: American College of Allergy, Asthma, & Immunology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors hypothesized that the alkaloid compds. that are the majority components of fire ant (S. invicta) venom are capable of producing cardiovascular and central nervous system toxic effects in mammals. The objective was to evaluate the toxic effects of synthetic S. invicta alkaloids in rodent models. Cardiovascular effects of i.v. injection of the racemic (±)-cis- and trans-isomers of 2-methyl-6-n-undecylpiperidine (isosolenopsin A and solenopsin A, resp.) were evaluated in anesthetized, gallamine-paralyzed rats who had received artificial ventilation and in isolated, perfused rat hearts. (±)-Solenopsin A dose dependently (3-30 mg/kg [10-104 μmol/kg]) depressed cardiovascular function. Maximal percent changes following injection of 30 mg/kg were -42.96% ± 5.8% for blood pressure, -29.13% ± 3.6% for heart rate, and -43.5% ± 9.2% for left ventricular contractility (dP/dt). (±)-Isosolenopsin A (3-15 mg/kg [10-52 μmol/kg]) produced responses similar to those seen with the corresponding doses of solenopsin A. In conscious, spontaneously breathing rats, solenopsin A (30 mg/kg i.v.) caused seizures, respiratory arrest, and death. Infusion of working, isolated, perfused hearts with solenopsin A reduced contractile function (dP/dt) at 10 μM and caused cardiac arrest at 100 μM. Thus, 2 alkaloid components of imported fire ant venom possess robust cardiorespiratory depressant activity and elicit seizures in the rat. Such effects identify these alkaloids as toxic compds. in biol. systems and may explain the cardiorespiratory failure noted in some individuals who experience massive fire ant stings.

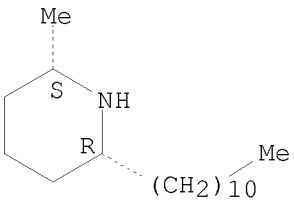
IT 28720-60-7, (±)-Solenopsin A 63950-16-3, (±)-Isosolenopsin A
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(cardiodepressant and neurol. actions of Solenopsis invicta venom alkaloids)
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 63950-16-3 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



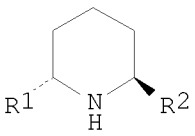
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:590960 CAPLUS
DOCUMENT NUMBER: 139:149804
TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors
INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.
PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

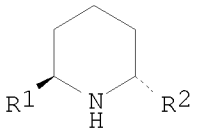
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050038071	A1	20050217	US 2004-502080	20041008

PRIORITY APPLN. INFO.:		
US 2002-351880P	P	20020125
WO 2003-US2105	W	20030124

OTHER SOURCE(S): MARPAT 139:149804
GI



I



II

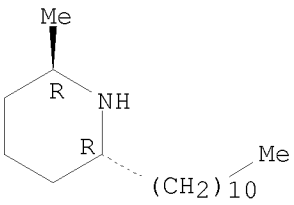
AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylatio with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 μg/mL).

IT 63950-17-4P, (±)-Solenopsin A hydrochloride
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

RN 63950-17-4 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

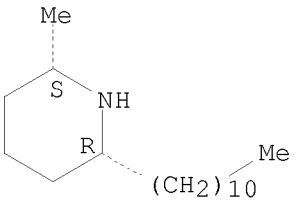
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:281716 CAPLUS
DOCUMENT NUMBER: 139:241528
TITLE: Fire Ant Venom Alkaloid, Isosolenopsin A, a Potent and

Selective Inhibitor of Neuronal Nitric Oxide Synthase

AUTHOR(S): Yi, G. B.; McClendon, D.; Desaiah, D.; Goddard, J.; Lister, A.; Moffitt, J.; Vander Meer, R. K.; Deshazo, R.; Lee, K. S.; Rockhold, R. W.
CORPORATE SOURCE: University of Mississippi Medical Center, Jackson, MS, 39216-4505, USA
SOURCE: International Journal of Toxicology (2003), 22(2), 81-86
CODEN: IJTOFN; ISSN: 1091-5818
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Massive, multiple fire ant, Solenopsis invicta, stings are often treated aggressively, particularly in the elderly, despite limited evidence of systemic toxicity due to the venom. Over 95% of the S. invicta venom is composed of piperidine alkaloid components, whose toxicity, if any, is unknown. To assess a possible pharmacol. basis for systemic toxicity, an alkaloid-rich, protein-free methanol extract of the venom from whole ants was assayed for inhibitory activity on the following nitric oxide synthase (NOS) isoforms, rat cerebellar neuronal (nNOS), bovine recombinant endothelial (eNOS), and murine recombinant immunol. (iNOS). Cytosolic NOS activity was determined by measuring the conversion of [3H]arginine to [3H]citrulline in vitro. Rat nNOS activity was inhibited significantly and in a concentration-dependent manner by the alkaloid-rich venom extract For nNOS, enzyme activity was inhibited by approx. 50% with 0.33 μg of this venom extract, and over 95% inhibition of the three isoforms, nNOS, eNOS, and iNOS, was found with doses of 60 μg in 60-μl reaction mixture These results indicate that the alkaloid components of S. invicta venom can produce potent inhibition of all three major NOS isoforms. Isosolenopsin A (cis-2-methyl-6-undecylpiperidine), a naturally occurring fire ant piperidine alkaloid, was synthesized and tested for inhibitory activity against the three NOS isoforms. Enzyme activities for nNOS and eNOS were over 95% inhibited with 1000 μM of isosolenopsin A, whereas the activity of iNOS was inhibited by only about 20% at the same concentration The IC50 for each of three NOS isoforms was approx. 18 μM for nNOS, 156 μM for eNOS, and >1000 μM for iNOS, resp. Kinetic studies showed isosolenopsin A inhibition to be noncompetitive with L-arginine (Ki = 19 μM). The potency of isosolenopsin A as an inhibitor of nNOS compares favorably with the inhibitory potency of widely used nNOS inhibitors. Inhibition of NOS isoforms by isosolenopsin A and structurally similar compds. may have toxicol. significance with respect to adverse reactions to fire ant stings.
IT 35285-24-6P, Isosolenopsin A
RL: ADV (Adverse effect, including toxicity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(isosolenopsin A is a potent and selective inhibitor of neuronal nitric oxide synthase)
RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



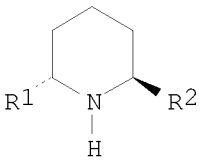
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

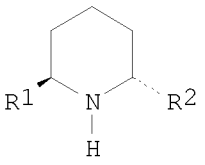
L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:271982 CAPLUS
DOCUMENT NUMBER: 136:294967
TITLE: Preparation of solenopsin derivatives and analogues as fire ant suppressants
INVENTOR(S): Bowen, J. Phillip; Furness, M. Scott; Whitmire, David
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6369078	B1	20020409	US 2000-650257		20000829
PRIORITY APPLN. INFO.:			US 1999-151724P	P	19990831

OTHER SOURCE(S): MARPAT 136:294967
GI



I

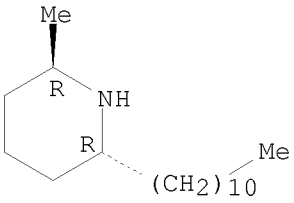


II

AB Solenopsin alkaloid derivs., such as I or II [R1 = C1 to C20 (un)saturated, linear, cyclic or branch-chained (un)substituted alkyl; (un)substituted aromatic, ester], and salts thereof, were prepared for their use as inhibitors of the biosynthesis of the venom of fire ants and/or insecticides. Thus, solenopsin hydrochloride II [R1 = Me, R2 = (CH2)10Me].HCl was prepared via a multistep synthetic sequence starting from 1-bromoundecane, 4-chloropyridine hydrochloride and iodomethane.

IT 63950-17-4P, (±)-Solenopsin A hydrochloride
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of solenopsin derivs. and analogs as fire ant suppressants)
RN 63950-17-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

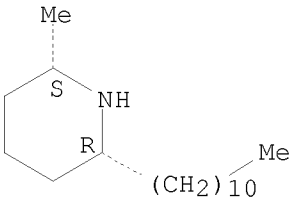


● HCl

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:32567 CAPLUS
DOCUMENT NUMBER: 136:229621
TITLE: Behavioral and chemical analysis of venom gland secretion of queens of the ant Solenopsis geminata
AUTHOR(S): Cruz-Lopez, Leopoldo; Rojas, Julio C.; De La Cruz-Cordero, Ricardo; Morgan, E. David
CORPORATE SOURCE: El Colegio de la Frontera Sur, Tapachula, Mex.
SOURCE: Journal of Chemical Ecology (2001), 27(12), 2437-2445
CODEN: JCECD8; ISSN: 0098-0331
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Bioassays in a Y-tube olfactometer showed that workers of Solenopsis geminata (Hymenoptera: Formicidae) were attracted to venom gland exts. of queens. Gas chromatog. coupled mass spectrometry anal. of individual glands of queens of S. geminata showed that the secretion is composed mainly of a large amount of 2-alkyl-6-methylpiperidine alkaloids and a tiny amount of a δ-lactone and a α-pyrone, which have been earlier identified as components of the queen attractant pheromone of Solenopsis invicta Buren. However, addnl. small amts. of a mixture of sesquiterpenes and pentadecene were found. The possible function of the sesquiterpenoid compds. is discussed.
IT 35285-24-6, cis-2-Methyl-6-undecylpiperidine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(behavioral and chemical anal. of venom gland secretion of queens of ant)
RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:723698 CAPLUS

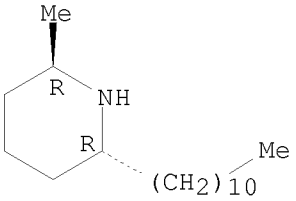
DOCUMENT NUMBER: 126:57550
ORIGINAL REFERENCE NO.: 126:11267a
TITLE: Defensive alkaloids from ants
AUTHOR(S): Braekman, J. C.; Daloze, D.
CORPORATE SOURCE: Lab. Bio-Organic Chem., Univ. Brussels, Brussels, B1050, Belg.
SOURCE: Journal of the Brazilian Chemical Society (1996), 7(4), 251-256
CODEN: JOCSET; ISSN: 0103-5053
PUBLISHER: Sociedade Brasileira de Quimica
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 25 refs. The constituents of the poison gland in ants have been the subject of many investigations, and it has been demonstrated that they are usually proteinaceous. However, in some group of ants, these venom proteins have been superceded by cyclic alkaloids acting as defensive substances. Two groups of ant alkaloids, namely the solenopsins and the tetraponerines, are presented. The solenopsins are a group of 2,6-dialkylpiperidines produced by "fire ants" (Solenopsis spp), which are significant pests in many parts of the southern United States. The tetraponerines are diaminated tricyclic alkaloids isolated from the venom of the new Guinean ant, Tetraponera sp. The elucidation of the biosynthetic pathways of both groups of alkaloids is discussed.

IT 137038-57-4, trans-Solenopsin A 137038-58-5, cis-Solenopsin A
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(defensive alkaloids from ants)

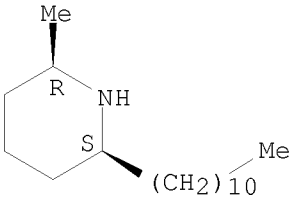
RN 137038-57-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 137038-58-5 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

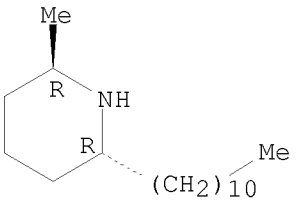


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 28 CAPLUS
ACCESSION NUMBER: 1996:337437 CAPLUS
DOCUMENT NUMBER: 125:82295

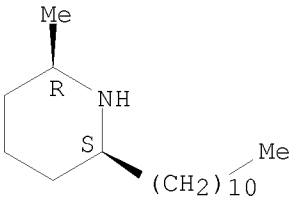
ORIGINAL REFERENCE NO.: 125:15475a,15478a
TITLE: Biosynthesis of the solenopsins, venom alkaloids of the fire ants
AUTHOR(S): Leclercq, S.; Braekman, J. C.; Daloze, D.; Pasteels, J. M.; Van der Meer, R. K.
CORPORATE SOURCE: Lab. - Bio Organic Chem., Fac. Sci., Univ. Brussels, Brussels, B-1050, Belg.
SOURCE: Naturwissenschaften (1996), 83(5), 222-225
CODEN: NATWAY; ISSN: 0028-1042
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Feeding expts. and anal. of solenopsin A degradation products were used to confirm the polyacetate origin of cis- and trans-solenopsin A in fire ants.
IT 137038-57-4, trans-Solenopsin A 137038-58-5, cis-Solenopsin A
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(biosynthesis of the solenopsins, venom alkaloids of the fire ants)
RN 137038-57-4 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 137038-58-5 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



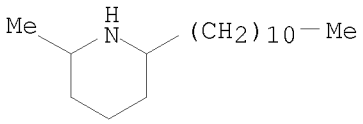
L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:207238 CAPLUS
DOCUMENT NUMBER: 118:207238
ORIGINAL REFERENCE NO.: 118:35525a,35528a
TITLE: A new dialkylpiperidine in the venom of the fire ant Solenopsis invicta
AUTHOR(S): Blum, Murray S.; Fales, Henry M.; Leadbetter, Graham; Leonhardt, Barbara A.; Duffield, Richard M.
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Atlanta, GA, 30602, USA
SOURCE: Journal of Natural Toxins (1992), 1(2), 57-63
CODEN: JNTOER; ISSN: 1058-8108
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Addnl. alkaloids have been identified in the venom of workers of the fire ant S. invicta. trans-2-Methyl-6-((Z)-8-heptadecenyl)piperidine is the third unsatd. analog detected as a poison gland product. trans-2-Methyl-6-heptadecylpiperidine accompanies the unsatd. nitrogen heterocycle. The structures of both compds. were established by unambiguous synthesis. These alkaloids are present in the venoms of all Solenopsis populations analyzed.

IT 83709-88-0
RL: BIOL (Biological study)
(in venom, of ant)

RN 83709-88-0 CAPLUS

CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:136057 CAPLUS

DOCUMENT NUMBER: 114:136057

ORIGINAL REFERENCE NO.: 114:22917a,22920a

TITLE: Method and composition using solenopsine A or solenopsines A and B or Solenopsis invicta whole body extract for treating parasitic infestation in animals

INVENTOR(S): Rehmert, Chalmer V., Jr.

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 10 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

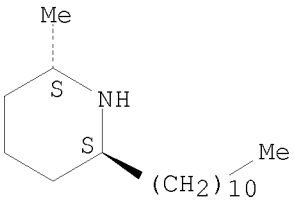
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9007274	A1	19900712	WO 1990-US78	19900103
W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 4910209	A	19900320	US 1989-293365	19890104
US 5075320	A	19911224	US 1989-429977	19891101
AU 9049519	A	19900801	AU 1990-49519	19900103
US 5098914	A	19920324	US 1991-656188	19910307
PRIORITY APPLN. INFO.:			US 1989-293365 A2	19890104
			US 1989-429977 A2	19891101
			WO 1990-US78 A	19900103

AB A method and composition for treatment of parasitic infestation in animals, including humans, comprises oral administration over several days of solenopsine A or solenopsine A and B, or a whole body extract of the imported red fire ant, S. invicta. Administration of solenopsine A in an oral dosage form or the whole body extract over 1-11 days with regular booster dosages disseminates the composition through the blood and tissue fluids of the treated animals, resulting in the elimination of blood- and tissue fluid-feeding parasites. Thus, treatment of infested animals with solenopsine A in an oral dosage form resulted in nearly 100% elimination of blood- and tissue fluid-feeding parasites. More units of solenopsine A were required for effective treatment than when S. invicta whole body extract

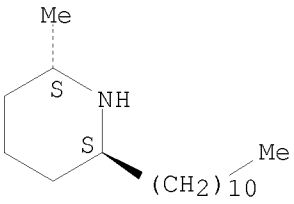
was used.
IT 35285-25-7 35285-25-7D, derivs. 132903-10-7
RL: BIOL (Biological study)
(parasiticide)
RN 35285-25-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 35285-25-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

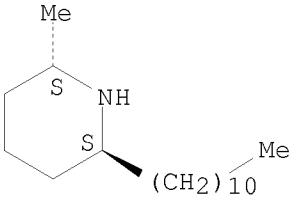


RN 132903-10-7 CAPLUS
CN Piperidine, 2-methyl-6-tridecyl-, (2R-trans)-, mixt. with
(2S-trans)-2-methyl-6-undecylpiperidine (9CI) (CA INDEX NAME)

CM 1

CRN 35285-25-7
CMF C17 H35 N

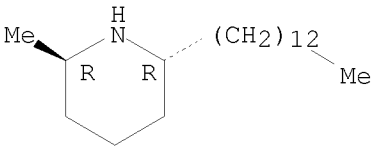
Absolute stereochemistry. Rotation (+).



CM 2

CRN 32778-77-1
CMF C19 H39 N

Absolute stereochemistry.



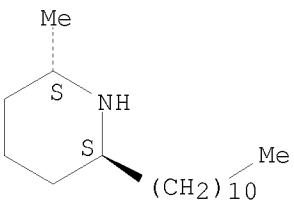
L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:465222 CAPLUS
DOCUMENT NUMBER: 113:65222
ORIGINAL REFERENCE NO.: 113:10907a,10910a
TITLE: Solenopsis invicta venom piperidine alkaloids for treating parasitic infestation of animals
INVENTOR(S): Rehmert, Chalmer V., Jr.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4910209	A	19900320	US 1989-293365	19890104
US 5075320	A	19911224	US 1989-429977	19891101
CA 2006952	A1	19900704	CA 1989-2006952	19891229
WO 9007274	A1	19900712	WO 1990-US78	19900103
W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9049519	A	19900801	AU 1990-49519	19900103
PRIORITY APPLN. INFO.:			US 1989-293365 A2	19890104
			US 1989-429977 A	19891101
			WO 1990-US78 A	19900103

AB Parasitic infestation of animals is treated by oral administration of a piperidine alkaloid composition containing solenopsins A and B. The alkaloids are obtained from the venom of Solenopsis invicta but whole body exts. can be used in capsules.

IT 35285-25-7, Solenopsin A
RL: BIOL (Biological study)
(of Solenopsis invicta venom, as parasiticide for animals)
RN 35285-25-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

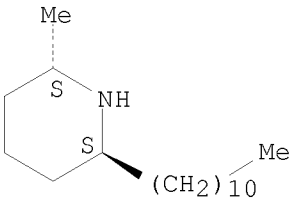
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:129740 CAPLUS
DOCUMENT NUMBER: 108:129740
ORIGINAL REFERENCE NO.: 108:21260h,21261a
TITLE: Crossed immunoelectrophoretic studies of whole body extracts and venom from the imported fire ant Solenopsis invicta
AUTHOR(S): Butcher, Brian T.; Reed, Margaret A.
CORPORATE SOURCE: Med. Cent., Tulane Univ., New Orleans, LA, 70112, USA
SOURCE: Journal of Allergy and Clinical Immunology (1988), 81(1), 33-40
CODEN: JACIBY; ISSN: 0091-6749
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Although allergic reactions occur after imported fire ant (IFA) sting, currently, only IFA whole body extract (IFAWBE) is available for diagnosis and immunotherapy of IFA-sensitive individuals. Here are reported crossed immunoelectrophoretic studies comparing antigenicity and allergenicity of S. invicta IFAWBE and IFA venom (IFAV). Rabbits were hyperimmunized with IFAWBE prepared from S. invicta or with IFAV obtained from S. invicta by an elec. shock method. Crossed immunoelectrophoresis with anti-IFAWBE detected at least 29 precipitin lines in IFAWBE and 3 lines in IFAV, but none in a synthetic venom, transpiperidine. Anti-IFAV detected 6 precipitin lines in IFAV and 5 lines in IFAWBE, but no lines were detected with transpiperidine. Cross-line immunoelectrophoresis confirmed the IFAV origin of at least 3 of the peaks in IFAWBE. Crossed radioimmunoelectrophoresis with 11 IFAWBE RAST-pos. sera elicited radiostaining with 5 antigens in IFAWBE that were probably IFAV associated One of these allergens was recognized by all sera; the other allergens were recognized by 8, 7, 5, and 4, resp., of the 11 sera. Four of the antigens present in IFAV prepns. had allergenicity. These findings indicate that IFA allergens probably originate in IFAV, but that transpiperidine, a major constituent of IFAV, does not appear to be immunogenic. IFAV may be a more appropriate reagent than IFAWBE for laboratory testing and for clin. diagnosis and immunotherapy of IFA-sensitive individuals.
IT 35285-25-7
RL: BIOL (Biological study)
(allergens of fire ant comparison with)
RN 35285-25-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

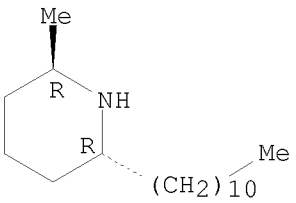
Absolute stereochemistry. Rotation (+).



L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:591173 CAPLUS
DOCUMENT NUMBER: 103:191173
ORIGINAL REFERENCE NO.: 103:30669a,30672a
TITLE: Poison gland products of Solenopsis and Monomorium species
AUTHOR(S): Blum, M. S.; Jones, T. H.; Lloyd, H. A.; Fales, H. M.;

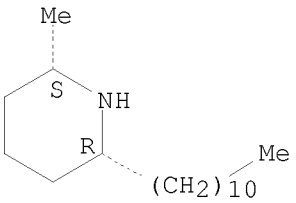
Snelling, R. R.; Lubin, Y.; Torres, J.
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, 30602, USA
SOURCE: Journal of Entomological Science (1985), 20(2), 254-7
CODEN: JESCEP; ISSN: 0749-8004
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2-Alkyl-6-methylpiperidines have been identified in the venoms of workers of 5 species of ants in the genus Solenopsis. S. globularia pacifica Produces cis- [52084-39-6] and trans-2-nonyl-6-methylpiperidine [52084-40-9], whereas S. steinheili and Solenopsis (Diplorhoptrum) species PR synthesize N-methyl-2-nonyl-6-methylpiperidine [33444-22-3] as well. Workers of S. geminata rufa produce cis- [92619-72-2] and trans-2-undecyl-6-methylpiperidine [76094-26-3] as do workers of S. maniosa. Phenol [108-95-2] and salicylaldehyde [90-02-8] were identified in exts. of workers of Monomorium destructor in contrast to 2,5-dialkylpyrrolidines that are considered characteristic natural products of this genus.
IT 28720-60-7 63950-16-3
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(of ant venom)
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 63950-16-3 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)-rel- (CA INDEX NAME)

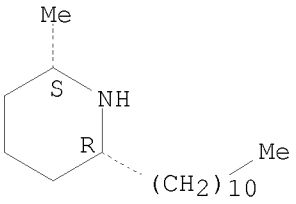
Relative stereochemistry.



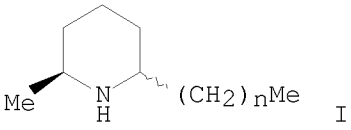
L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:3421 CAPLUS
DOCUMENT NUMBER: 102:3421
ORIGINAL REFERENCE NO.: 102:643a,646a
TITLE: (5Z,9Z)-3-Alkyl-5-methylindolizidines from Solenopsis (Diplorhoptrum) species
AUTHOR(S): Jones, Tappey H.; Highet, Robert J.; Blum, Murray S.; Fales, Henry M.
CORPORATE SOURCE: Dep. Chem., United States Nav. Acad., Annapolis, MD, 21402, USA
SOURCE: Journal of Chemical Ecology (1984), 10(8), 1233-49
CODEN: JCECD8; ISSN: 0098-0331

DOCUMENT TYPE: Journal
LANGUAGE: English
AB The alkaloidal venom components of 2 species of thief ants, Solenopsis species AA and S. conjurata contained (5Z,9Z)-3-hexyl-5-methylindolizidine and a mixture of (5Z,9Z)-3-ethyl-5-methylindolizidine and cis-2-methyl-6-nonylpiperidine, trans-2-methyl-6-nonylpiperidne, cis-2-methyl-6-undecylpiperidine, and hexadecanoic acid. Monomorium pharaonis was similarly investigated and found to contain the indolizidine and pyrrolidines previously described. Both indolizidines were synthesized along with their stereoisomers and separated by preparative gas chromatog. Spectral studies revealed the stereochem. to be 5Z,9Z in both cases. The stereochem. of 2-butyl-5-pentylpyrrolidine in M. phaeraonis was established. Biosynthetic relations are discussed.
IT 63950-16-3
RL: BIOL (Biological study)
(of venom, of thief ant)
RN 63950-16-3 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:169498 CAPLUS
DOCUMENT NUMBER: 100:169498
ORIGINAL REFERENCE NO.: 100:25696h,25697a
TITLE: Actions of synthetic piperidine derivatives on an insect acetylcholine receptor/ion channel complex
AUTHOR(S): David, J. A.; Crowley, P. J.; Hall, S. G.; Battersby, M.; Sattelle, D. B.
CORPORATE SOURCE: Dep. Zool., Univ. Cambridge, Cambridge, CB2 3EJ, UK
SOURCE: Journal of Insect Physiology (1984), 30(3), 191-6
CODEN: JIPHAF; ISSN: 0022-1910
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

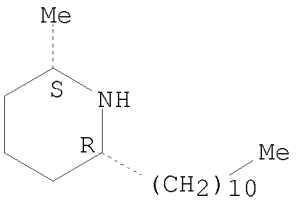
AB The actions of synthetic piperidines (I, n = 10 or 12) on the response to ionophoretically-applied acetylcholine (ACh) [51-84-3] were tested on the cell body membrane of the fast coxal depressor motoneuron of the cockroach Periplaneta americana. The cis form and the cis (80%):trans (20%) mixture of 2-methyl-6-undecyl piperidine were the most effective (the half-maximal

blocking action of the mixed isomers was estimated to be 6.3 + 10-5M). Less potent was the cis (50%):trans (50%) mixture of 2-methyl-6-tridecylpiperidine. However, pure cis-2-methyl-6-tridecylpiperidine [35285-26-8] was even less effective than the mixed isomers, indicating that, in the case of the tridecyl derivative, the trans form was largely responsible for the block of the ACh response. cis-2-Methyl-6-undecylpiperidine [35285-24-6] failed to inhibit the binding of N-[propionyl-3H] propionylated α-bungarotoxin to metathoracic ganglion homogenates at 1.0 + 10-4M. Also, block of ACh-induced current by 2-methyl-6-undecylpiperidine (cis 80%:trans 20%) was largely independent of membrane potential in the range -120 to -60 mV, indicating an interaction with the closed ACh receptor/ion channel complex at a site which, in the case of the cis isomer, is sep. from the binding site for α-bungarotoxin.

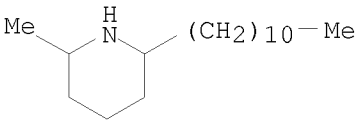
IT 35285-24-6 83709-88-0
RL: BIOL (Biological study)
(acetylcholine receptor-ion channel complex of cockroach central nervous system response to)

RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

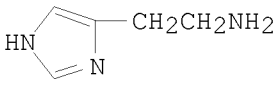
Absolute stereochemistry. Rotation (-).



RN 83709-88-0 CAPLUS
CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:48322 CAPLUS
DOCUMENT NUMBER: 98:48322
ORIGINAL REFERENCE NO.: 98:7379a,7382a
TITLE: Mechanism of action of fire ant (Solenopsis) venoms. I. Lytic release of histamine from mast cells
AUTHOR(S): Lind, Nancy K.
CORPORATE SOURCE: John A. Burns Sch. Med., Univ. Hawaii, Honolulu, HI, 96822, USA
SOURCE: Toxicon (1982), 20(5), 831-40
CODEN: TOXIA6; ISSN: 0041-0101
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



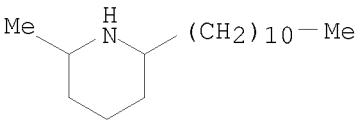
I

AB The mechanism of histamine (I) [51-45-6] release from rat mast cells by whole venom from S. geminata and S. invicta or by 2-methyl-6-undecylpiperidine-HCl (C11) [84293-44-7] was investigated. I release was stimulated by ≥1 of these agents (1) occurred in normal and metabolically inactivated cells, (2) had a biphasic time course in normal and inactivated cells, (3) was temperature-dependent and did not occur at 0°, (4) was accompanied by concomitant cytoplasmic enzyme release, (5) was accomplished by substantial cell swelling, and (6) was correlated with a loss of cell refractility in phase-contrast microscopy. Thus, C11 causes initial permeability changes in the plasma membrane followed by lytic release of I and other cell components. The nonspecific nature of this action of the dialkylpiperidine component of the venoms provides the fire ants with a defense of general applicability.

IT 84293-44-7
RL: BIOL (Biological study)
(histamine release from mast cells by, mechanism of, fire ant venom in relation to)

RN 84293-44-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, hydrochloride (1:1) (CA INDEX NAME)



HCl

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1982:613027 CAPLUS

DOCUMENT NUMBER: 97:213027

ORIGINAL REFERENCE NO.: 97:35717a,35720a

TITLE: Ant venom alkaloids from Solenopsis and Monomorium species. Recent developments

AUTHOR(S): Jones, Tappey H.; Blum, Murray S.; Fales, Henry M.

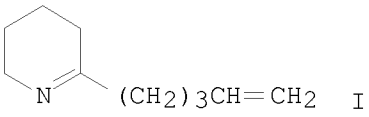
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, 30602, USA

SOURCE: Tetrahedron (1982), 38(13), 1949-58
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



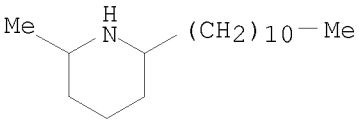
I

AB 2-(4-Penten-1-yl)-1-piperideine (I), and a number of known 2,6-dialkylpiperidines were isolated from venoms of Solenopsis species and their structures determined by independent preparation of I and by gas chromatog.-mass spectroscopy. Five known 2,5-dialkylpyrrolidines were also isolated from the venom of M. latinode. The chemical and biol. of the venom alkaloids from Solenopsis and Monomorium were briefly reviewed.

IT 83709-88-0
RL: BIOL (Biological study)
(from Solenopsis pergandei venom)

RN 83709-88-0 CAPLUS

CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:524253 CAPLUS

DOCUMENT NUMBER: 89:124253

ORIGINAL REFERENCE NO.: 89:19167a,19170a

TITLE: Histamine release by fire ant (Solenopsis) venom

AUTHOR(S): Read, George W.; Lind, Nancy K.; Oda, Charlotte S.

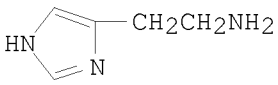
CORPORATE SOURCE: Pharmacol. Dep., Univ. Hawaii Sch. Med., Honolulu, HI, USA

SOURCE: Toxicon (1978), 16(4), 361-7
CODEN: TOXIA6; ISSN: 0041-0101

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

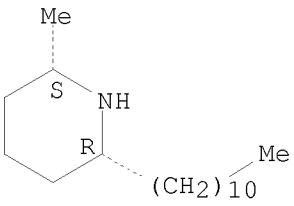


I

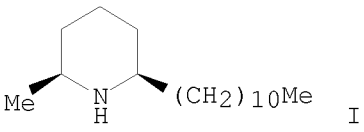
AB Venoms from the fire ants S. invicta and S. geminata were free of detectable histamine but caused histamine (I) [51-45-6] release from rat peritoneal mast cells in vitro. On a per ant basis, venom from S. invicta (ED50 = 0.12 venom reservoirs/mL) was 4 times as potent as venom from S. geminata (ED50 = 0.54 venom reservoirs/mL). Hexane exts. of venom and a synthetic piperidine were as effective as the venom itself in producing I release, indicating that the piperidines in the venom are responsible for most of the activity. Intradermal injection of venom from S. geminata into human subjects produced dose-dependent wheals and subjective responses (itch and/or pain). Ten nanograms of I produced effects approx. equivalent to the venom of a single ant and the antihistamine diphenhydramine significantly reduced the wheal and subjective responses to the venom. Apparently, I release plays a major role in the action of fire ant venoms.

IT 35285-24-6
RL: BIOL (Biological study)
(histamine release by, fire ant venom in relation to)
RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



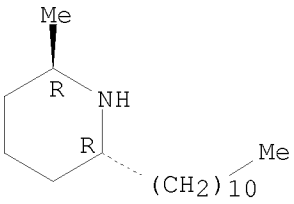
L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:176921 CAPLUS
DOCUMENT NUMBER: 84:176921
ORIGINAL REFERENCE NO.: 84:28695a,28698a
TITLE: Fire ant venoms: chemotaxonomic correlations with alkaloidal compositions
AUTHOR(S): MacConnell, J. G.; Blum, M. S.; Buren, W. F.; Williams, R. N.; Fales, H. M.
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA
SOURCE: Toxicon (1976), 14(1), 69-78
CODEN: TOXIA6; ISSN: 0041-0101
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

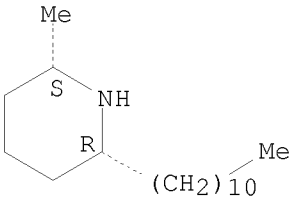
AB The alkaloidal composition of venom of workers from 29 populations of .apprx.13 New World fire ant (Solenopsis) species was determined by gas-liquid chromatog. and was found to be quite uniform for species from widely separated areas. However, in some cases closely related species cannot be reliably distinguished by venom composition At least some species possessing an anomalous morphol. also produce venoms of anomalous composition All venoms are dominated by 2,6-dialkylpiperidines, e.g. cis-2-methyl-6-(n-undecyl)piperidine(I), although long-chain alkanes were detected in the venom of species of Solenopsis. Some characteristics and biosynthetic implications are discussed.
IT 28720-60-7 35285-24-6
RL: BIOL (Biological study)
(of Solenopsis venom, chemotaxonomy in relation to)
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



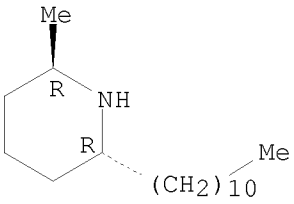
RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



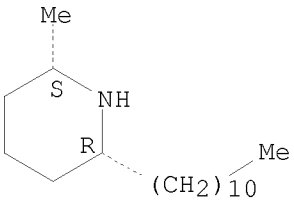
L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:489732 CAPLUS
DOCUMENT NUMBER: 79:89732
ORIGINAL REFERENCE NO.: 79:14559a,14562a
TITLE: Fire ant venoms. Intraspecific and interspecific variation among castes and individuals
AUTHOR(S): Brand, J. M.; Blum, M. S.; Barlin, M. R.
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA
SOURCE: Toxicon (1973), 11(4), 325-31
CODEN: TOXIA6; ISSN: 0041-0101
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ratio of cis- to trans-2-methyl-6-n-undecylpiperidine in the venom of workers and soldiers of Solenopsis geminata and in alate queens of S. geminata, S. xyloni, S. invicta, and S. richteri showed considerable variation between individuals of a particular caste within a species.
IT 28720-60-7 35285-24-6
RL: BIOL (Biological study)
(of fire ant venom, caste in relation to)
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



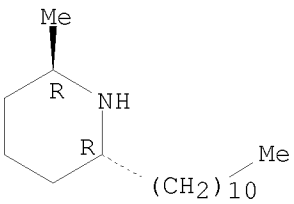
RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



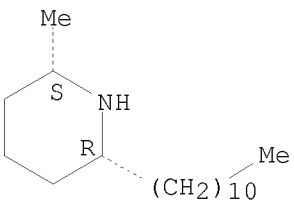
L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:402936 CAPLUS
DOCUMENT NUMBER: 79:2936
ORIGINAL REFERENCE NO.: 79:534h,535a
TITLE: Chemistry of the venom of Solenopsis aurea
AUTHOR(S): Blum, M. S.; Brand, J. M.; Duffield, R. M.; Snelling, R. R.
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA
SOURCE: Annals of the Entomological Society of America (1973), 66(3), 702
CODEN: AESAAI; ISSN: 0013-8746
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Venom of S. aurea consisted almost exclusively of cis-2-methyl-6-n-undecylpiperidine (I) and trans-2-methyl-6-n-undecylpiperidine (II), along with a trace of cis-2-methyl-6-n-tridecylpiperidine. Although the venoms of S. aurea and S. geminata were both dominated by I and II, in the former the average I:II ratio was 4:1, whereas in the latter it was .apprx.1.5:1.
IT 28720-60-7 35285-24-6
RL: BIOL (Biological study)
(of venoms, of fire ant)
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

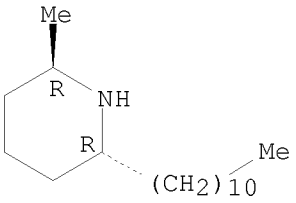
ACCESSION NUMBER: 1973:145442 CAPLUS
DOCUMENT NUMBER: 78:145442
ORIGINAL REFERENCE NO.: 78:23371a,23374a
TITLE: Biochemical evolution in fire ant venoms
AUTHOR(S): Brand, J. M.; Blum, M. S.; Ross, H. H.
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA
SOURCE: Insect Biochemistry (1973), 3(9), 45-51
CODEN: ISBCAN; ISSN: 0020-1790
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The distribution of 2,6-dialkyl(and alkenyl-)piperidine alkaloids in the venom of fire ant workers of Solenopsis xyloni, S. geminata, S. richteri, and S. invicta was compared with that in the venom of alata queens of the same species. Whereas the venoms of workers of S. invicta and S. richteri contain piperidines with C13 or C15 side chains, the queens of these species produce venoms in which these compds. are essentially lacking. A comparison of the ratio of cis-2-methyl-6-n-undecylpiperidine to trans-2-methyl-6-n-undecylpiperidine in all of these venoms, together with qual. differences of other alkaloidal components, particularly in workers of S. richteri and S. invicta, suggested that the venoms of S. xyloni and S. geminata are similar to the ancestral type, whereas those of S. richteri and S. invicta are more highly evolved.

IT 28720-60-7 35285-24-6
RL: BIOL (Biological study)
(of venoms of ants, caste and evolution in relation to)

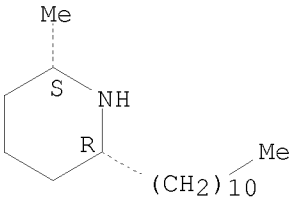
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

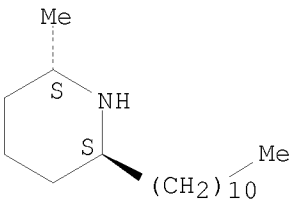
Absolute stereochemistry. Rotation (-).



L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:92973 CAPLUS
DOCUMENT NUMBER: 78:92973
ORIGINAL REFERENCE NO.: 78:14851a,14854a
TITLE: Antibacterial activity of venom alkaloids from the imported fire ant, Solenopsis invicta
AUTHOR(S): Jouvenaz, D. P.; Blum, M. S.; MacConnell, J. G.
CORPORATE SOURCE: Insects Affecting Man Animals Res. Lab., Agric. Res.

Serv., Gainesville, FL, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1972), 2(4), 291-3
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The fire ant venom alkaloids trans-2-methyl-6-undecylpiperidine (I) [35285-25-7], trans-2-methyl-6-tridecylpiperidine [32778-77-1], and trans-2-methyl-6-pentadecylpiperidine [32778-79-3] were more inhibitory toward Gram-pos. bacteria than toward Gram-neg. bacteria. A 4th alkaloid, trans-2-methyl-6-(cis-6-pentadecenyl)piperidine [32778-78-2], available only in minute quantities, was ineffective against all organisms.
IT 35285-25-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of, from fire ant venom)
RN 35285-25-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



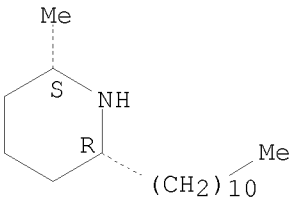
L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:444074 CAPLUS
DOCUMENT NUMBER: 77:44074
ORIGINAL REFERENCE NO.: 77:7287a,7290a
TITLE: Fire ant venoms. Comparative analyses of alkaloidal components
AUTHOR(S): Brand, J. M.; Blum, M. S.; Fales, H. M.; MacConnell, J. G.
CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA
SOURCE: Toxicon (1972), 10(3), 259-71
CODEN: TOXIA6; ISSN: 0041-0101
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The venom alkaloids of Solenopsis geminata, S. xyloni, and the red and black forms of S. saevissima were studied. The venoms contain various 2,6-disubstituted piperidines. Gas chromatog. and mass spectra were used to identify: cis-2-methyl-6-undecylpiperidine (I) [35285-24-6], trans-2-methyl-6-undecylpiperidine (II) [35285-25-7], cis-2-methyl-6-tridecylpiperidine (III) [35285-26-8], trans-2-methyl-6-tridecylpiperidine (IV) [32778-77-1], cis-2-methyl-6-pentadecylpiperidine (V) [35285-28-0], trans-2-methyl-6-pentadecylpiperidine (VI) [32778-79-3], cis-2-methyl-6-(cis-4-tridecen-1-yl)piperidine (VII) [35285-30-4], trans-2-methyl-6-(cis-4-tridecen-1-yl) piperidine (VIII) [32778-76-0], cis-2-methyl-6-(cis-6-pentadecen-1-yl)piperidine (IX) [35285-32-6], and trans-2-methyl-6-(cis-6-pentadecen-1-yl)piperidine (X) [32778-78-2]. The venom of the red S. saevissima contained all 10 compds. plus another that was not identified, with the trans forms predominating and the cis forms present as traces. X was present in the largest amount, with lower but about equal amts. of IV and VIII. The venom of the black form of S. saevissima had mainly I, II, III, IV, VII, and VIII; only traces of IX and

X were found, and the amount of VIII was much greater than the amount of IV. The black form is obviously not just a color variation. Venoms of S. xyloni and S. geminata contain I, II, III, and VII, and I and II are the major components. III and VII are present only in traces, and none of the C15 side-chain compds. were found. The venom of S. xyloni contained a compound not found in the other venoms; degradation and mass spectra showed it to be 2-methyl-6-undecyl-Δ1,2-piperideine [35285-61-1]. It may be a precursor or intermediate in the metabolism of the other components. The possible correlation of venom alkaloid composition with the environmental success of the imported S. saevissima species was discussed. Although the lack of protein was thought to make these stinging ants unique, recent studies showed a small amount of polypeptide material in the venom of the red form of S. saevissima.

IT 35285-24-6 35285-25-7
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(of fire ant venoms)

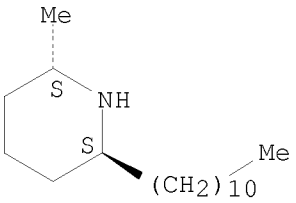
RN 35285-24-6 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 35285-25-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

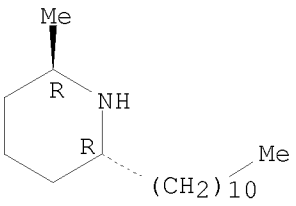


L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:442643 CAPLUS
DOCUMENT NUMBER: 73:42643
ORIGINAL REFERENCE NO.: 73:7033a,7036a
TITLE: Alkaloid from fire ant venom: identification and synthesis
AUTHOR(S): MacConnell, John G.; Blum, Murray S.; Fales, Henry M.
CORPORATE SOURCE: Dep. of Entomol., Univ. of Georgia, Athens, GA, USA
SOURCE: Science (Washington, DC, United States) (1970), 168(3933), 840-1
CODEN: SCIEAS; ISSN: 0036-8075
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An alkaloid, trans-2-methyl-6-n-undecylpiperidine (solenopsin A), was isolated from the venom of the fire ant Solenopsis saevissima. The structure was confirmed by an unambiguous synthesis.

IT 28720-60-7
    RL: BIOL (Biological study)
        (from venoms of ants)
RN 28720-60-7 CAPLUS
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	160.66	346.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-22.96	-22.96

STN INTERNATIONAL LOGOFF AT 12:37:30 ON 20 MAY 2009